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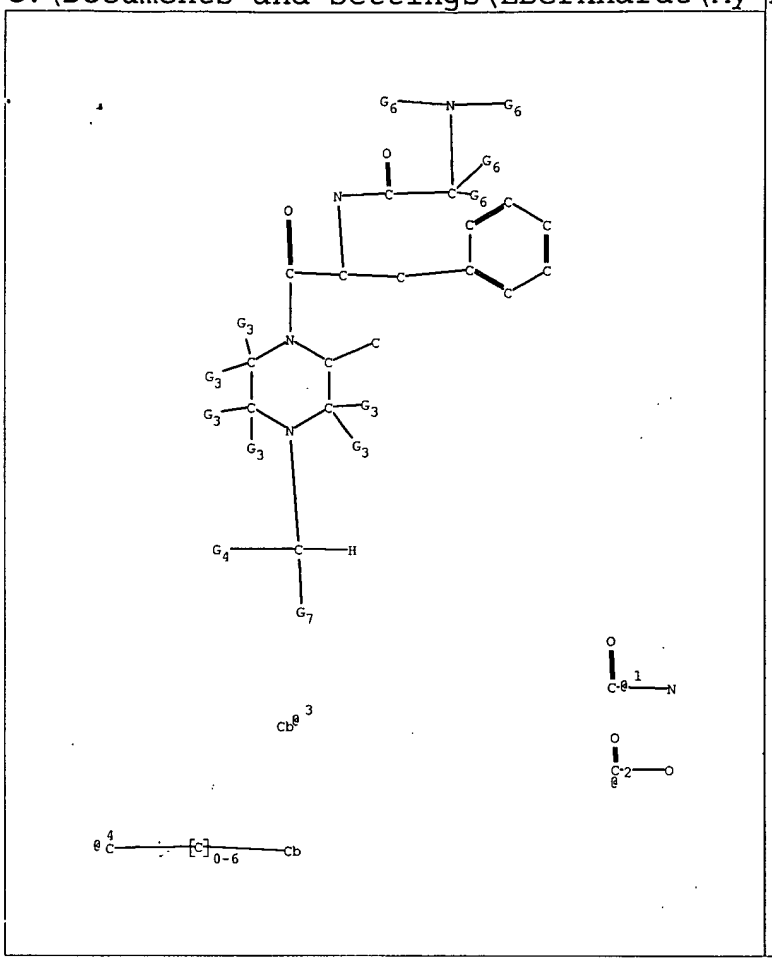
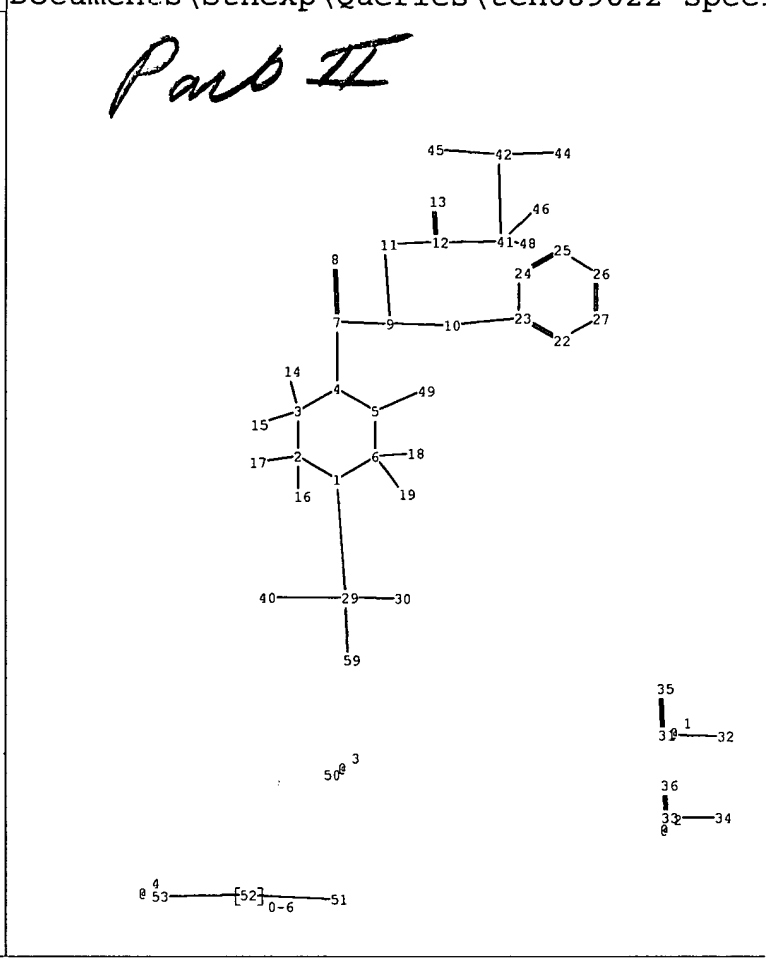


Part II



chain nodes :
7 8 9 10 11 12 13 14 15 16 17 18 19 29 30 31 32 33 34
35 36 40 42 44 45 46 48 50 51 52 53 59
ring nodes :
1 2 3 4 5 6 22 23 24 25 26 27
ring/chain nodes :
41 49
chain bonds :
1-29 2-16 2-17 3-14 3-15 4-7 5-49 6-18 6-19 7-8 7-9 9-10 9-11
10-23 11-12 12-13 12-41 29-30 29-40 29-59 31-32 31-35 33-34
33-36 41-42 41-46 41-48 42-44 42-45 51-52 52-53
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 22-23 22-27 23-24 24-25 25-26 26-27
exact/norm bonds :
1-2 1-6 1-29 2-3 2-16 2-17 3-4 3-14 3-15 4-5 4-7 5-6 6-18
6-19 7-8 9-11 11-12 12-13 29-40 29-59 31-32 31-35 33-34 33-36
41-42 41-46 41-48 42-44 42-45
exact bonds :
5-49 7-9 9-10 10-23 12-41 29-30 51-52 52-53
normalized bonds :
22-23 22-27 23-24 24-25 25-26 26-27
isolated ring systems :
containing 22 :

G3:H,CH3

G4:H,N,[*1],[*2]

G6:H,CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

G7:H,,[*3],[*4]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS
17:CLASS 18:CLASS 19:CLASS 22:Atom 23:CLASS 24:CLASS 25:Atom
26:Atom 27:Atom 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS
34:CLASS 35:CLASS 36:CLASS 40:CLASS 41:CLASS 42:CLASS 44:CLASS
45:CLASS 46:CLASS 48:CLASS 49:CLASS 50:Atom 51:Atom 52:CLASS
53:CLASS 59:CLASS

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=> s l16
SAMPLE SEARCH INITIATED 19:09:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      156 TO ITERATE

100.0% PROCESSED      156 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          2371 TO     3869
PROJECTED ANSWERS:                1 TO       80

L17           1 SEA SSS SAM L16

=> s l16 sss full
FULL SEARCH INITIATED 19:09:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3226 TO ITERATE

100.0% PROCESSED     3226 ITERATIONS                                47 ANSWERS
SEARCH TIME: 00.00.01

L18          47 SEA SSS FUL L16

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   167.38    1115.84

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -68.25

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FILE LAST UPDATED: 1 Mar 2006  (20060301/ED)

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=> s l18
L19           2 L18
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=> d l19 1-2 bib abs hitstr

L19 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:965987 CAPLUS
DN 141:411221
TI Preparation of piperazine melanocortin receptor-specific compounds
IN Sharma, Shubh D.; Shi, Yi-qun; Rajpurohit, Ramesh; Wu, Zhijun; Purma, Papireddy; Shadiack, Annette M.; Burris, Kevin D.
PA Palatin Technologies, Inc., USA
SO U.S. Pat. Appl. Publ., 69 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

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	WO 2004098602	A1	20041118	WO 2004-US13803	20040503
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	US 2005124636	A1	20050609	US 2005-40838	20050121
	US 2005176728	A1	20050811	US 2005-99814	20050405
PRAI	US 2003-467442P	P	20030501		
	US 2004-546393P	P	20040219		
	US 2001-311404P	P	20010810		
	WO 2002-US25574	A2	20020812		
	US 2003-474497P	P	20030530		
	US 2004-536606P	P	20040114		
	US 2004-538100P	P	20040121		
	US 2004-761889	A2	20040121		
	US 2004-762079	A2	20040121		
	US 2004-559741P	P	20040405		
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	US 2004-837519	A	20040430		
	WO 2004-US13803	W	20040503		

OS MARPAT 141:411221
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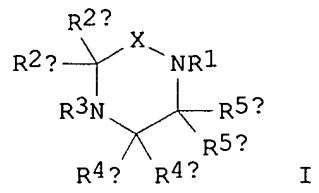

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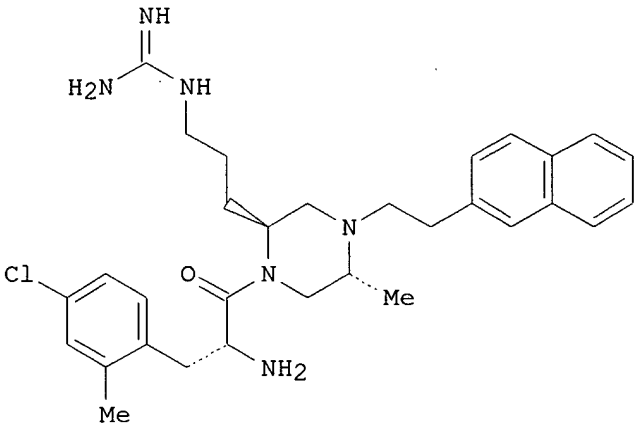


II

AB The invention relates to amino acid-derived piperazine compds. I [X is CH2, CO or CS; R1 is -L1-J; one of R2a and R2b is -L2-W and the other is H; R3 is -L3-Q; L1 is a bond or a linker unit comprising from one to eight backbone atoms selected from carbon, sulfur, oxygen or nitrogen; J is a ring structure, e.g., an (un)substituted arom. or non-arom. carbocyclic ring; L2 is a bond or (CH2)1-6; W is a heteroatom unit with at least one cationic center, hydrogen bond donor or acceptor (at least one heteroatom is nitrogen or oxygen); L3 is a bond or a linker unit comprising from one to nine backbone atoms selected from carbon, sulfur, oxygen or nitrogen; Q is (un)substituted Ph or naphthyl; one or two of R4a, R4b, R5a and R5b are independently -L2-W or an aliph. chain and the others are H, provided that at least one of R4a and R4b and at least one of R5a and R5b is H], including enantiomers, stereoisomers, diastereoisomers or pharmaceutically-acceptable salts, which bind with high affinity to one or more melanocortin receptors (MCR) and may be employed for treatment of melanocortin receptor-assocd. conditions or disorders. Thus, piperazine deriv. II was prepd. via reactions of 2-naphthylacetic acid, (R)-(-)-2-amino-1-propanol, Fmoc-L-Arg(Boc)2-OH (Fmoc = fluorenylmethoxycarbonyl, Boc = tert-butoxycarbonyl), and Boc-D-4-chloro-2-methyl-L-phenylalanine. Compd. II was shown to be a partial agonist as to MC4-R and in rats caused a decrease in food intake (administration 2 h prior to food presentation) and induced penile erection at 0.3-30 .mu.g/Kg.

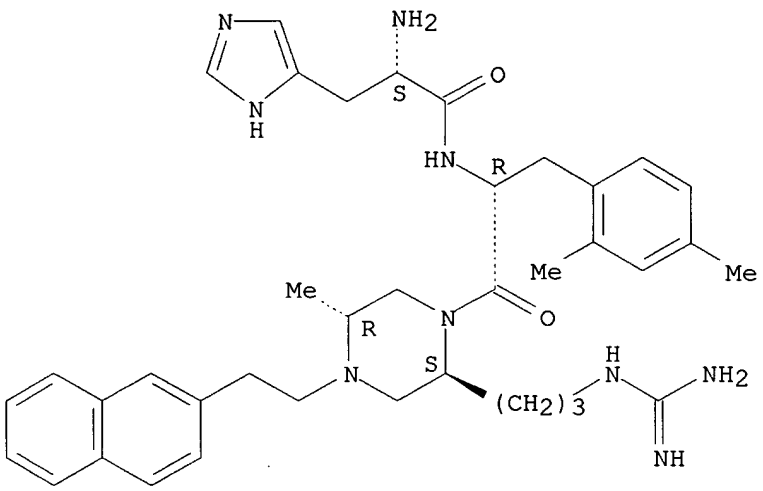
IT **791625-46-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazine melanocortin receptor-specific compds.)

RN 791625-46-2 CAPLUS

CN 1H-Imidazole-4-propanamide, .alpha.-amino-N-[(1R)-2-[(2S,5R)-2-[3-[(aminoiminomethyl)amino]propyl]-5-methyl-4-[2-(2-naphthalenyl)ethyl]-1-piperazinyl]-1-[(2,4-dimethylphenyl)methyl]-2-oxoethyl]-, (.alpha.S)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:370912 CAPLUS
DN 140:407110
TI Preparation of piperazine amino acid derivatives and related compounds as melanocortin receptor ligands
IN Ebetino, Frank Hallock; Tian, Xinrong; Mazur, Wieslaw Adam; Colson, Anny-Odile
PA The Procter & Gamble Company, USA
SO PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037797	A2	20040506	WO 2003-US33402	20031022
	WO 2004037797	A3	20041104		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	BR 2003015614	A	20050830	BR 2003-15614	20031022

	JP 2006506384	T2	20060223	JP 2004-546990	20031022
	NO 2005002476	A	20050523	NO 2005-2476	20050523
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OS MARPAT 140:407110

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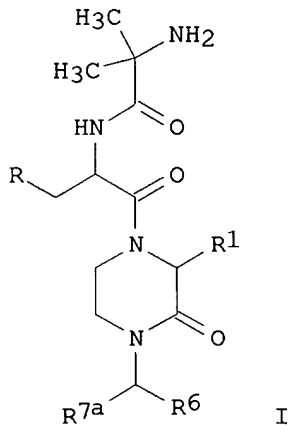

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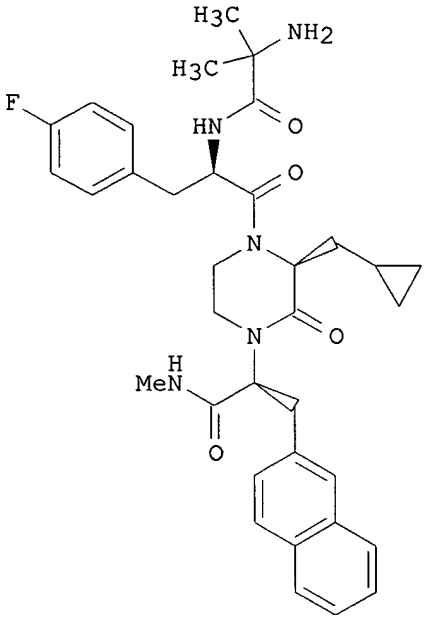


II

AB The invention relates to compds. which comprise a nitrogen-contg. ring scaffold, e.g., 2-keto-3-alkylpiperazines I [R is Ph, 3- or 4-fluoro-, 3,5-difluoro- or 4-chlorophenyl; R1 is Me, Et, Pr, iso-Pr, Bu, iso-Bu, sec-Bu, tert-Bu, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1- or 2-methylallyl, but-2-enyl or propargyl; R7a is H, CO2H, CONH2, CONHMe, and -CONMe2, etc.; R8 is (un)substituted benzyl or naphthalen-2-ylmethyl], which are melanocortin receptor ligands. Thus, piperazinone deriv. II was prepd. via sequential peptide couplings in soln.; the piperazine ring was formed by cyclocondensation of the allylglycinamide moiety with 1,2-dibromoethane (K2CO3/DMF at 65.degree. for 12 h).

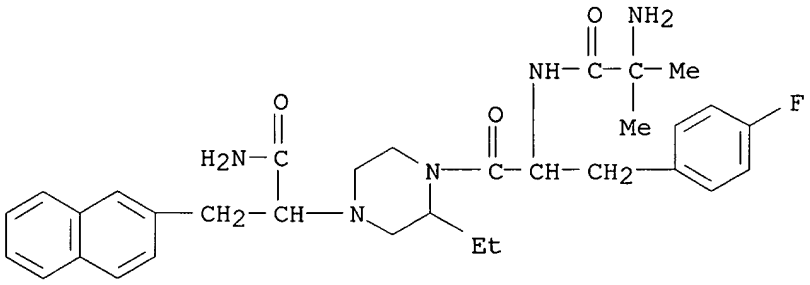
IT **686338-51-2P 686340-85-2P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of piperazine amino acid derivs. and related compds. as melanocortin receptor ligands)

RN 686338-51-2 CAPLUS

CN 1-Piperazineacetamide, 3-ethyl-4-(2-methylalanyl-4-fluorophenylalanyl)-.alpha.-(2-naphthalenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 686340-85-2 CAPLUS
CN 1-Piperazineacetamide, 3-ethyl-N-methyl-4-(2-methylalanyl-4-fluoro-D-phenylalanyl)-.alpha.-(2-naphthalenylmethyl)-, (.alpha.S,3S)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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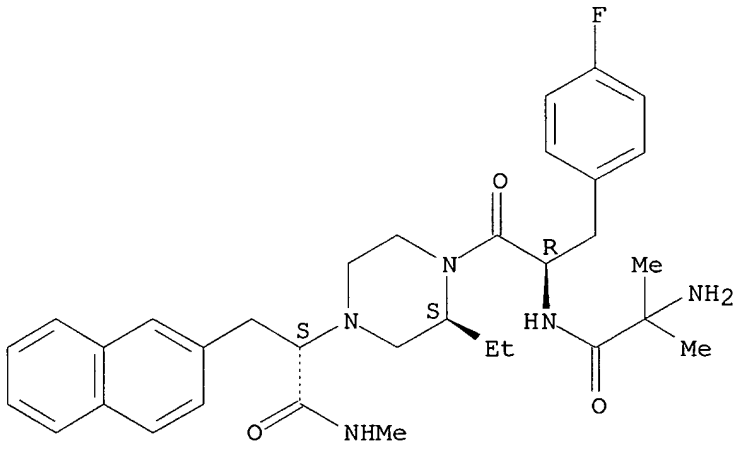
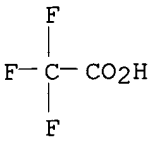
CRN 686338-47-6
CMF C33 H42 F N5 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **686338-47-6P 686338-53-4P 686338-54-5P**
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686338-73-8P 686338-75-0P 686338-76-1P
686338-78-3P 686338-79-4P 686338-80-7P
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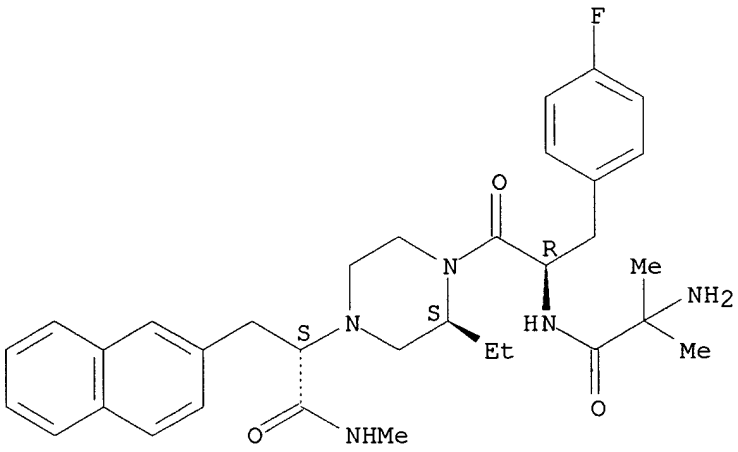
686340-15-8P 686340-16-9P 686340-86-3P
686753-55-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazine amino acid derivs. and related compds. as melanocortin receptor ligands)

RN 686338-47-6 CAPLUS
CN 1-Piperazineacetamide, 3-ethyl-N-methyl-4-(2-methylalanyl-4-fluoro-D-phenylalanyl)-.alpha.-(2-naphthalenylmethyl)-, (.alpha.S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 686338-53-4 CAPLUS
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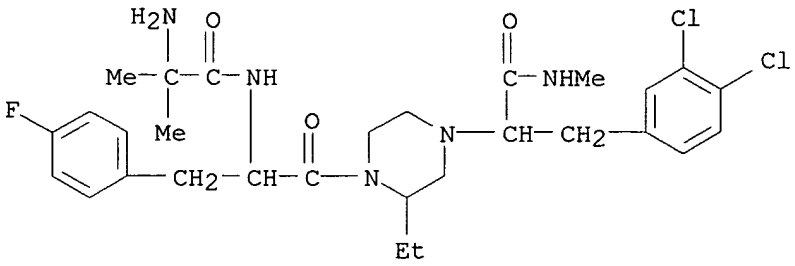

RN 686338-54-5 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-3-ethyl-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

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CRN 686338-53-4
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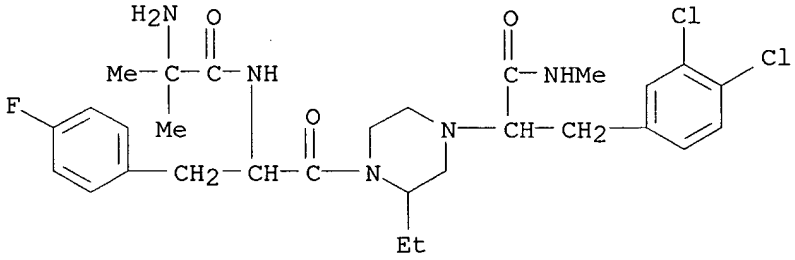

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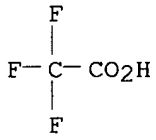

RN 686338-60-3 CAPLUS
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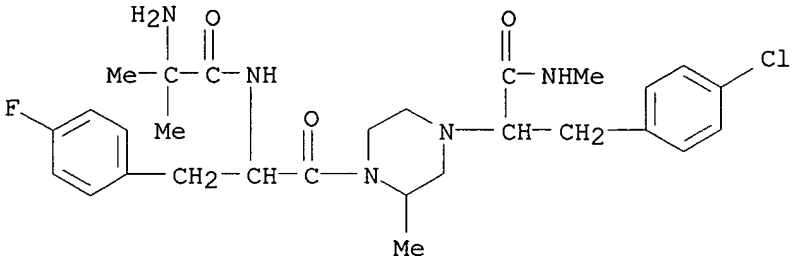
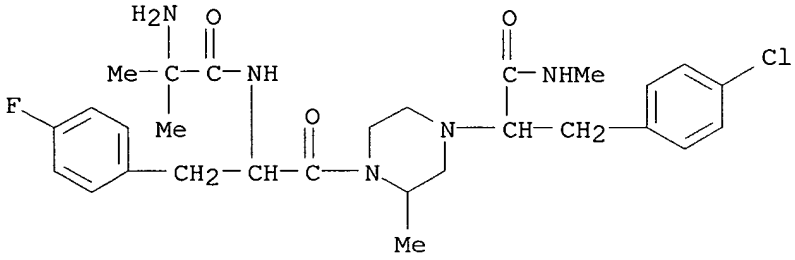


RN 686338-61-4 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(4-chlorophenyl)methyl]-N,3-dimethyl-4-(2-methylalanyl-4-fluorophenylalanyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

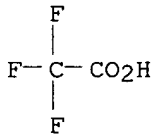
CM 1

CRN 686338-60-3
CMF C28 H37 Cl F N5 O3

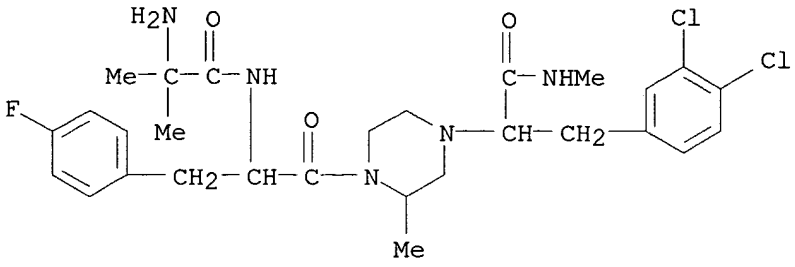


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 686338-63-6 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-N,3-dimethyl-4-(2-methylalanyl-4-fluorophenylalanyl)- (9CI) (CA INDEX NAME)



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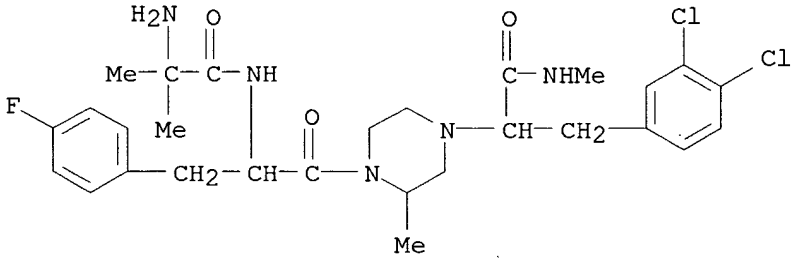
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-N,3-dimethyl-4-(2-methylalanyl-4-fluorophenylalanyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

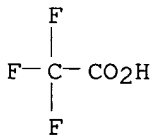
CM 1

CRN 686338-63-6
CMF C28 H36 Cl2 F N5 O3

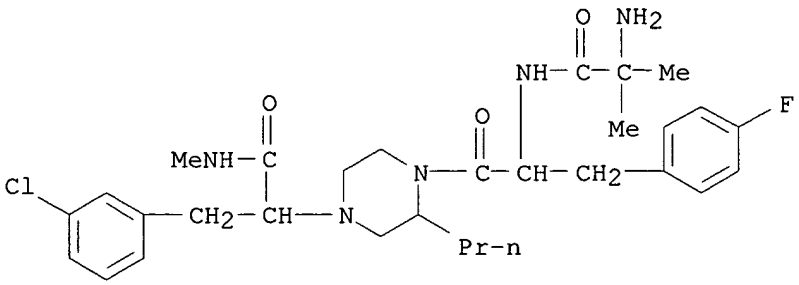


CM 2

CRN 76-05-1
CMF C2 H F3 O2

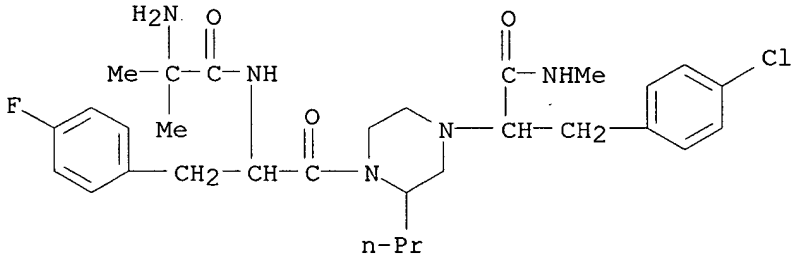


RN 686338-66-9 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3-chlorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl-, hydrochloride (9CI) (CA INDEX NAME)



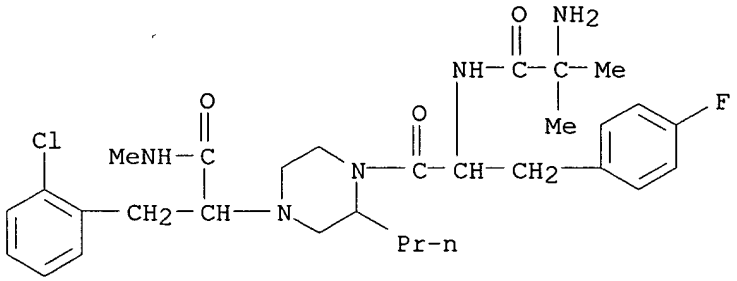
● x HCl

RN 686338-68-1 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(4-chlorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 686338-70-5 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(2-chlorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)

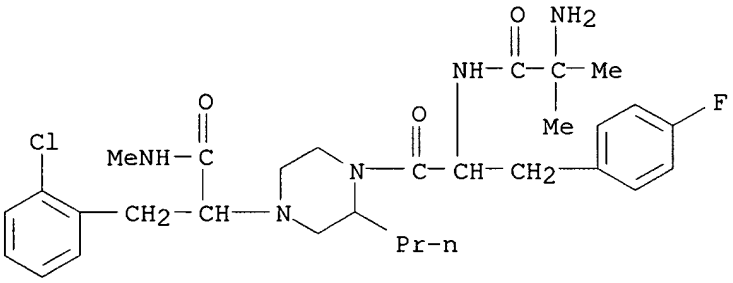


RN 686338-71-6 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(2-chlorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl-, trifluoroacetate (9CI) (CA

INDEX NAME)

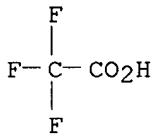
CM 1

CRN 686338-70-5
CMF C30 H41 Cl F N5 O3

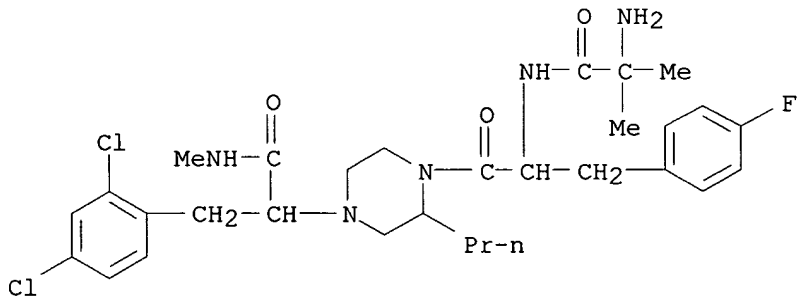


CM 2

CRN 76-05-1
CMF C2 H F3 O2



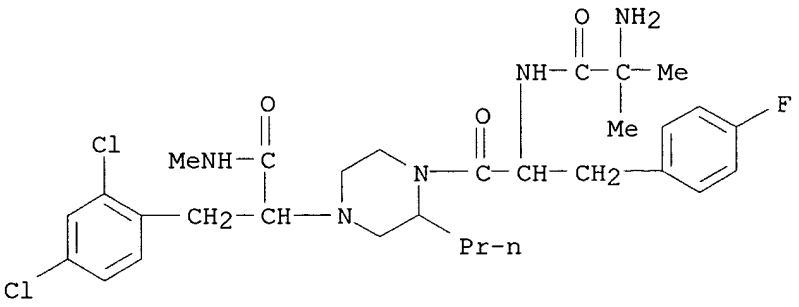
RN 686338-72-7 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(2,4-dichlorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



RN 686338-73-8 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(2,4-dichlorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

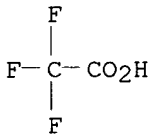
CM 1

CRN 686338-72-7
CMF C30 H40 Cl2 F N5 O3

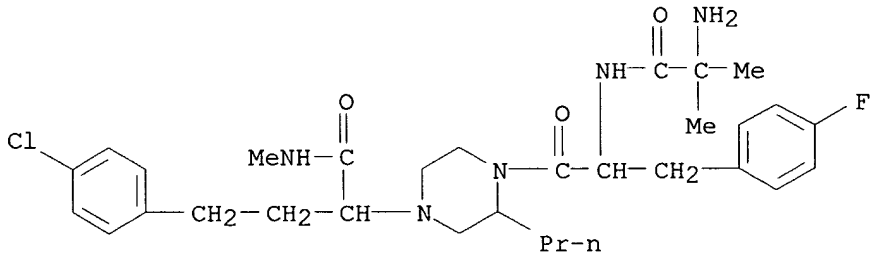


CM 2

CRN 76-05-1
CMF C2 H F3 O2



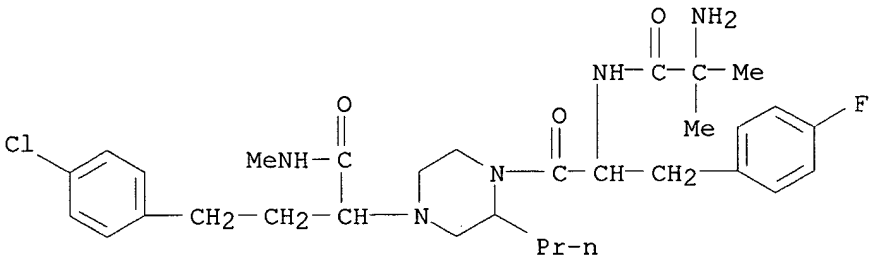
RN 686338-75-0 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[2-(4-chlorophenyl)ethyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



RN 686338-76-1 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[2-(4-chlorophenyl)ethyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

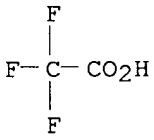
CM 1

CRN 686338-75-0
CMF C31 H43 Cl F N5 O3

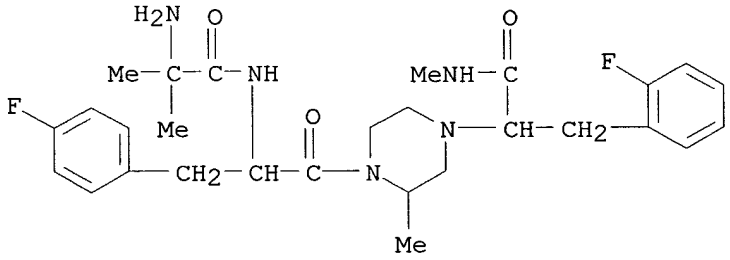


CM 2

CRN 76-05-1
CMF C2 H F3 O2



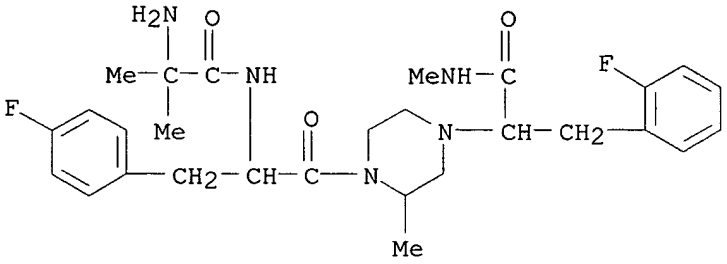
RN 686338-78-3 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(2-fluorophenyl)methyl]-N,3-dimethyl-4-(2-methylalanyl-4-fluorophenylalanyl)- (9CI) (CA INDEX NAME)



RN 686338-79-4 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(2-fluorophenyl)methyl]-N,3-dimethyl-4-(2-methylalanyl-4-fluorophenylalanyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

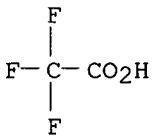
CM 1

CRN 686338-78-3
CMF C28 H37 F2 N5 O3

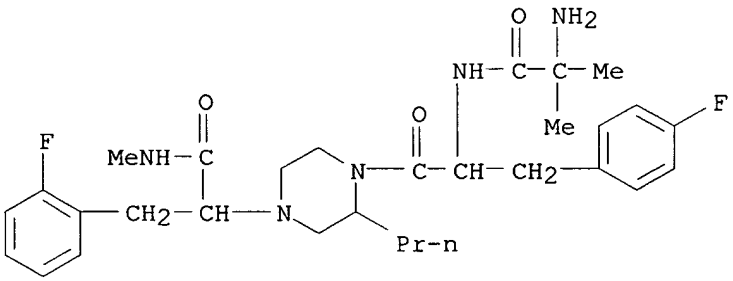


CM 2

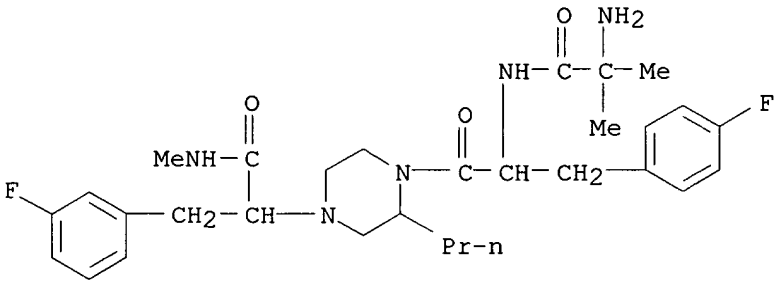
CRN 76-05-1
CMF C2 H F3 O2



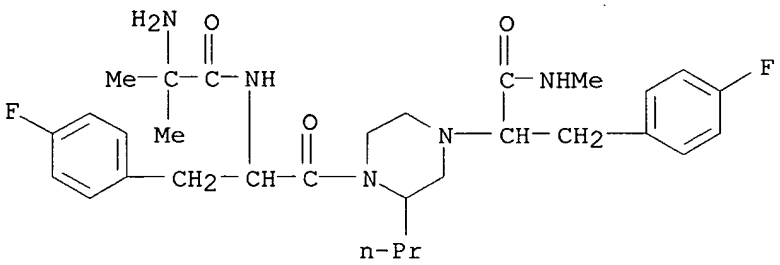
RN 686338-80-7 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(2-fluorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



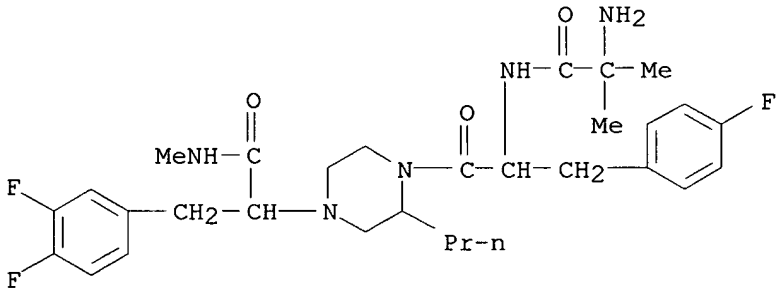
RN 686338-81-8 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3-fluorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



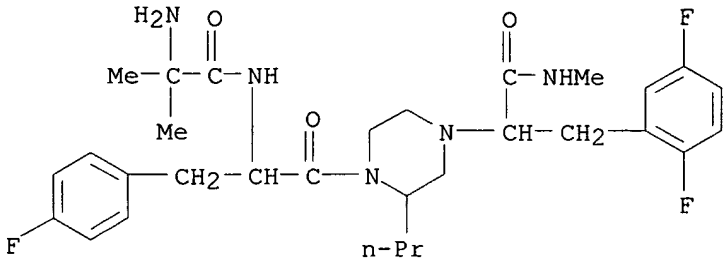
RN 686338-82-9 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(4-fluorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



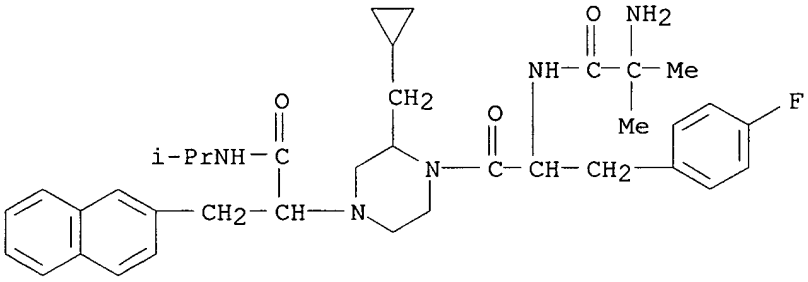
RN 686338-83-0 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-difluorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



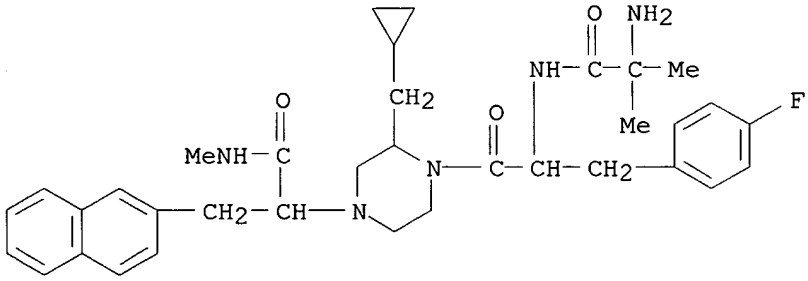
RN 686338-85-2 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(2,5-difluorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



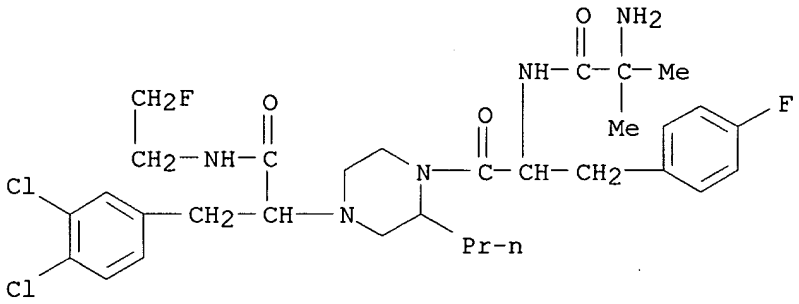
RN 686338-86-3 CAPLUS
CN 1-Piperazineacetamide, 3-(cyclopropylmethyl)-4-(2-methylalanyl-4-fluorophenylalanyl)-N-(1-methylethyl)-.alpha.-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



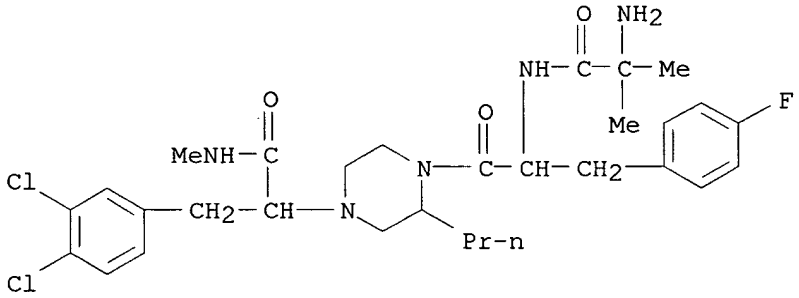
RN 686338-87-4 CAPLUS
CN 1-Piperazineacetamide, 3-(cyclopropylmethyl)-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-.alpha.-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



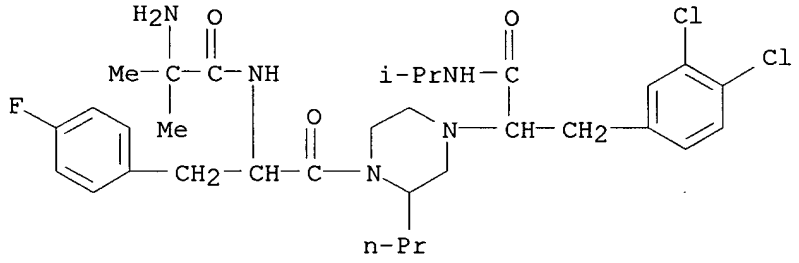
RN 686338-89-6 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-N-(2-fluoroethyl)-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



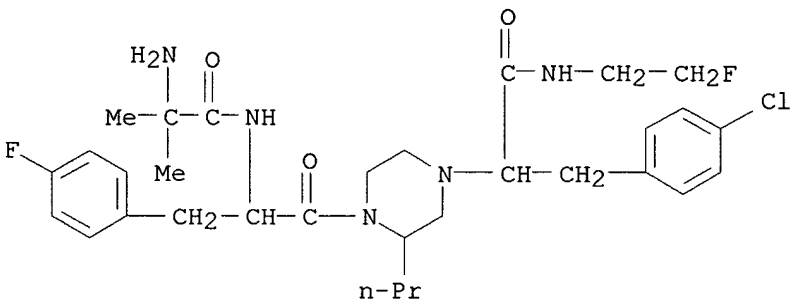
RN 686338-90-9 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



RN 686338-91-0 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-4-(2-methylalanyl-4-fluorophenylalanyl)-N-(1-methylethyl)-3-propyl- (9CI) (CA INDEX NAME)



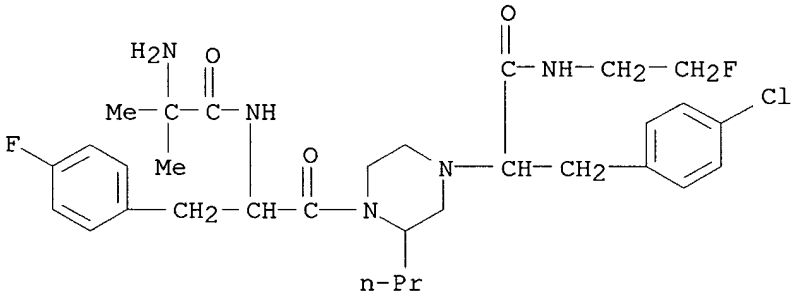
RN 686338-92-1 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(4-chlorophenyl)methyl]-N-(2-fluoroethyl)-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



RN 686338-93-2 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(4-chlorophenyl)methyl]-N-(2-fluoroethyl)-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

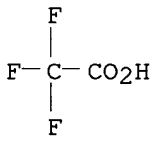
CM 1

CRN 686338-92-1
CMF C31 H42 Cl F2 N5 O3

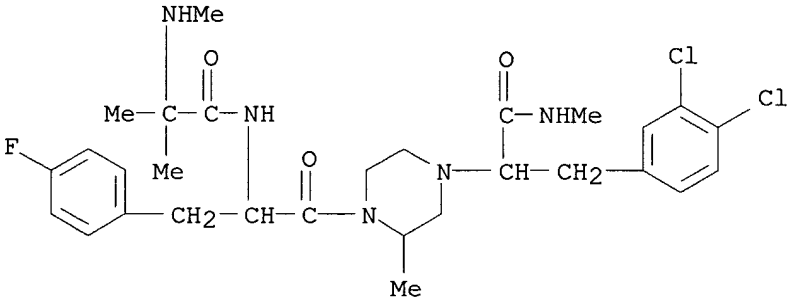


CM 2

CRN 76-05-1
CMF C2 H F3 O2



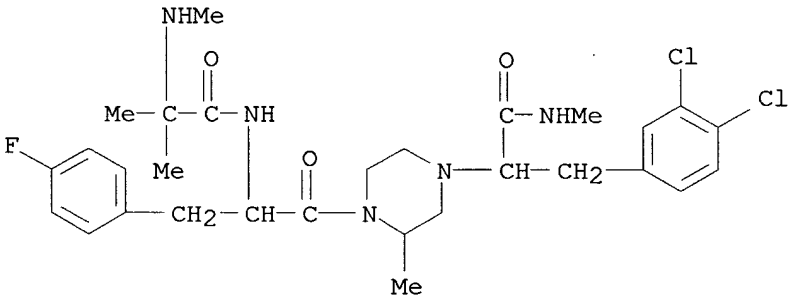
RN 686339-39-9 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-4-(N,2-dimethylalanyl-4-fluorophenylalanyl)-N,3-dimethyl- (9CI) (CA INDEX NAME)



RN 686339-40-2 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-4-(N,2-dimethylalanyl-4-fluorophenylalanyl)-N,3-dimethyl-, trifluoroacetate (9CI) (CA INDEX NAME)

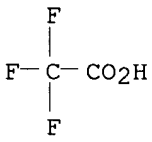
CM 1

CRN 686339-39-9
CMF C29 H38 Cl2 F N5 O3

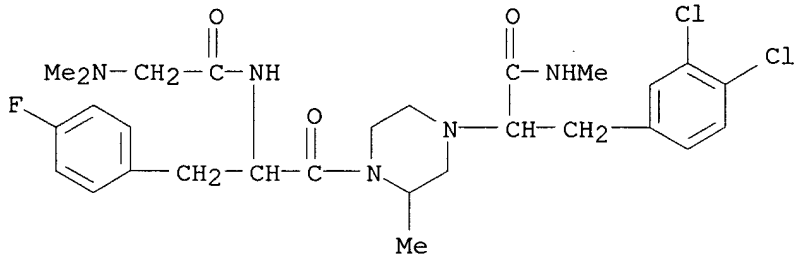


CM 2

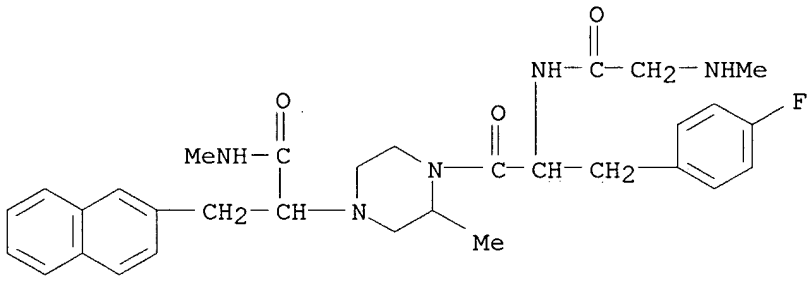
CRN 76-05-1
CMF C2 H F3 O2



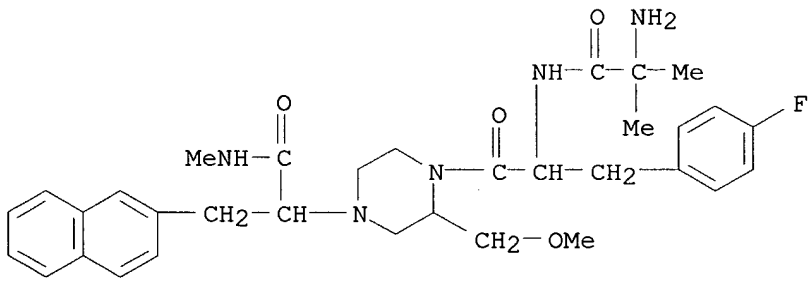
RN 686339-41-3 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-4-(N,N-dimethylglycyl-4-fluorophenylalanyl)-N,3-dimethyl- (9CI) (CA INDEX NAME)



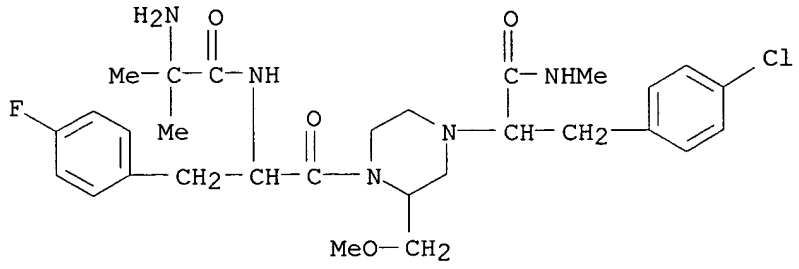
RN 686339-61-7 CAPLUS
CN 1-Piperazineacetamide, N,3-dimethyl-4-(N-methylglycyl-4-fluorophenylalanyl)-.alpha.-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



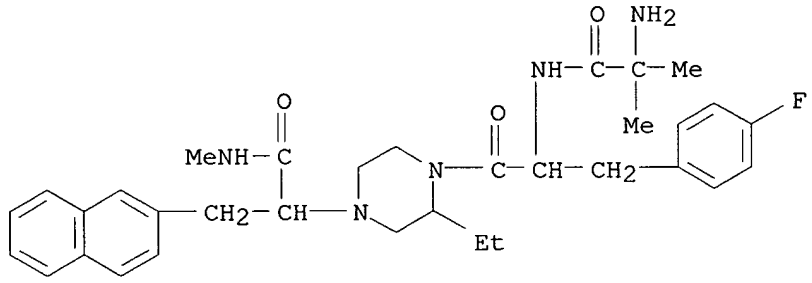
RN 686339-80-0 CAPLUS
CN 1-Piperazineacetamide, 3-(methoxymethyl)-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-.alpha.-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



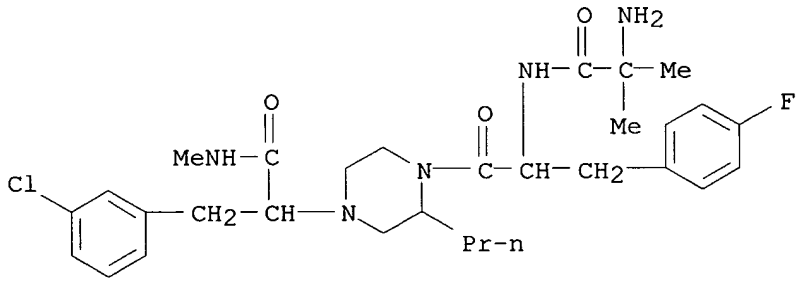
RN 686339-84-4 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(4-chlorophenyl)methyl]-3-(methoxymethyl)-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)- (9CI) (CA INDEX NAME)



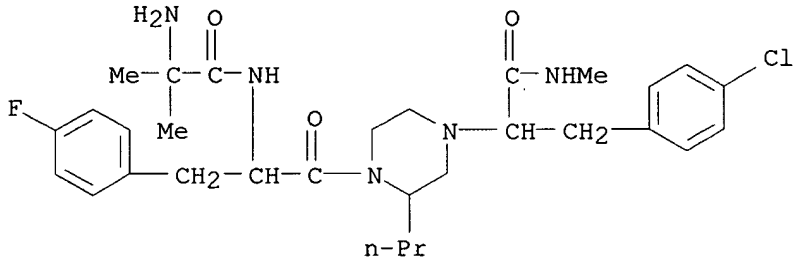
RN 686340-14-7 CAPLUS
CN 1-Piperazineacetamide, 3-ethyl-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-.alpha.-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



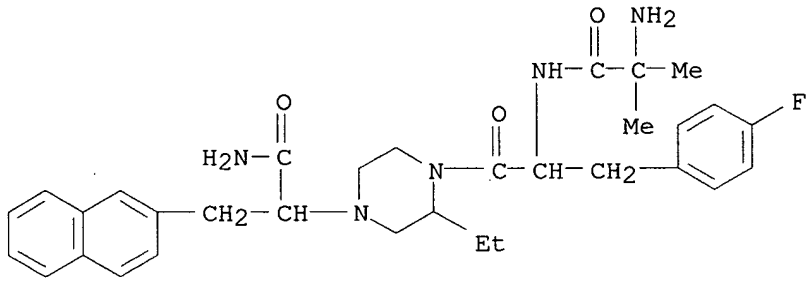
RN 686340-15-8 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3-chlorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)



RN 686340-16-9 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(4-chlorophenyl)methyl]-N-methyl-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl- (9CI) (CA INDEX NAME)

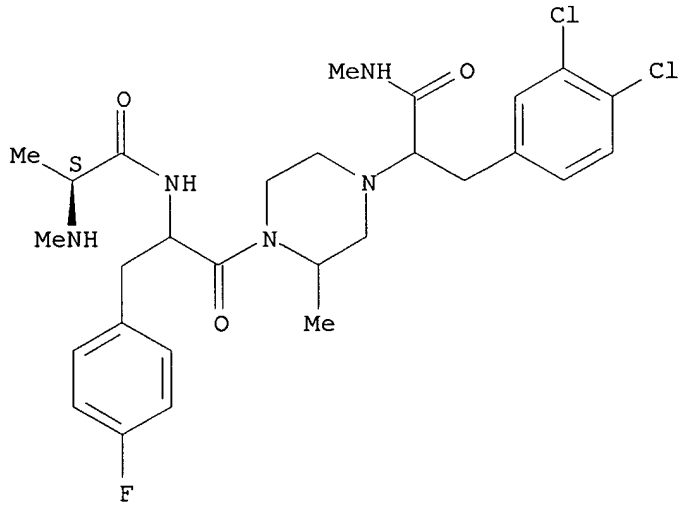


RN 686340-86-3 CAPLUS
CN 1-Piperazineacetamide, 3-ethyl-4-(2-methylalanyl-4-fluorophenylalanyl)-.alpha.-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



RN 686753-55-9 CAPLUS
CN 1-Piperazineacetamide, .alpha.-[(3,4-dichlorophenyl)methyl]-N,3-dimethyl-4-(N-methyl-L-alanyl-4-fluorophenylalanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

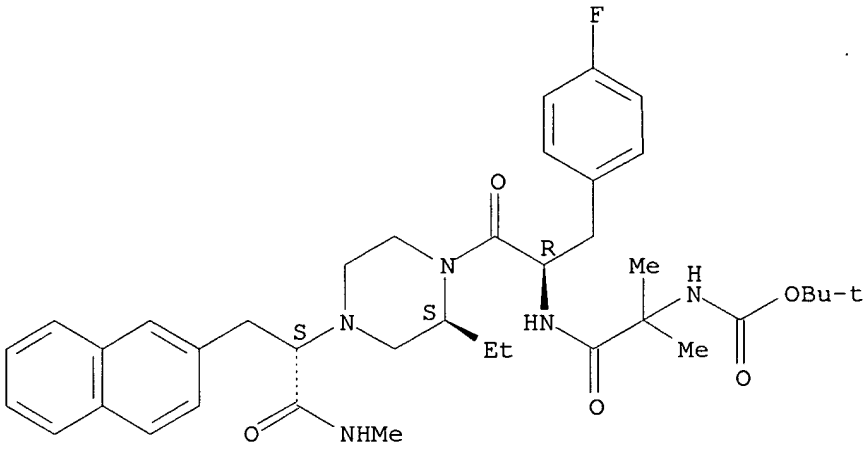


IT **686338-45-4P 686338-49-8P 686338-56-7P**
686338-58-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

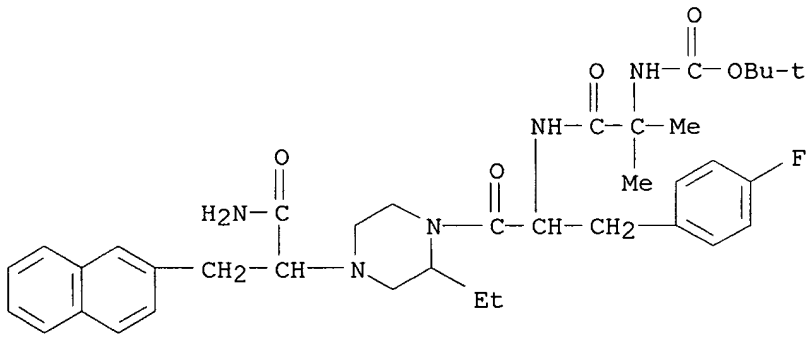
(Reactant or reagent)
(prepn. of piperazine amino acid derivs. and related compds. as melanocortin receptor ligands)

RN 686338-45-4 CAPLUS
CN Carbamic acid, [2-[[(1R)-2-[(2S)-2-ethyl-4-[(1S)-2-(methylamino)-1-(2-naphthalenylmethyl)-2-oxoethyl]-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

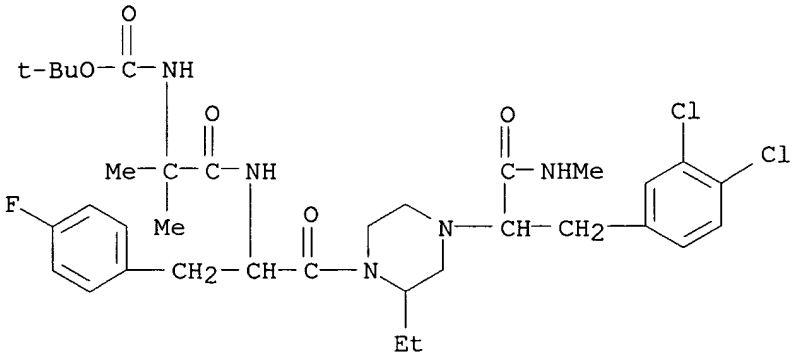
Absolute stereochemistry.



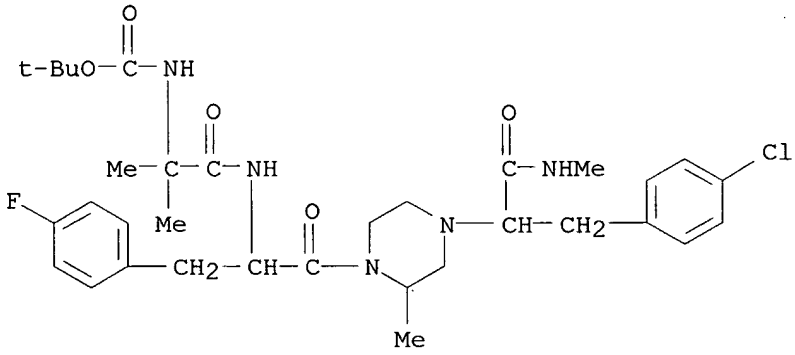
RN 686338-49-8 CAPLUS
CN Carbamic acid, [2-[[2-[4-[2-amino-1-(2-naphthalenylmethyl)-2-oxoethyl]-2-ethyl-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 686338-56-7 CAPLUS
CN Carbamic acid, [2-[[2-[4-[1-[(3,4-dichlorophenyl)methyl]-2-(methylamino)-2-oxoethyl]-2-ethyl-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 686338-58-9 CAPLUS
CN Carbamic acid, [2-[[2-[4-[1-[(4-chlorophenyl)methyl]-2-(methylamino)-2-oxoethyl]-2-methyl-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.60	1127.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.50	-69.75

FILE 'CAOLD' ENTERED AT 19:11:24 ON 02 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are

now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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=> d his

     (FILE 'HOME' ENTERED AT 17:23:28 ON 02 MAR 2006)

     FILE 'REGISTRY' ENTERED AT 17:24:13 ON 02 MAR 2006
L1             STRUCTURE UPLOADED
L2              50 S L1
L3            2316 S L1 SSS FULL
               SAVE L3 TEN689022/A

     FILE 'CAPLUS' ENTERED AT 17:25:51 ON 02 MAR 2006
L4             131 S L3

     FILE 'REGISTRY' ENTERED AT 17:43:25 ON 02 MAR 2006
L5             STRUCTURE UPLOADED
L6              50 S L5
L7             STRUCTURE UPLOADED
L8              40 S L7
L9             STRUCTURE UPLOADED
L10             40 S L9
L11            STRUCTURE UPLOADED
L12             40 S L11
L13            715 S L11 SSS FULL
               SAVE L13 TEN689022/A

     FILE 'CAPLUS' ENTERED AT 17:59:12 ON 02 MAR 2006
L14             47 S L13

     FILE 'CAOLD' ENTERED AT 18:34:59 ON 02 MAR 2006
L15              0 S L13

     FILE 'REGISTRY' ENTERED AT 19:08:23 ON 02 MAR 2006
L16            STRUCTURE UPLOADED
L17              1 S L16
L18             47 S L16 SSS FULL

     FILE 'CAPLUS' ENTERED AT 19:09:37 ON 02 MAR 2006
L19              2 S L18

     FILE 'CAOLD' ENTERED AT 19:11:24 ON 02 MAR 2006

=> s l18
L20              0 L18

=> log h
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY     SESSION
FULL ESTIMATED COST                            0.44     1127.88
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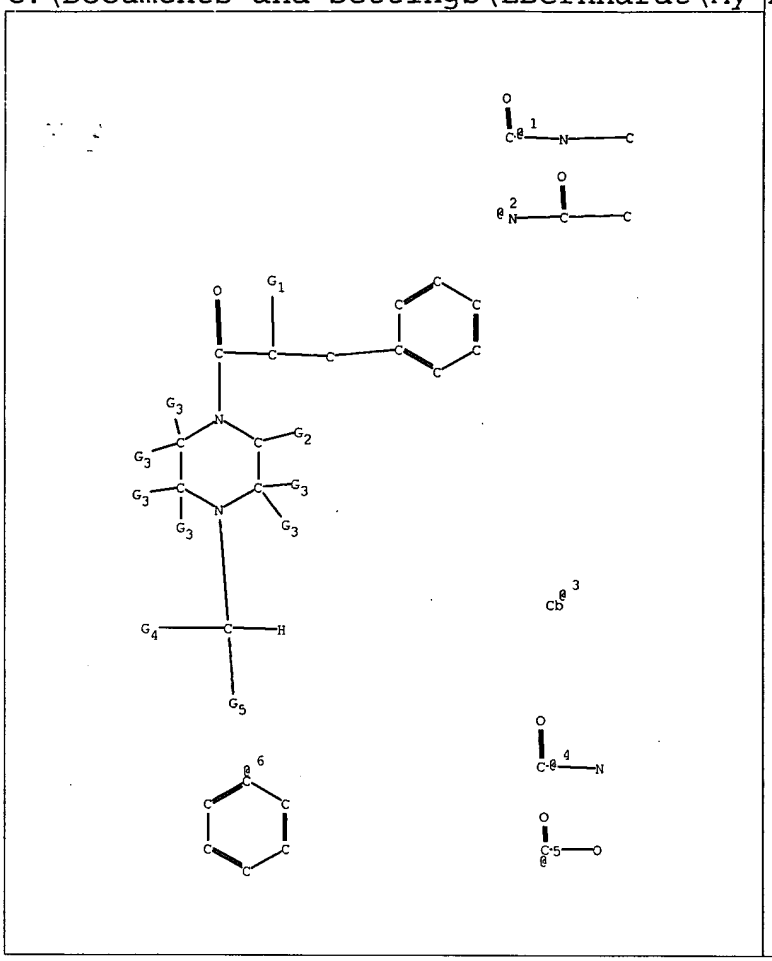
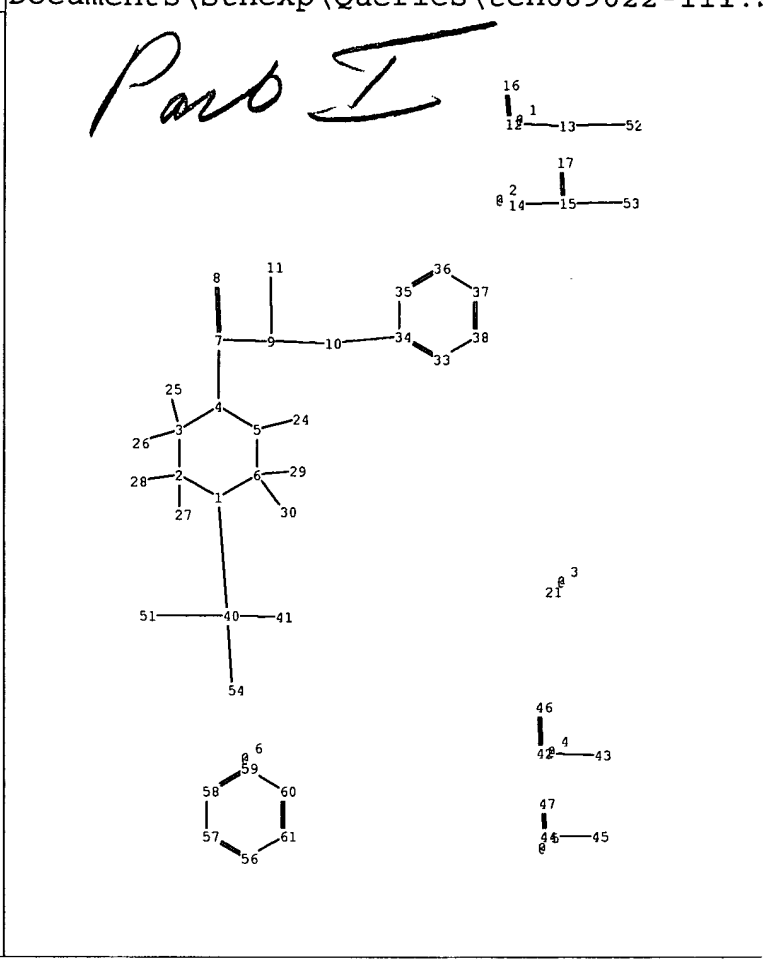
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-69.75

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 19:11:41 ON 02 MAR 2006

C:\Documents and Settings\EBernhardt\My Documents\Stnexp\Queries\ten689022-III.s

Part I





chain nodes :
7 8 9 10 11 12 13 14 15 16 17 21 24 25 26 27 28 29 30
40 41 42 43 44 45 46 47 51 54
ring nodes :
1 2 3 4 5 6 33 34 35 36 37 38 56 57 58 59 60 61
ring/chain nodes :
52 53
chain bonds :
1-40 2-27 2-28 3-25 3-26 4-7 5-24 6-29 6-30 7-8 7-9 9-10 9-11
10-34 12-13 12-16 13-52 14-15 15-17 15-53 40-41 40-51 40-54
42-43 42-46 44-45 44-47
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 33-34 33-38 34-35 35-36 36-37 37-38
56-57 56-61 57-58 58-59 59-60 60-61
exact/norm bonds :
1-2 1-6 1-40 2-3 2-27 2-28 3-4 3-25 3-26 4-5 4-7 5-6 5-24
6-29 6-30 7-8 9-11 12-13 12-16 13-52 14-15 15-17 40-51 40-54
42-43 42-46 44-45 44-47
exact bonds :
7-9 9-10 10-34 15-53 40-41
normalized bonds :
33-34 33-38 34-35 35-36 36-37 37-38 56-57 56-61 57-58 58-59
59-60 60-61
isolated ring systems :
containing 33 :

G1:[*1],[*2]

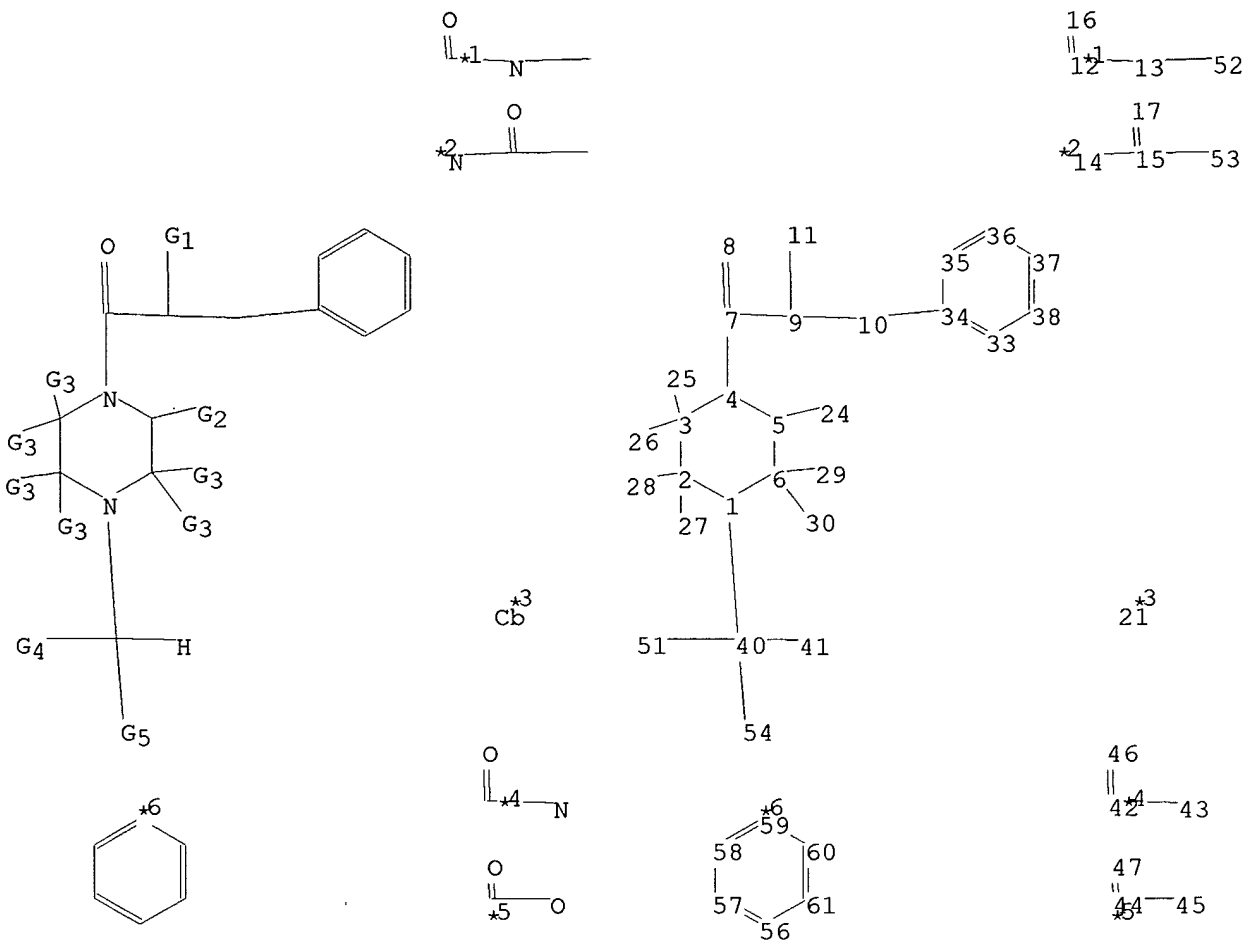
G2:C,H,[*3]

G3:H,CH3

G4:H,N,[*4],[*5]

G5:H,[*6]

Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS
    10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS
    17:CLASS 21:Atom 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS
    29:CLASS 30:CLASS 33:Atom 34:CLASS 35:CLASS 36:Atom 37:Atom
    38:Atom 40:CLASS 41:CLASS 42:CLASS 43:CLASS 44:CLASS 45:CLASS
    46:CLASS 47:CLASS 51:CLASS 52:CLASS 53:CLASS 54:CLASS 56:CLASS
    57:CLASS 58:Atom 59:Atom 60:Atom 61:Atom
Generic attributes :
    21:
    Saturation   : Saturated



chain nodes :
7 8 9 10 11 12 13 14 15 16 17 21 24 25 26 27 28 29 30 40 41 42 43 44 45 46 47 51 54
ring nodes :
1 2 3 4 5 6 33 34 35 36 37 38 56 57 58 59 60 61
ring/chain nodes :
52 53
chain bonds :
1-40 2-27 2-28 3-25 3-26 4-7 5-24 6-29 6-30 7-8 7-9 9-10 9-11 10-34 12-13 12-16 13-52 14-15 15-17 15-53 40-41 40-51 40-54 42-43 42-46 44-45 44-47
ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 33-34 33-38 34-35 35-36 36-37 37-38 56-57
56-61 57-58 58-59 59-60 60-61
exact/norm bonds :
1-2 1-6 1-40 2-3 2-27 2-28 3-4 3-25 3-26 4-5 4-7 5-6 5-24 6-29 6-30
7-8 9-11 12-13 12-16 13-52 14-15 15-17 40-51 40-54 42-43 42-46 44-45
44-47
exact bonds :
7-9 9-10 10-34 15-53 40-41
normalized bonds :
33-34 33-38 34-35 35-36 36-37 37-38 56-57 56-61 57-58 58-59 59-60 60-61
isolated ring systems :
containing 33 :

G1:[*1],[*2]

G2:C,H,[*3]

G3:H,CH3

G4:H,N,[*4],[*5]

G5:H,[*6]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 21:Atom
24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 33:Atom
34:CLASS 35:CLASS 36:Atom 37:Atom 38:Atom 40:CLASS 41:CLASS 42:CLASS
43:CLASS 44:CLASS 45:CLASS 46:CLASS 47:CLASS 51:CLASS 52:CLASS 53:CLASS
54:CLASS 56:CLASS 57:CLASS 58:Atom 59:Atom 60:Atom 61:Atom
Generic attributes :
21:
Saturation : Saturated

L11 STRUCTURE UPLOADED

=> s l11
SAMPLE SEARCH INITIATED 17:57:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 263 TO ITERATE

100.0% PROCESSED 263 ITERATIONS 40 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4287 TO 6233
PROJECTED ANSWERS: 421 TO 1179

L12 40 SEA SSS SAM L11

=> d l12 1-20

L12 ANSWER 1 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN

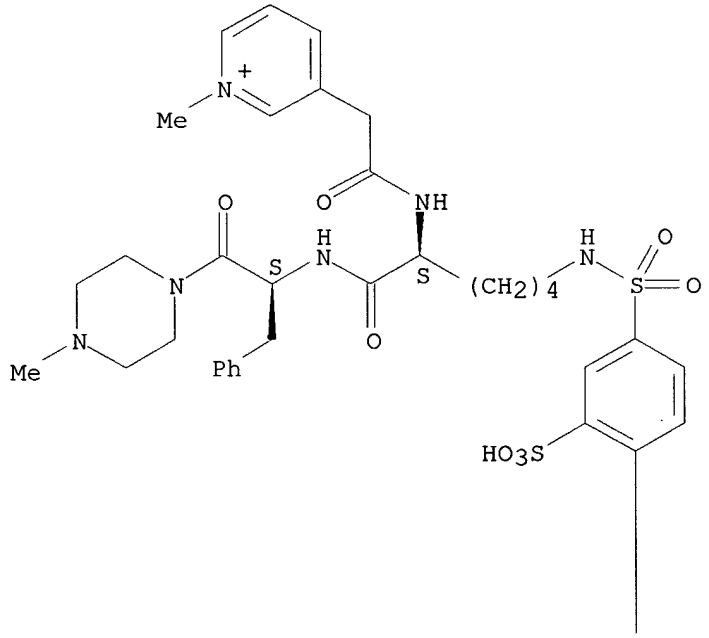
RN 871248-00-9 REGISTRY
ED Entered STN: 05 Jan 2006
CN Piperazine, 1-[N6-[[4-[3,6-bis(diethylamino)xanthylium-9-yl]-3-sulfophenyl]sulfonyl]-N2-[(1-methylpyridinium-3-yl)acetyl]-L-lysyl-L-phenylalanyl]-4-methyl-, salt with trifluoroacetic acid (1:2) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C55 H70 N8 O9 S2 . 2 C2 F3 O2
SR CA
LC STN Files: CA, CAPLUS

CM 1

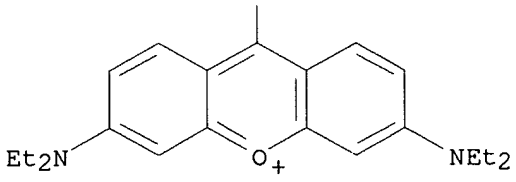
CRN 871247-99-3
CMF C55 H70 N8 O9 S2

Absolute stereochemistry.

PAGE 1-A

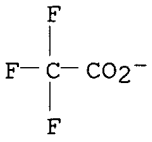


PAGE 2-A



CM 2

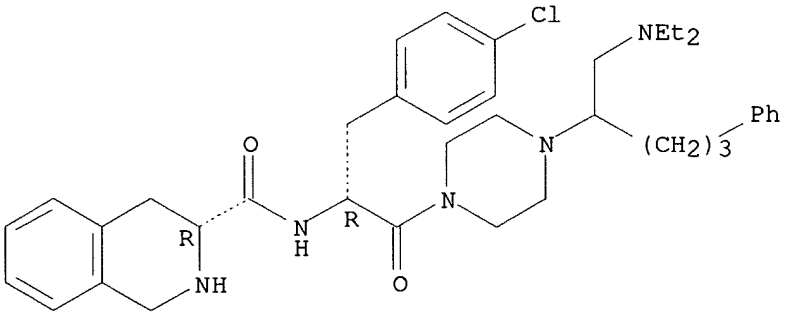
CRN 14477-72-6
CMF C2 F3 O2



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 2 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 870701-37-4 REGISTRY
ED Entered STN: 28 Dec 2005
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-[(diethylamino)methyl]-4-phenylbutyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H50 Cl N5 O2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

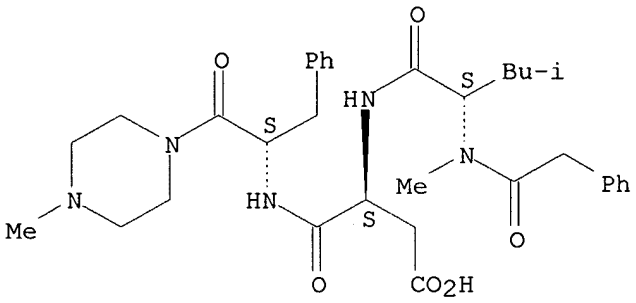
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 3 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 859774-75-7 REGISTRY
ED Entered STN: 12 Aug 2005
CN L-.alpha.-Asparagine, N-methyl-N-(phenylacetyl)-L-leucyl-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C33 H45 N5 O6 . C H4 O3 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT

CM 1

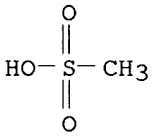
CRN 255840-32-5
CMF C33 H45 N5 O6

Absolute stereochemistry.



CM 2

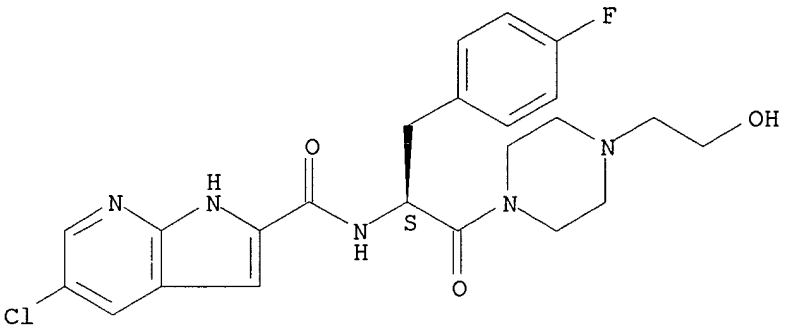
CRN 75-75-2
CMF C H4 O3 S



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 4 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 800401-26-7 REGISTRY
ED Entered STN: 21 Dec 2004
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-hydroxyethyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H25 Cl F N5 O3
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

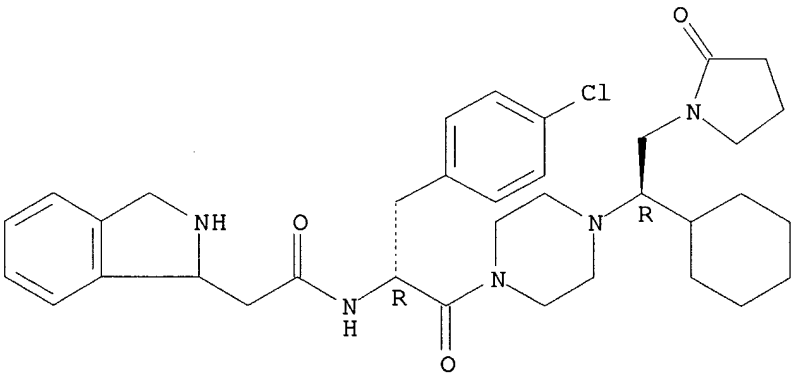


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 5 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 757181-37-6 REGISTRY
ED Entered STN: 06 Oct 2004
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(1R)-1-cyclohexyl-2-(2-oxo-1-pyrrolidinyl)ethyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C35 H46 Cl N5 O3
CI COM
SR CA

Absolute stereochemistry.

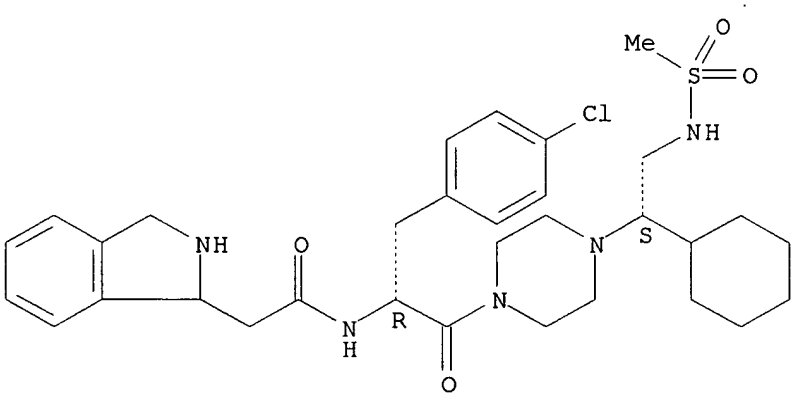


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 6 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 754971-63-6 REGISTRY
ED Entered STN: 01 Oct 2004
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(1S)-1-cyclohexyl-2-[(methylsulfonyl)amino]ethyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C32 H44 Cl N5 O4 S
CI COM
SR CA

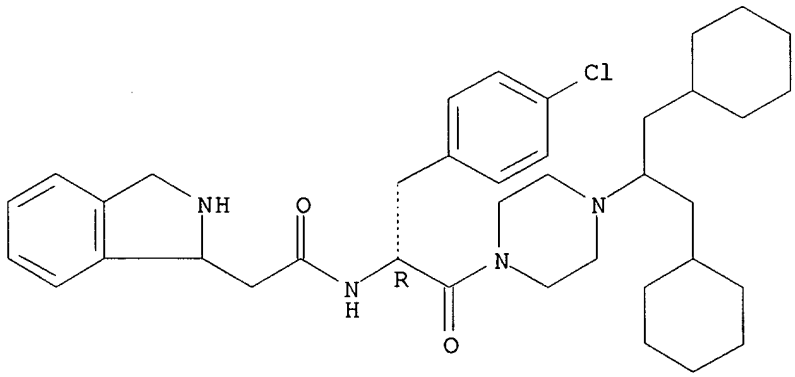
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 7 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 732979-35-0 REGISTRY
ED Entered STN: 26 Aug 2004
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-cyclohexyl-1-(cyclohexylmethyl)ethyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C38 H53 Cl N4 O2
CI COM
SR CA

Absolute stereochemistry.

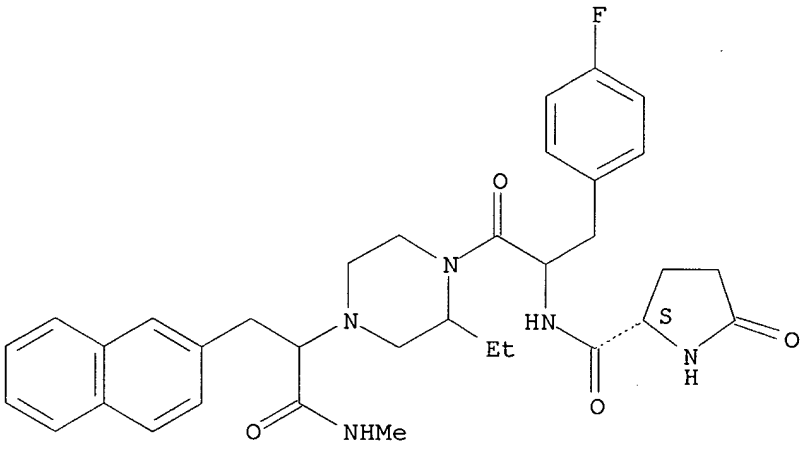


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 ANSWER 8 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 686753-63-9 REGISTRY
ED Entered STN: 28 May 2004
CN 1-Piperazineacetamide, 3-ethyl-N-methyl-.alpha.-(2-naphthalenylmethyl)-4-(5-oxo-L-prolyl-4-fluorophenylalanyl)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C34 H40 F N5 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 9 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 686753-48-0 REGISTRY
ED Entered STN: 28 May 2004
CN 1-Piperazineacetamide, .alpha.-[(2,4-dichlorophenyl)methyl]-N-methyl-4-(L-prolyl-4-fluorophenylalanyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C31 H40 Cl2 F N5 O3 . x C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

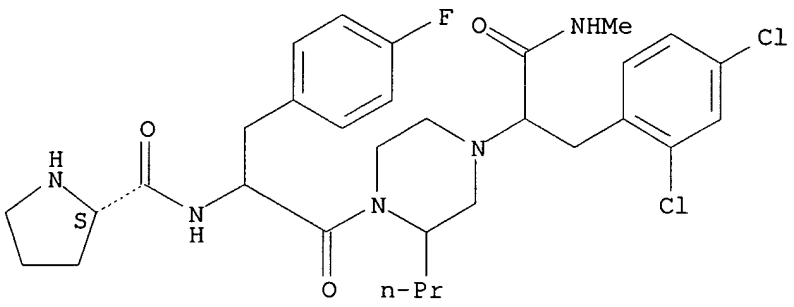
RELATED SEQUENCES AVAILABLE WITH SEQLINK

CM 1

CRN 686753-47-9
CMF C31 H40 Cl2 F N5 O3

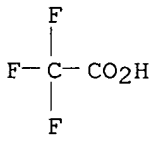
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



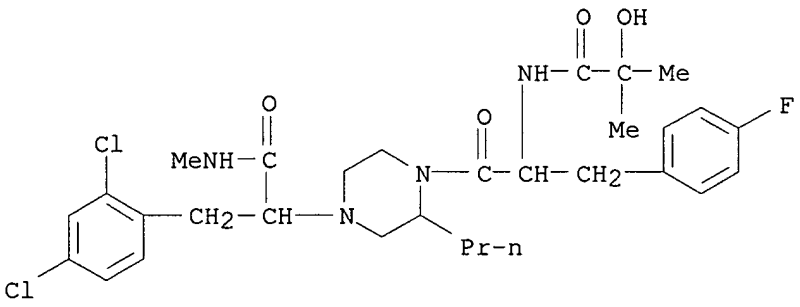
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 10 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 686339-55-9 REGISTRY
ED Entered STN: 27 May 2004
CN 1-Piperazineacetamide, .alpha.-[(2,4-dichlorophenyl)methyl]-4-[3-(4-fluorophenyl)-2-[(2-hydroxy-2-methyl-1-oxopropyl)amino]-1-oxopropyl]-N-methyl-3-propyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
MF C30 H39 Cl2 F N4 O4 . C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

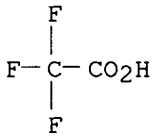
CM 1

CRN 686339-54-8
CMF C30 H39 Cl2 F N4 O4



CM 2

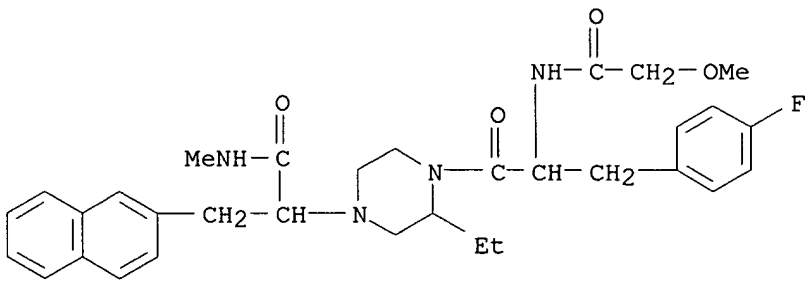
CRN 76-05-1
CMF C2 H F3 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 11 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 686339-35-5 REGISTRY
ED Entered STN: 27 May 2004
CN 1-Piperazineacetamide, 3-ethyl-4-[3-(4-fluorophenyl)-2-[(methoxyacetyl)amino]-1-oxopropyl]-N-methyl-.alpha.-(2-naphthalenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C32 H39 F N4 O4
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

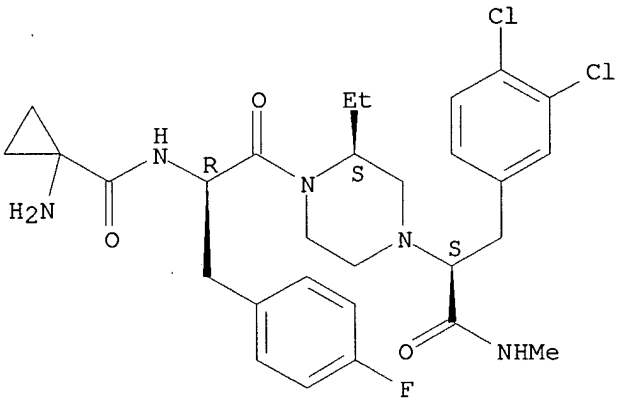


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 12 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 686339-14-0 REGISTRY
ED Entered STN: 27 May 2004
CN 1-Piperazineacetamide, 4-[(2R)-2-[[(1-aminocyclopropyl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-.alpha.-[(3,4-dichlorophenyl)methyl]-3-ethyl-N-methyl-, (.alpha.S,3S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H36 Cl2 F N5 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



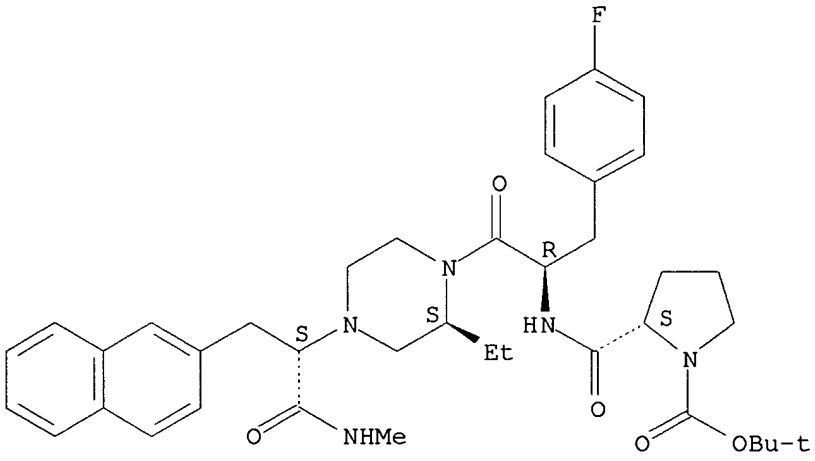
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 13 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 686338-97-6 REGISTRY
ED Entered STN: 27 May 2004
CN 1-Pyrrolidinecarboxylic acid, 2-[[[(1R)-2-[(2S)-2-ethyl-4-[(1S)-2-(methylamino)-1-(2-naphthalenylmethyl)-2-oxoethyl]-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C39 H50 F N5 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



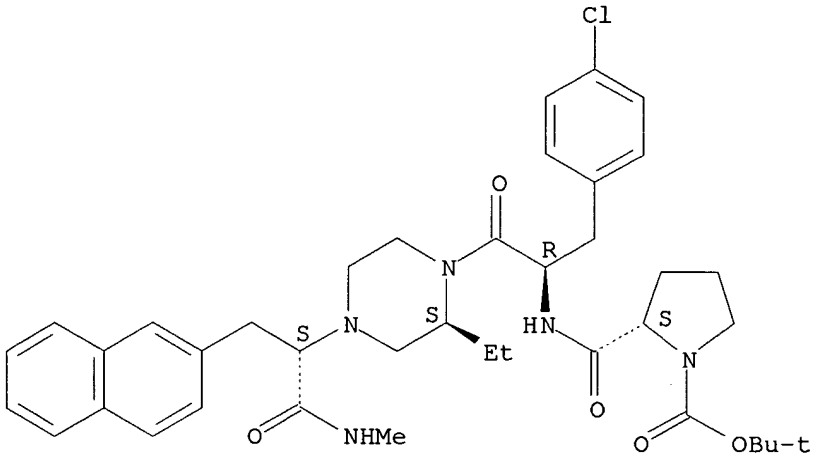
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 14 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 686338-94-3 REGISTRY
ED Entered STN: 27 May 2004
CN 1-Pyrrolidinecarboxylic acid, 2-[[[(1R)-1-[(4-chlorophenyl)methyl]-2-[(2S)-2-ethyl-4-[(1S)-2-(methylamino)-1-(2-naphthalenylmethyl)-2-oxoethyl]-1-piperazinyl]-2-oxoethyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C39 H50 Cl N5 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

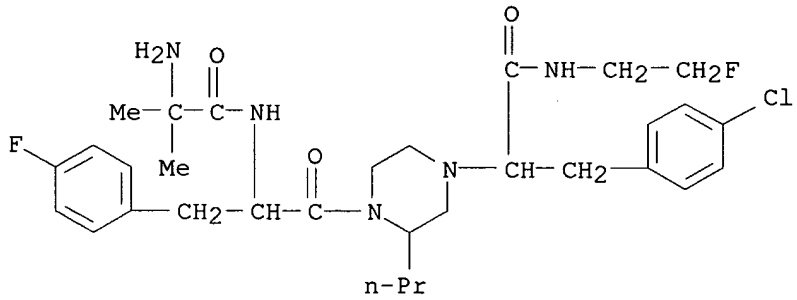
L12 ANSWER 15 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 686338-93-2 REGISTRY
ED Entered STN: 27 May 2004
CN 1-Piperazineacetamide, .alpha.-[(4-chlorophenyl)methyl]-N-(2-fluoroethyl)-4-(2-methylalanyl-4-fluorophenylalanyl)-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE
MF C31 H42 Cl F2 N5 O3 . x C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

CM 1

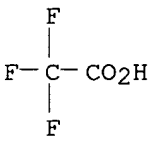
CRN 686338-92-1
CMF C31 H42 Cl F2 N5 O3

RELATED SEQUENCES AVAILABLE WITH SEQLINK



CM 2

CRN 76-05-1
CMF C2 H F3 O2

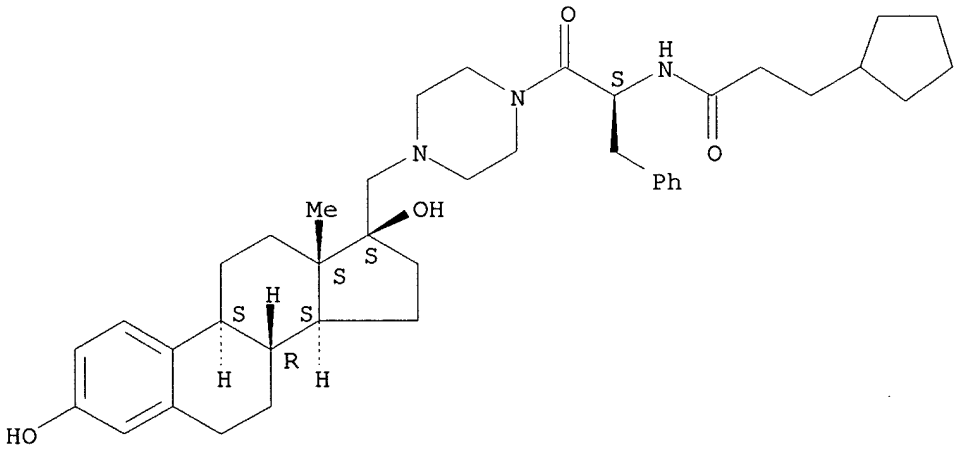


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 16 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 546122-48-9 REGISTRY
ED Entered STN: 11 Jul 2003
CN Cyclopentanepropanamide, N-[(1S)-2-[4-[[(17.beta.)-3,17-dihydroxyestra-1,3,5(10)-trien-17-yl]methyl]-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C40 H55 N3 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



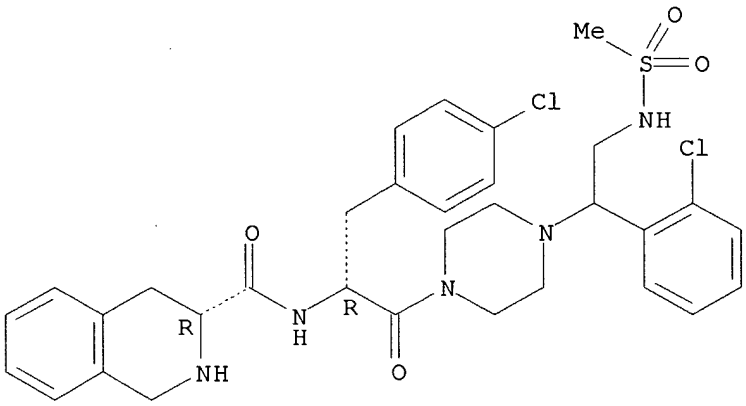
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 17 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN

RN 444898-12-8 REGISTRY
ED Entered STN: 26 Aug 2002
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(2-chlorophenyl)-2-[(methylsulfonyl)amino]ethyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H37 Cl2 N5 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

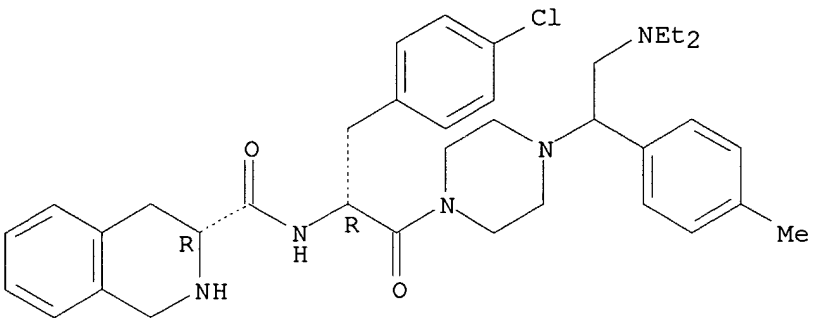


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 18 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 444898-02-6 REGISTRY
ED Entered STN: 26 Aug 2002
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-(diethylamino)-1-(4-methylphenyl)ethyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H46 Cl N5 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

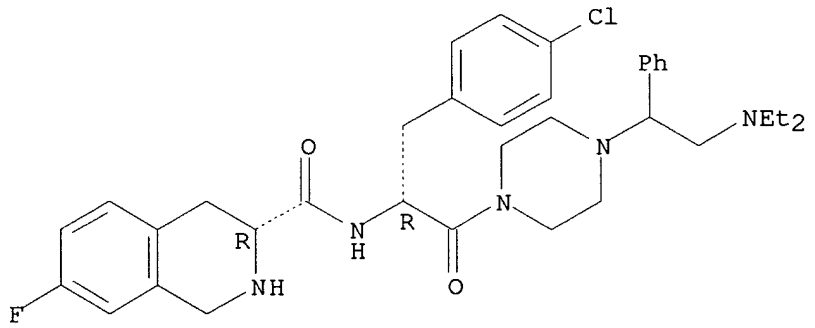


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 19 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 444897-74-9 REGISTRY
ED Entered STN: 26 Aug 2002
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-(diethylamino)-1-phenylethyl]-1-piperazinyl]-2-oxoethyl]-7-fluoro-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C35 H43 Cl F N5 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

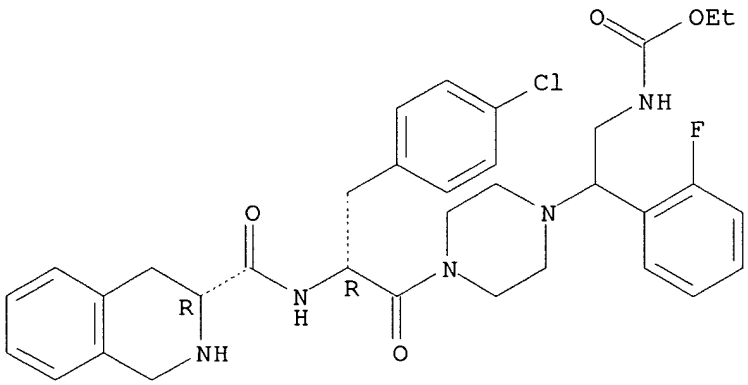
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 20 OF 40 REGISTRY COPYRIGHT 2006 ACS on STN
RN 444897-66-9 REGISTRY
ED Entered STN: 26 Aug 2002
CN Carbamic acid, [2-[4-[(2R)-3-(4-chlorophenyl)-1-oxo-2-[[[(3R)-1,2,3,4-

tetrahydro-3-isoquinolinyl]carbonyl]amino]propyl]-1-piperazinyl]-2-(2-fluorophenyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C34 H39 Cl F N5 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d his

(FILE 'HOME' ENTERED AT 17:23:28 ON 02 MAR 2006)

FILE 'REGISTRY' ENTERED AT 17:24:13 ON 02 MAR 2006
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 2316 S L1 SSS FULL
SAVE L3 TEN689022/A

FILE 'CAPLUS' ENTERED AT 17:25:51 ON 02 MAR 2006
L4 131 S L3

FILE 'REGISTRY' ENTERED AT 17:43:25 ON 02 MAR 2006
L5 STRUCTURE UPLOADED
L6 50 S L5
L7 STRUCTURE UPLOADED
L8 40 S L7
L9 STRUCTURE UPLOADED
L10 40 S L9
L11 STRUCTURE UPLOADED
L12 40 S L11

=> s l11 sss full
FULL SEARCH INITIATED 17:58:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5186 TO ITERATE

100.0% PROCESSED 5186 ITERATIONS 715 ANSWERS
SEARCH TIME: 00.00.01

L13 715 SEA SSS FUL L11

=> save l13
ENTER NAME OR (END):ten689022-III/a
TEN689022-III/A IS NOT A VALID SAVED NAME
Enter the name you wish to use for the saved query,
answer set, or L-number list. The name must:
1. Begin with a letter,
2. Have 1-12 characters,
3. Contain only letters (A-Z) and numbers (0-9),
4. End with /Q for a query (search profile, structure, or screen set), /A for an answer set, or /L for an L-number list.
5. Not already be in use as a saved name,
6. Not be END, SAV, SAVE, SAVED
7. Not have the form of an L-number (Lnnn).
ENTER NAME OR (END):ten689022/a
'TEN689022/A' IN USE
A single name cannot be used for two saved items at the same time.
Enter "Y" if you wish to replace the current saved name with a new
definition. Enter "N" if the current saved definition must be
preserved. You may then reenter the SAVE command with a different
saved name. Enter "DISPLAY SAVED" at an arrow prompt (=>) to see a
list of your currently defined saved names.
REPLACE OLD DEFINITION? Y/(N):y
ANSWER SET L13 HAS BEEN SAVED AS 'TEN689022/A'

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	272.94	659.81

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-30.00

FILE 'CAPLUS' ENTERED AT 17:59:12 ON 02 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 2 Mar 2006 VOL 144 ISS 10
FILE LAST UPDATED: 1 Mar 2006 (20060301/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l13
L14 47 L13

=> d l14 bib abs 1-47 fhitstr

L14 ANSWER 1 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1311372 CAPLUS
DN 144:51902
TI Preparation of traceable amino acid derivatives for determination of receptor ligands
IN Pattus, Franc Emile Jean; Guillier, Fabrice Yves; Hibert, Marcel; Haby, Christel Anne Epouse Franchet; Galzi, Jean Luc
PA Centre National De La Recherche Scientifique Cnrs, Fr.; Universite Louis Pasteur De Strasbourg
SO Fr. Demande, 70 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

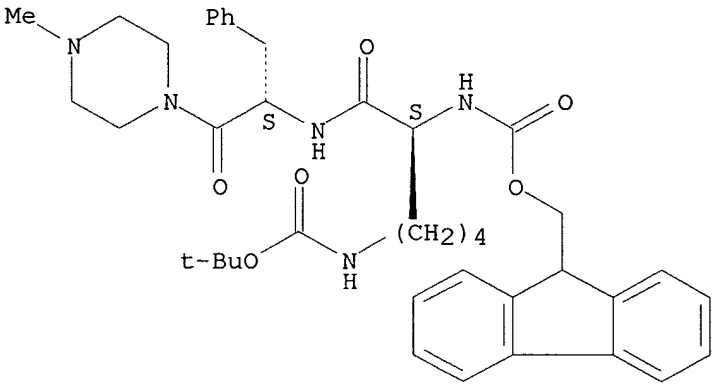
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2871465	A1	20051216	FR 2004-6465	20040615
WO 2006003329	A1	20060112	WO 2005-FR1501	20050615

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI FR 2004-6465 A 20040615
AB The invention relates to amino acid derivs. R4NR1'CH2CH2NR1COCHR2NHCOCH(NHCOR3)(CH2)1-6NH[CONH(CH2)1-12NH]0-1-A [R1, R1' are independently H, alkyl, (un)substituted aryl; R2 is an amino acid side chain; R3 is a group derived from a carboxylic acid which has a basic entity; R4 is alkyl or alkylphenyl; A is H, a protecting or tracer group, esp. a fluorophore, a colorant, or a "quencher"] for use in the detn. of receptor ligands or ligands used for specific affinity binding. Thus, R5CH2CO-Lys(lissamine)-Phe-R6 (lissamine represents lissamine rhodamine B sulfonyl, R5 is N-methyl-3-pyridineacetyl, R6 is 4-methyl-1-piperazinyl) was prepd. as the trifluoroacetate salt by the solid-phase method. A fluorimetric method for measuring expression of the receptor M1 fused to the protein EGFP is described.
IT **871247-97-1P**
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of traceable amino acid derivs. for detn. of receptor ligands)

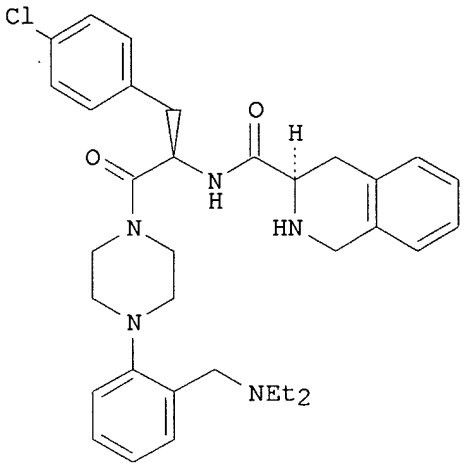
RN 871247-97-1 CAPLUS
CN Piperazine, 1-[N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-lysyl-L-phenylalanyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1084918 CAPLUS
DN 144:36494
TI Privileged structure based ligands for melanocortin receptors - Substituted benzylic piperazine derivatives
AU Fisher, Matthew J.; Backer, Ryan T.; Collado, Ivan; De Frutos, Oscar; Husain, Saba; Hsiung, Hansen M.; Kuklish, Steve L.; Mateo, Ana I.; Mullaney, Jeffrey T.; Ornstein, Paul L.; Paredes, Cristina Garcia; O'Brian, Thomas P.; Richardson, Timothy I.; Shah, Jikesh; Zgombick, John M.; Briner, Karin
CS Lilly Research Laboratories, Lilly Corporate Center, A Division of Eli Lilly and Company, Indianapolis, IN, 46258, USA
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(22), 4973-4978
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
GI



I

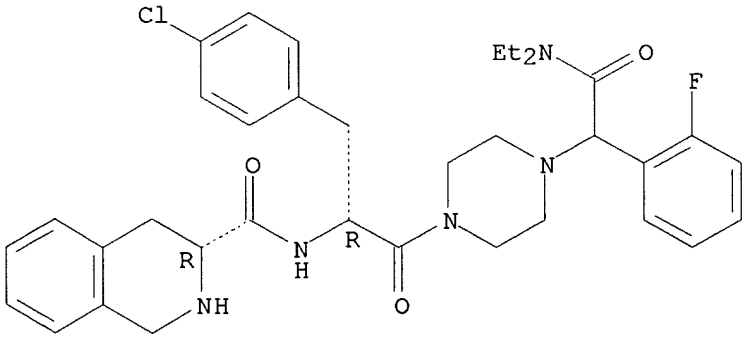
AB Replacement of the arylpiperazine moiety in I with a variety of substituted benzylic piperazines yields compds. that afford melanocortin receptor 4 (MCR4) activity. Analogs with ortho substitution on the arom. ring afforded the highest affinity. Resoln. of the stereocenter of the benzylic piperazine based privileged structure revealed that the R-enantiomer was more active.

IT **444892-89-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of isoquinolinecarbonylphenylalanine benzylpiperazinamides with melanocortin receptor 4 activity)

RN 444892-89-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-(diethylamino)-1-(2-fluorophenyl)-2-oxoethyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:630336 CAPLUS
DN 143:115803

TI Preparation of peptide derivatives with growth hormone releasing properties

IN Peschke, Bernd; Richter, Lutz; Kruse, Thomas Hansen; Ankersen, Michael
PA Novo Nordisk A/S, Den.

SO U.S., 44 pp., Cont.-in-part of U.S. Ser. No. 337,809, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6919315	B1	20050719	US 1999-356313	19990716
	ZA 2000007056	A	20011105	ZA 2000-7056	20001130
	US 2005233981	A1	20051020	US 2005-147017	20050607
PRAI	DK 1998-857	A	19980630		
	US 1998-91786P	P	19980706		
	DK 1998-1440	A	19981109		
	US 1998-108369P	P	19981113		
	US 1999-337809	B2	19990621		
	US 1999-356313	A1	19990716		

OS MARPAT 143:115803

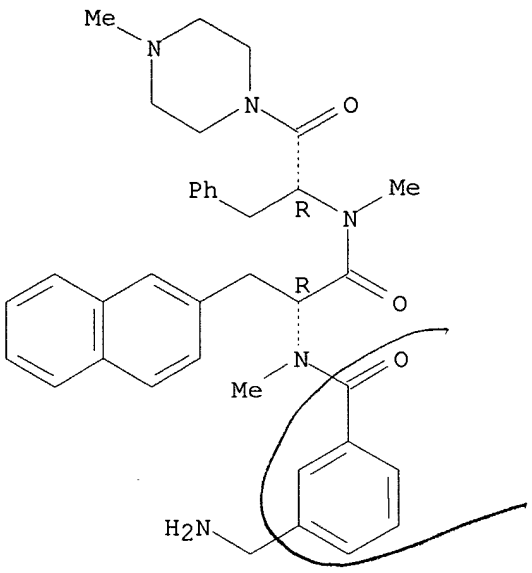
AB Peptides R8NH(CR6R7)f(CH2)e-M-(CHR5)d(CH2)cCONR1CH[(CH2)a-G]CONR2CH[(CH2)b-J]CO-L [R1 = H, alkyl; L = (un)substituted aza heterocyclyl or aza heterocyclylamino or -methylamino; G, J = -O(CH2)kR17 (k = 0-2, R17 = H, halo, aryl, hetaryl, alkyl, alkoxy), (un)substituted Ph, pyridyl, naphthyl, indolyl, imidazolyl, thienyl, or benzothienyl; a, b, c = 0-2; d, f = 0 or 1; e = 0-3; R5-R8 = H or (un)substituted alkyl; M = arylene, hetarylene, O, S, or ethylene which is optionally substituted by alkyl, arylalkyl, or hetarylalkyl] were prepd. for treating medical disorders resulting from a deficiency in growth hormone. Thus, (2E)-5-amino-5-methylhex-2-enoic acid N-[(1R)-1-[N-[(1R)-1-benzyl-2-[4-[(dimethylamino)methyl]piperidin-1-yl]-2-oxoethyl]-N-methylcarbamoyl]-2-(2-naphthyl)ethyl]-N-methylamide was prepd. via amidation of (2E)-5-[(tert-butoxycarbonyl)amino]-5-methylhex-2-enoic acid, followed by cleavage of the protecting group with trifluoroacetic acid.

IT **254905-34-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide derivs. with growth hormone releasing properties)

RN 254905-34-5 CAPLUS

CN 2-Naphthalenepropanamide, .alpha.-[[3-(aminomethyl)benzoyl]methylamino]-N-methyl-N-[(1R)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

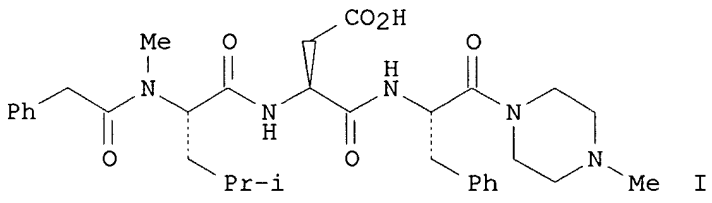


RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:559346 CAPLUS
DN 143:153708
TI Preparation of salt derivatives of piperazinyl peptides as inhibitors of cell adhesion
IN Chen, Guoqing
PA Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1542006	A	20041103	CN 2003-10106221	20031107
PRAI	CN 2003-10106221		20031107		

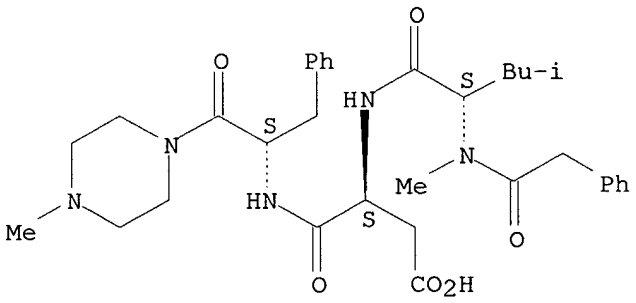
OS CASREACT 143:153708
GI



AB Salt derivs. of the tripeptide deriv. I are prepd. and disclosed as inhibitors of cell adhesion. The compds. of the invention should prove useful in treating various inflammation diseases and autoimmune disease.

IT **255840-32-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of salt derivs. of N-methylpiperazinyl peptides with ability to inhibit cell adhesion)
RN 255840-32-5 CAPLUS
CN L-.alpha.-Asparagine, N-methyl-N-(phenylacetyl)-L-leucyl-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:324277 CAPLUS
DN 142:390938
TI Anti-integrin .alpha.4.beta.1 antibodies and ligands for altering hematopoietic progenitor cell adhesion, differentiation, and migration
IN Varner, Judith A.
PA The Regents of the University of California, USA
SO PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005033275	A2	20050414	WO 2004-US31825	20040928

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2003-507202P P 20030929

AB The present invention satisfies the need in the art by providing methods for altering hematopoietic progenitor cell adhesion and/or migration to a target tissue. The target tissue is an injured, ischemic and/or malignant vascular endothelium, muscle, neuron, tumor, peripheral blood, cord blood, heart, eye, skin, synovia, etc. The invention also provides methods for altering hematopoietic progenitor cell differentiation into a second cell

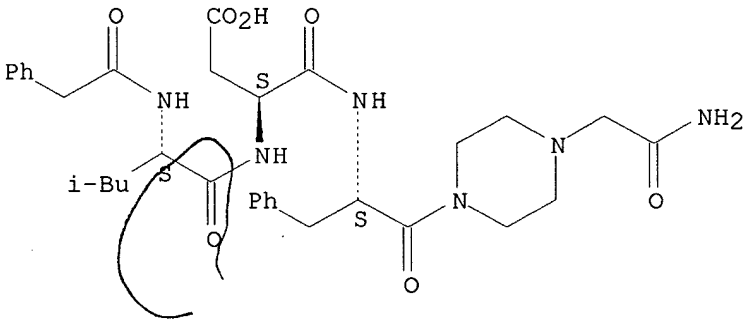
type. The second cell type is mesenchymal, epithelial, muscle, neuronal, immune, melanocytic, myoepithelial or embryonic cell. The invention also provides methods for screening test compds. for altering the level of hematopoietic progenitor cell adhesion and/or migration to a target tissue, and for altering hematopoietic progenitor cell differentiation into a second cell type. The invention further provides methods for isolating hematopoietic progenitor cells. The method uses anti-integrin .alpha.4.beta.1 antibodies or ligands.

IT **209600-89-5**
RL: ARU (Analytical role, unclassified); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(anti-integrin .alpha.4.beta.1 antibodies and ligands for screening drug to treat tissue injury, ischemia, inflammation, cancer, metastasis and angiogenic disease)

RN 209600-89-5 CAPLUS

CN L-.alpha.-Asparagine, N-(phenylacetyl)-L-leucyl-N-[(1S)-2-[4-(2-amino-2-oxoethyl)-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:141022 CAPLUS
DN 142:240711
TI Preparation of aryl and heteroaryl amino acid derivatives for treating viral infections
IN Mjalli, Adnan M. M.; Andrews, Robert C.; Arimilli, Murty N.; Rao, Mohan; Guzel, Mustafa; Bondlela, Muralidhar
PA Transtech Pharma, Inc., USA
SO PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005014534	A1	20050217	WO 2004-US25478	20040806

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2005049310	A1	20050303	US 2004-913882	20040806
	US 2005059713	A1	20050317	US 2004-913216	20040806
PRAI	US 2003-493878P	P	20030808		
	US 2003-493879P	P	20030808		
	US 2003-493903P	P	20030808		

OS MARPAT 142:240711

AB The invention relates to aryl and heteroaryl compds. Ar1-V-CH(X-Ar2)(CH2)0-2-G [I; the CH2 and CH2CH2 groups may be substituted by alkyl, aryl, arylalkyl, alkylaryl, alkylarylalkyl, alkoxy, aryloxy or OH; G is H, alkyl, heteroaryl, aryl, heterocyclyl, CH:CHCO2R1, CO2R1, CH2OR1, CH2SR1, COR1, CONR1R2, CR1:NOR2, COCOR1, COCONR1R2, CH:CHNO2, CH:CHCN, COCO2R1 or an acid or ester isostere, where R1, R2 independently are H, alkyl, aryl, etc. or may combine to form a ring; V is (CH2)1-2-O-(CH2)0-2, (CH2)1-2-NR8-(CH2)0-2, (CH2)1-2-O, (CH2)1-2-NR8, (CH2)0-2, CH:CH-R8 or a direct bond, where R8 is H, alkyl, aryl, etc. (the CH2 and CH2CH2 groups may be substituted); X is NR9, CONR9, NR9CO, NR9CONR10, O2CNR9, SO2NR9, NR9SO2 or NR9SO2NR10, where R9, R10 are independently H, alkyl, aryl, etc.; Ar1 is (un)substituted aryl, heteroaryl, fused cycloalkylaryl, fused cycloalkylheteroaryl, fused heterocyclylaryl or fused heterocyclylheteroaryl; Ar2 is (un)substituted aryl or heteroaryl] and their pharmaceutical compns. Compds. I may be useful for treating viral infections including ortho pox viruses, either alone or in combination with other therapeutic agents. Thus, 3-biphenyl-4-yl-(2S)-[(3'-chloro-4'-fluoro-4-hydroxybiphenyl-3-carbonyl)amino]propionic acid Me ester, prepd. by coupling reactions in the solid phase, inhibited viral replication with IC50 .ltoreq. 100 .mu.M.

IT **845528-52-1P**

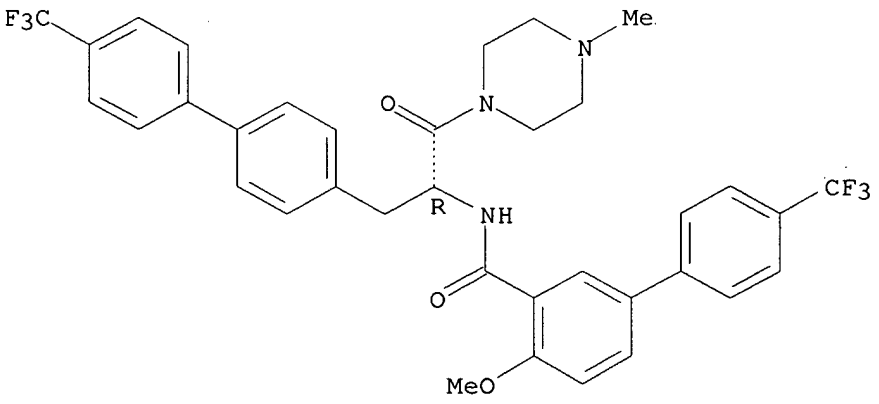
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl and heteroaryl amino acid derivs. for treating viral infections)

RN 845528-52-1 CAPLUS

CN [1,1'-Biphenyl]-3-carboxamide, 4-methoxy-N-[(1R)-2-(4-methyl-1-piperazinyl)-2-oxo-1-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]ethyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

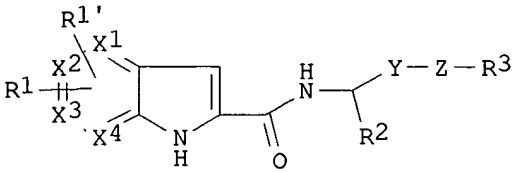


RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

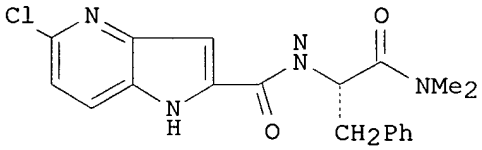
L14 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:1037102 CAPLUS
DN 142:23513
TI Preparation of pyrrolopyridine-2-carboxylic acid amide as inhibitors of glycogen phosphorylase
IN Bradley, Stuart Edward; Krulle, Thomas Martin; Murray, Peter John; Procter, Martin James; Rowley, Robert John; Sambrook Smith, Colin Peter; Thomas, Gerard Hugh
PA Osi Pharmaceuticals, Inc., USA; Schofield, Karen Lesley
SO PCT Int. Appl., 188 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004104001	A2	20041202	WO 2004-US16243	20040520
	WO 2004104001	A3	20050303		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2525502	AA	20041202	CA 2004-2525502	20040520
	US 2005261272	A1	20051124	US 2004-851902	20040520
PRAI	US 2003-472375P	P	20030521		
	US 2004-551256P	P	20040308		
	WO 2004-US16243	W	20040520		

OS MARPAT 142:23513
GI



I



II

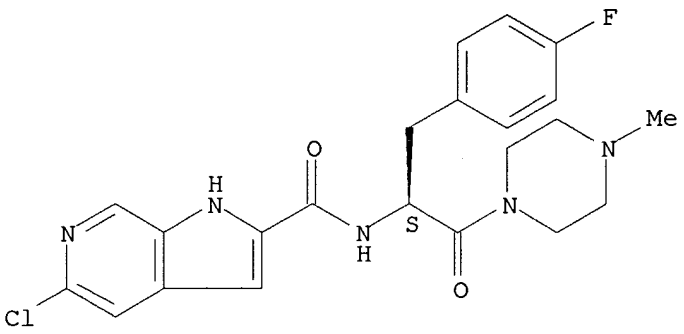
AB Heterocyclyl acyl amino acid derivs. I [one of X1-X4 is N and the others are C; R1, R1' are each independently halo, hydroxy, cyano, alkyl, alkoxy, fluoromethyl, ethenyl or ethynyl; R2 is alkyl or substituted alkyl, carboxy ester or acyl; Y is alkyl or CH(OH); Z is CH2, CO, O, (cyclo)alkylamino or absent, but when Y is CH(OH), Z or R3 must be bonded to Y through a carbon-carbon bond; R3 is H, carbalkoxy, alkoxy, alkyl, arylalkyl, alkylamino, etc.] or their stereoisomers or pharmaceutically-acceptable salts were prepd. as inhibitors of glycogen phosphorylase and are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis, etc. Thus, pyrrolo[3,2-b]pyridine-2-carboxylic acid L-phenylalaninamide deriv. II was prepd. via peptide coupling reaction and showed IC50 < 1 mM in the glycogen phosphorylase assay in vitro.

IT **800398-67-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

RN 800398-67-8 CAPLUS

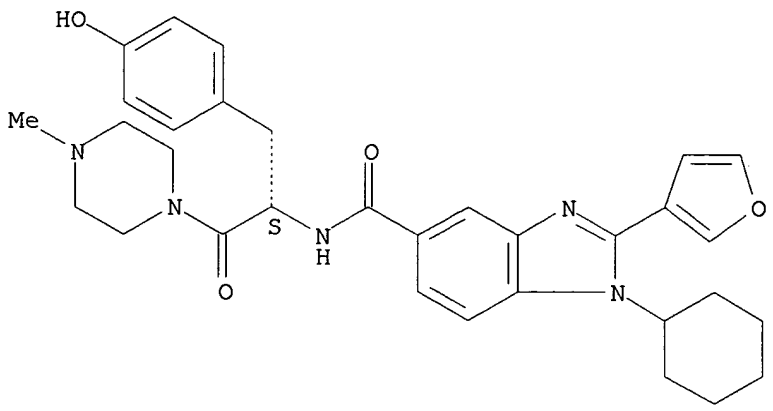
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:991104 CAPLUS
DN 142:126546
TI Non-Nucleoside Benzimidazole-Based Allosteric Inhibitors of the Hepatitis C Virus NS5B Polymerase: Inhibition of Subgenomic Hepatitis C Virus RNA Replicons in Huh-7 Cells
AU Beaulieu, Pierre L.; Bousquet, Yves; Gauthier, Jean; Gillard, James; Marquis, Martin; McKercher, Ginette; Pellerin, Charles; Valois, Serge; Kukolj, George
CS Departments of Chemistry and Biological Sciences, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S2G5, Can.
SO Journal of Medicinal Chemistry (2004), 47(27), 6884-6892
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:126546
AB A previously disclosed series of nonnucleoside allosteric inhibitors of the NS5B polymerase of the hepatitis C virus (HCV) was optimized to yield novel compds. with improved physicochem. properties and activity in cell-based assays. Replacement of ionizable carboxylic acids with neutral substituents in lead compds. produced inhibitors with cellular permeability and antiviral activity in a cell-based assay of subgenomic HCV RNA replication (replicon EC50 as low as 1.7 .mu.M). The improvement in potency in this ex vivo model of HCV RNA replication validates, in part, the mechanism by which this class of allosteric benzimidazole derivs. inhibits the polymerase and represents a significant step forward in the discovery of novel HCV therapeutics.
IT **824949-97-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(non-nucleoside benzimidazole-based allosteric inhibitors of hepatitis C virus NS5B polymerase and inhibition of subgenomic hepatitis C virus RNA replicons in huh-7 cells)
RN 824949-97-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 1-cyclohexyl-2-(3-furanyl)-N-[(1S)-1-[(4-hydroxyphenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

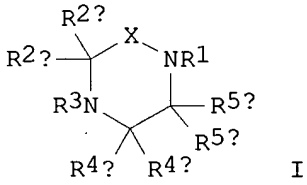
L14 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:965987 CAPLUS
DN 141:411221
TI Preparation of piperazine melanocortin receptor-specific compounds
IN Sharma, Shubh D.; Shi, Yi-qun; Rajpurohit, Ramesh; Wu, Zhijun; Purma, Papireddy; Shadiack, Annette M.; Burris, Kevin D.
PA Palatin Technologies, Inc., USA
SO U.S. Pat. Appl. Publ., 69 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004224957	A1	20041111	US 2004-837519	20040430
	WO 2004098602	A1	20041118	WO 2004-US13803	20040503
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1622618	A1	20060208	EP 2004-751262	20040503
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	US 2005130988	A1	20050616	US 2005-36282	20050114
	US 2005124636	A1	20050609	US 2005-40838	20050121
	US 2005176728	A1	20050811	US 2005-99814	20050405
PRAI	US 2003-467442P	P	20030501		
	US 2004-546393P	P	20040219		
	US 2001-311404P	P	20010810		
	WO 2002-US25574	A2	20020812		
	US 2003-474497P	P	20030530		

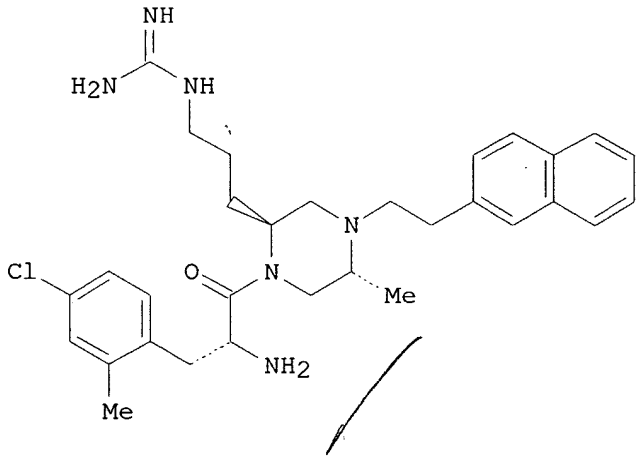
	US 2004-536606P	P	20040114
	US 2004-538100P	P	20040121
	US 2004-761889	A2	20040121
	US 2004-762079	A2	20040121
	US 2004-559741P	P	20040405
	US 2004-563739P	P	20040419
	US 2004-837519	A	20040430
	WO 2004-US13803	W	20040503

OS MARPAT 141:411221

GI



I



II

AB The invention relates to amino acid-derived piperazine compds. I [X is CH2, CO or CS; R1 is -L1-J; one of R2a and R2b is -L2-W and the other is H; R3 is -L3-Q; L1 is a bond or a linker unit comprising from one to eight backbone atoms selected from carbon, sulfur, oxygen or nitrogen; J is a ring structure, e.g., an (un)substituted arom. or non-arom. carbocyclic ring; L2 is a bond or (CH2)1-6; W is a heteroatom unit with at least one cationic center, hydrogen bond donor or acceptor (at least one heteroatom is nitrogen or oxygen); L3 is a bond or a linker unit comprising from one to nine backbone atoms selected from carbon, sulfur, oxygen or nitrogen; Q is (un)substituted Ph or naphthyl; one or two of R4a, R4b, R5a and R5b are independently -L2-W or an aliph. chain and the others are H, provided that at least one of R4a and R4b and at least one of R5a and R5b is H], including enantiomers, stereoisomers, diastereoisomers or pharmaceutically-acceptable salts, which bind with high affinity to one or more melanocortin receptors (MCR) and may be employed for treatment of melanocortin receptor-assocd. conditions or disorders. Thus, piperazine deriv. II was prepd. via reactions of 2-naphthylacetic acid, (R)-(-)-2-amino-1-propanol, Fmoc-L-Arg(Boc)2-OH (Fmoc = fluorenylmethoxycarbonyl, Boc = tert-butoxycarbonyl), and

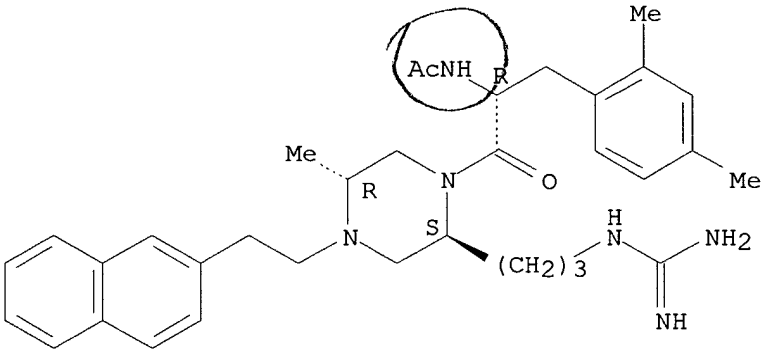
Boc-D-4-chloro-2-methyl-L-phenylalanine. Compd. II was shown to be a partial agonist as to MC4-R and in rats caused a decrease in food intake (administration 2 h prior to food presentation) and induced penile erection at 0.3-30 .mu.g/Kg.

IT **791625-28-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazine melanocortin receptor-specific compds.)

RN 791625-28-0 CAPLUS

CN Acetamide, N-[(1R)-2-[(2S,5R)-2-[3-[(aminoiminomethyl)amino]propyl]-5-methyl-4-[2-(2-naphthalenyl)ethyl]-1-piperazinyl]-1-[(2,4-dimethylphenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:652533 CAPLUS

DN 141:191073

TI Preparation of piperazines as melanocortin-specific agonists, antagonists, or mixed agonists and antagonists.

IN Sharma, Shubh D.; Shi, Yi-qun; Wu, Zhijun; Rajpurohit, Ramesh

PA Palatin Technologies, Inc., USA

SO U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of Appl. No. PCT/US02/25574.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004157264	A1	20040812	US 2004-762079	20040121
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2005102340	A1	20051103	WO 2004-US1462	20040121

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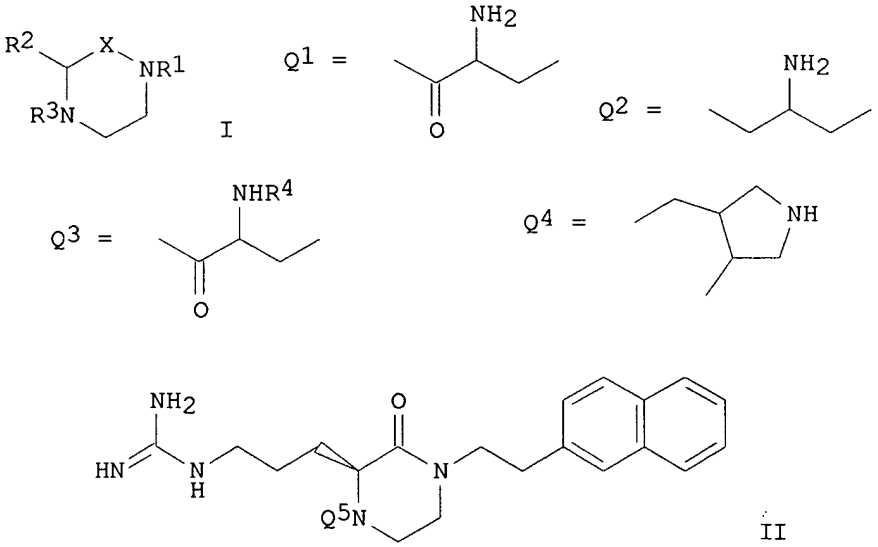
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2005130988	A1	20050616	US 2005-36282	20050114
	US 2005124636	A1	20050609	US 2005-40838	20050121
	US 2005176728	A1	20050811	US 2005-99814	20050405
PRAI	US 2001-311404P	P	20010810		
	WO 2002-US25574	A2	20020812		
	US 2003-474497P	P	20030530		
	US 2003-467442P	P	20030501		
	US 2004-536606P	P	20040114		
	US 2004-538100P	P	20040121		
	US 2004-761889	A2	20040121		
	US 2004-762079	A2	20040121		
	US 2004-546393P	P	20040219		
	US 2004-559741P	P	20040405		
	US 2004-563739P	P	20040419		
	US 2004-837519	A2	20040430		

OS MARPAT 141:191073

GI



AB Title compds. [I; R1 = L1J, H; R2 = (CH2)yW, J, L1J; R3 = L2Q; L1 = (CH2)y, O(CH2)y, NH(CH2)y, CO(CH2)y, CO2(CH2)y, CH2CONH; J = (substituted) aryl, carbocyclyl, carbobicyclyl, heterobicyclyl; W = heteroatom unit with .gtoreq.1 cationic center, hydrogen bond donor, or hydrogen bond acceptor wherein .gtoreq.1 atom = N; L2 = Q1, Q2, Q3, Q4, etc.; Q = (substituted)

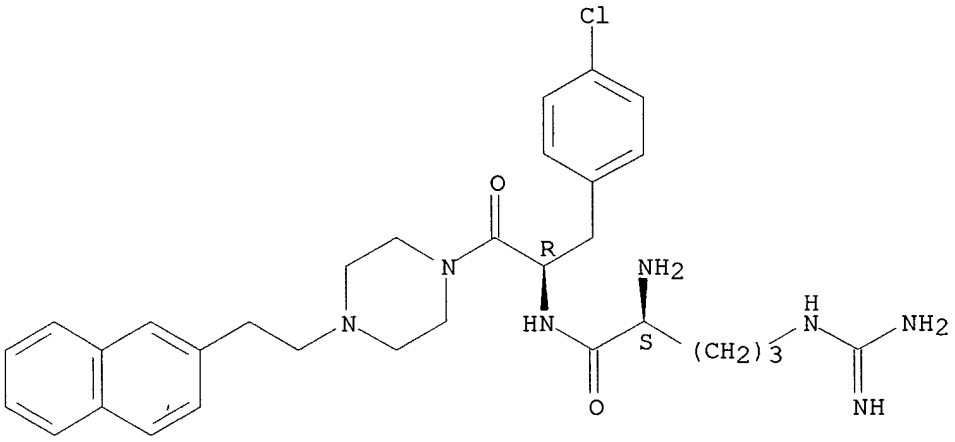
Ph, naphthyl; R4 = H, R5, R5R6; R5 = amino acid residue, amine capping group; R6 = H, amine capping group; y = 1-6], were prepd. Thus, title compd. (II; Q5 = 2,4-dichloro-D-phenylalanyl) (general prepn. given) at 1 .mu.M gave 95% inhibition of melanocortin MC4-R.

IT **738600-16-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazines as melanocortin-specific agonists, antagonists, or mixed agonists and antagonists)

RN 738600-16-3 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-(2-naphthalenyl)ethyl]-1-piperazinyl]-2-oxoethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:370912 CAPLUS
DN 140:407110
TI Preparation of piperazine amino acid derivatives and related compounds as melanocortin receptor ligands
IN Ebetino, Frank Hallock; Tian, Xinrong; Mazur, Wieslaw Adam; Colson, Anny-Odile
PA The Procter & Gamble Company, USA
SO PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037797	A2	20040506	WO 2003-US33402	20031022
	WO 2004037797	A3	20041104		

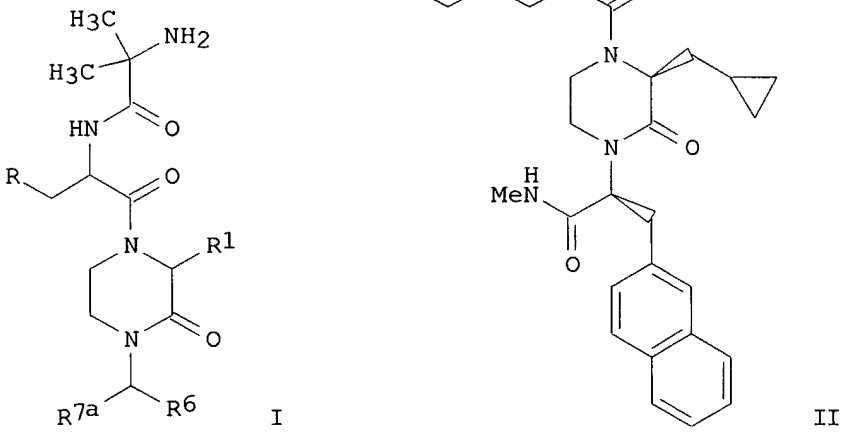
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005010031	A1	20050113	US 2003-689022		20031020
CA 2501231	AA	20040506	CA 2003-2501231		20031022
AU 2003286557	A1	20040513	AU 2003-286557		20031022
EP 1556361	A2	20050727	EP 2003-777759		20031022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003015614	A	20050830	BR 2003-15614		20031022
JP 2006506384	T2	20060223	JP 2004-546990		20031022
NO 2005002476	A	20050523	NO 2005-2476		20050523
PRAI US 2002-420578P	P	20021023			
WO 2003-US33402	W	20031022			

OS MARPAT 140:407110

GI



I II

AB The invention relates to compds. which comprise a nitrogen-contg. ring scaffold, e.g., 2-keto-3-alkylpiperazines I [R is Ph, 3- or 4-fluoro-, 3,5-difluoro- or 4-chlorophenyl; R1 is Me, Et, Pr, iso-Pr, Bu, iso-Bu, sec-Bu, tert-Bu, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1- or 2-methylallyl, but-2-enyl or propargyl; R7a is H, CO2H, CONH2, CONHMe, and -CONMe2, etc.; R8 is (un)substituted benzyl or naphthalen-2-ylmethyl], which are melanocortin receptor ligands. Thus, piperazinone deriv. II was prepd. via sequential peptide couplings in soln.; the piperazine ring was formed by cyclocondensation of the allylglycinamide moiety with 1,2-dibromoethane (K2CO3/DMF at 65.degree. for 12 h).

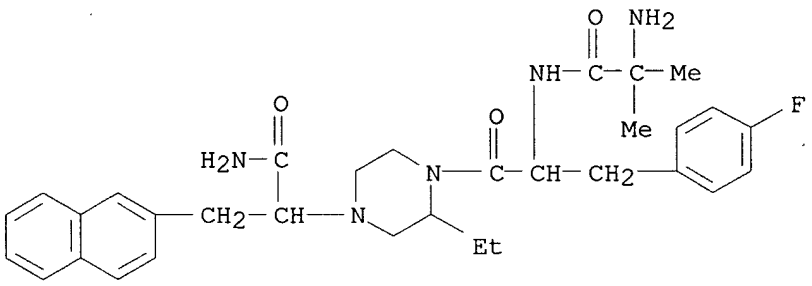
IT **686338-51-2P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of piperazine amino acid derivs. and related compds. as melanocortin receptor ligands)

RN 686338-51-2 CAPLUS

CN 1-Piperazineacetamide, 3-ethyl-4-(2-methylalanyl-4-fluorophenylalanyl)-.alpha.-(2-naphthalenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

L14 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:203810 CAPLUS

DN 140:235612

TI Preparation of dihydropyridinones as human neutrophil elastase (HNE) inhibitors

IN Gielen, Heike; Li, Volkhart Min-Jian; Rosentreter, Ulrich; Schlemmer, Karl-Heinz; Allerheiligen, Swen; Telan, Leila; Baerfacker, Lars; Keldenich, Joerg; Fitzgerald, Mary F.; Nash, Kevin; Albrecht, Barbara; Meurer, Dirk

PA Bayer Healthcare A.-G., Germany

SO PCT Int. Appl., 166 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004020410	A2	20040311	WO 2003-EP9108	20030818
	WO 2004020410	A3	20040422		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2496815	AA	20040311	CA 2003-2496815	20030818
	AU 2003293356	A1	20040319	AU 2003-293356	20030818
	EP 1554246	A2	20050720	EP 2003-790904	20030818
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006503820 T2 20060202 JP 2004-532090 20030818
PRAI GB 2002-19894 A 20020827
GB 2002-21143 A 20020912
WO 2003-EP9108 W 20030818
OS MARPAT 140:235612
GI

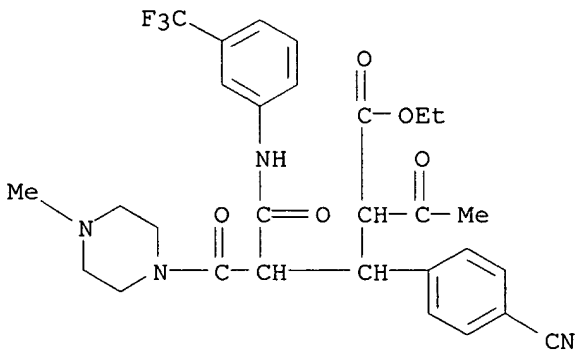
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = hetero/aryl; R1, R2, R3 = independently H, halo, NO2, CN, CF3, OCF3, (un)substituted alkyl, OH and derivs., R4 = alkenoxycarbonyl, hydroxycarbonyl, CN, (un)substituted alkylcarbonyl, alkoxycarbonyl, alkyl/cycloalkyl/N-(heterocyclyl)/mono/di/aminocarbonyl; R5 = alkyl; R6 = H, CN, cycloalkyl/alkyl/aminocarbonyl, cycloalkylcarbonyl, arylcarbonyl, hydroxycarbonyl, alkenoxycarbonyl, aryloxycarbonyl, (un)substituted mono/di/aryl/aminocarbonyl, alkylcarbonyl, alkoxycarbonyl, or R6 = 4-carbonylpiperazinyl and derivs., 4-carbonylmorpholinyl, etc.; R7 = H, halo, NO2, CN, CF3, OCF3, (un)substituted alkyl, alkoxy; ,Y1, Y2, Y3, Y4, Y5 = independently CH or N; and their salts, hydrates, and/or solvates, and their tautomeric forms] were prepd. as human neutrophil elastase (HNE) inhibitors. For example, II was prepd. by cyclocondensation of III (prepn. given) with 4-formylbenzonitrile and 2-cyanoacetamide in the presence of EtOH/piperidine, followed by reaction with water in acetic acid. In an in vitro assay, I inhibited HNE with IC50 values within the range of 5 nM - 5 .mu.M. Thus, I are useful for treatment of chronic obstructive pulmonary diseases, acute coronary syndrome, acute myocardial infarction and heart failure development.

IT **669000-14-0P**, Ethyl 2-acetyl-3-(4-cyanophenyl)-5-(4-methyl-1-piperazinyl)-5-oxo-4-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]pentanoate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of dihydropyridinones as human neutrophil elastase inhibitors)

RN 669000-14-0 CAPLUS

CN 1-Piperazinepentanoic acid, .alpha.-acetyl-.beta.-(4-cyanophenyl)-4-methyl-.delta.-oxo-.gamma.-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

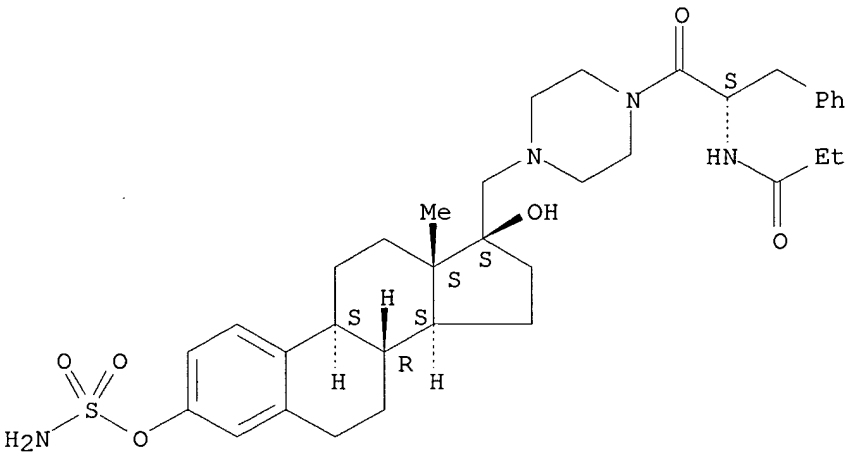


L14 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:323988 CAPLUS
DN 139:53200
TI Solid-Phase Parallel Synthesis of 17.alpha.-Substituted Estradiol Sulfamate and Phenol Libraries Using the Multidetachable Sulfamate Linker
AU Ciobanu, Liviu C.; Poirier, Donald
CS Medicinal Chemistry Division Oncology and Molecular Endocrinology Research Center, Centre Hospitalier Universitaire de Quebec (CHUQ) Pavillon CHUL, Sainte-Foy, QC, G1V 4G2, Can.
SO Journal of Combinatorial Chemistry (2003), 5(4), 429-440
CODEN: JCCHFF; ISSN: 1520-4766
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:53200
AB An application of the multidetachable sulfamate linker in the synthesis of two model libraries of N-derivatized 17.alpha.-piperazinomethyl estradiols (phenols and sulfamates) by solid-phase parallel chem. is reported. The solid-phase precursor, a 3-sulfamoyl-17.alpha.-(N-trifluoroacetyl-piperazinomethyl)estradiol, was synthesized in soln. from estrone and loaded efficiently onto trityl chloride resin as polymeric support. After cleavage of the trifluoroacetyl protecting group, sequential acylation reactions with five Fmoc-protected amino acids and five carboxylic acids were performed to introduce two levels of mol. diversity. Finally, the resins were split into two parts, and acidic (5% trifluoroacetic acid in dichloromethane) and nucleophilic (piperazine in tetrahydrofuran) cleavages were used to generate libraries A (5 .times. 5 sulfamates) and B (5 .times. 5 phenols) members in overall yields of 18-66% and high HPLC purities (87-96%) without purifn. steps. A preliminary screening test for inhibition of steroid sulfatase showed that the phenols were clearly weaker inhibitors, as compared to their sulfamate analogs. The most potent inhibitors were those with suitable hydrophobic amino acid and carboxylic acid substituents. Thus, compds. with a phenylalanine residue as the first element of diversity inhibited over 90% of steroid sulfatase activity at a concn. of 1 nM in homogenates of HEK-293 transfected cells, being as potent as the leading inhibitor 17.alpha.-tert-butylbenzyl estradiol 3-O-sulfamate previously reported. These results suggest that the steroid sulfatase inhibitory potency of estradiol derivs., sulfamoylated or not, can be increased by the hydrophobic effect of a suitable substituent introduced in the proximity of the D ring of the steroid. The present work also demonstrated the efficiency and the cleavage versatility of the sulfamate linker to generate libraries of compds. with relevant biol. importance, phenols and sulfamates.
IT **546122-12-7P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(solid-phase parallel synthesis and steroid sulfatase inhibitory activity of estradiol sulfamate and phenol libraries)
RN 546122-12-7 CAPLUS
CN Propanamide, N-[(1S)-2-[4-[[(17.beta.)-3-[(aminosulfonyl)oxy]-17-hydroxyestra-1,3,5(10)-trien-17-yl]methyl]-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

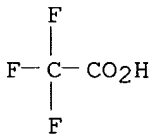
CRN 546122-11-6
CMF C35 H48 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



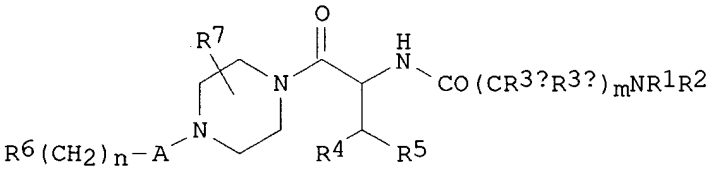
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:301053 CAPLUS
DN 138:321578
TI Preparation of peptides as ligands of melanocortin receptors
IN Dyck, Brian P.; Goodfellow, Val; Phillips, Teresa; Parker, Jessica; Zhang, Xiaohu; Chen, Chen; Tran, Joe Anh; Pontillo, Joseph; Tucci, Fabio C.
PA Neurocrine Biosciences, Inc., USA
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

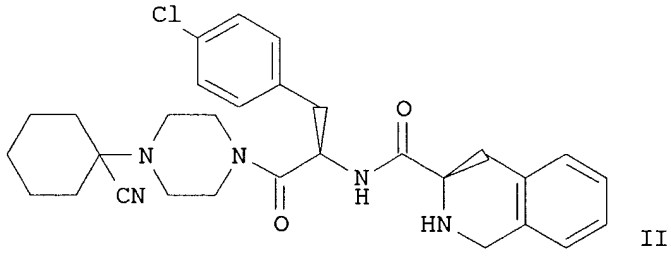
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003031410	A1	20030417	WO 2002-US32282	20021009

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003158209 A1 20030821 US 2002-268923 20021009
EP 1465867 A1 20041013 EP 2002-800985 20021009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
JP 2005506338 T2 20050303 JP 2003-534394 20021009
PRAI US 2001-328295P P 20011009
US 2002-366745P P 20020322
WO 2002-US32282 W 20021009
OS MARPAT 138:321578
GI



I



II

AB The invention relates to peptides I [m = 1-4; n = 0-4; A is (un)substituted alkanediyl; R1, R2, R3a, R3b = H, (un)substituted alkyl, aryl, arylalkyl, heterocyclyl, or heterocyclylalkyl or may combine to form rings; R1 or R2 may also be acyl; R4 = (un)substituted (hetero)aryl; R5 = H, OH, (un)substituted alkyl, aryl, or heterocyclyl; R6 = cyano, nitro, (un)substituted heterocyclyl, amino, carbamoyl, etc.; R7 = H or 1-4 substituents], or stereoisomers, prodrugs or pharmaceutically-acceptable salts, which function as melanocortin receptor ligands and may be used to treat disorders or illnesses including cachexia, obesity, diabetes, inflammation, and sexual dysfunction. Thus, treatment of cyclohexanone with sodium metabisulfite in H2O, followed by addn. of Boc-protected piperazine and then NaCN, afforded 1-Boc-4-(1-cyanocyclohexyl)piperazine. The latter was converted into peptide II via coupling reaction.

IT **511538-71-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

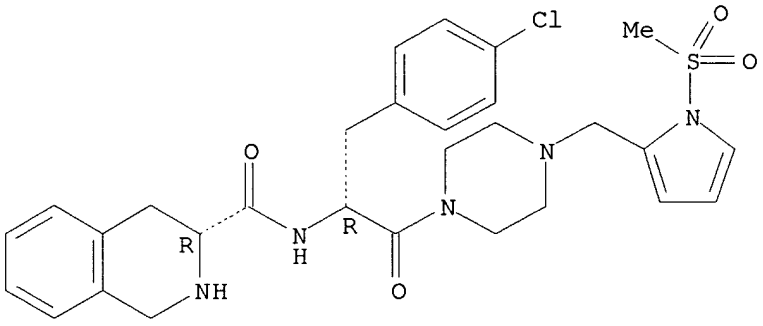
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as ligands of melanocortin receptors)

RN 511538-71-9 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[1-(methylsulfonyl)-1H-pyrrol-2-yl]methyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

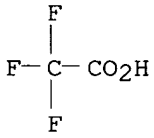
CRN 511538-70-8
CMF C29 H34 Cl N5 O4 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



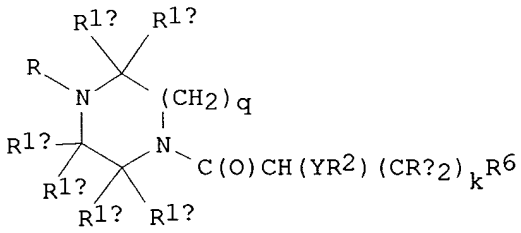
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:97304 CAPLUS
DN 138:137330
TI Preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes
IN Fotsch, Christopher H.; Arasasingham, Premilla; Bo, Yunxin; Chen, Ning; Goldberg, Martin H.; Han, Nianhe; Hsieh, Feng-Yin; Kelly, Michael G.; Liu, Qingyian; Norman, Mark H.; Smith, Duncan M.; Stec, Markian; Tamayo, Nuria; Xi, Ning; Xu, Shimin
PA Amgen Inc., USA
SO PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003009850	A1	20030206	WO 2002-US23926	20020725
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003220324	A1	20031127	US 2002-202823	20020724
	CA 2454903	AA	20030206	CA 2002-2454903	20020725
	EP 1417190	A1	20040512	EP 2002-761189	20020725
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005503369	T2	20050203	JP 2003-515242	20020725
PRAI	US 2001-307831P	P	20010725		
	US 2002-202823	A	20020724		
	WO 2002-US23926	W	20020725		

OS MARPAT 138:137330
GI



I

AB Selected substituted piperazine compds. (shown as I; variables defined below; e.g. (3S)-N-[(1S)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide) are effective for prophylaxis and treatment of diseases, such as obesity and the like. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving activation of the melanocortin receptor. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. For I: Y is -NH-, -CH2-, or -O-; R = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, and -(CH2)n-heterocyclyl; R1a, R1b, R1c, R1d, R1e, and R1f = R4; or R1a and R1b or R1d and R1c form oxo; or wherein R1e and R1c form an alkylenyl or alkenylenyl bridge; or R1a, R1b, R1c, R1d together with the piperazine ring forms an optionally substituted 1,2,3,4-tetrahydroquinoxalinyl ring. R2 = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, -SO2R8, -C(O)R8;

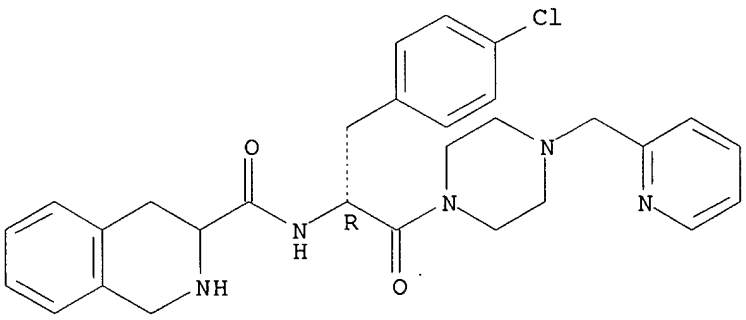
R4 = H, alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, halo, -(CH2)n-OR9, -NR9SO2R7, -[C(R7)2]pNR9SO2R7, -[C(R7)2]pNR9C(O)R7, -N(R9)2, -C(O)NR9R9, -NR9C(O)R7, -NR9CO2R7, cyano, -COOR9, -(CH2)n-C:OR7, -(CH2)n-C(S)R7, -(CH2)n-C(:NR9)R7, -NR9C(:NR7)N(R9)2, -[C(R7)2]pN(R9)2, nitro, -SO2N(R9)2, -S(O)mR7, -C(R7)2SO2CF3, hydroxyalkyl, haloalkyl and haloalkoxy. R6 = aryl and heteroaryl; Ra = H, and alkyl or the two Ra's together form cycloalkyl; k is 0 or 1; m is 0, 1 or 2; n is 0, 1, 2, 3 or 4; p is 1 or 2; and q is 1 or 2; provisos and addnl. definitions are provided. In measurements of fast-induced food intake in mice, 6 examples of I caused a redn. in feeding at concns. .ltoreq.30 mg/kg. Although the methods of prepn. are not claimed, 24 example prepns. of intermediates and >400 of I are included.

IT **494783-27-6P**, N-[(1R)-1-[(4-Chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridylmethyl)piperazin-1-yl]ethyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes)

RN 494783-27-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridinylmethyl)-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 16 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:754370 CAPLUS

DN 137:279466

TI Preparation of N-(arylsulfonyl)-.beta.-amino acids having a substituted aminomethyl group and their pharmaceutical compositions

IN Ferrari, Bernard; Gougat, Jean; Muneaux, Yvette; Perreaut, Pierre; Sarran, Lionel

PA Sanofi-Synthelabo, Fr.

SO PCT Int. Appl., 195 pp.
CODEN: PIXXD2

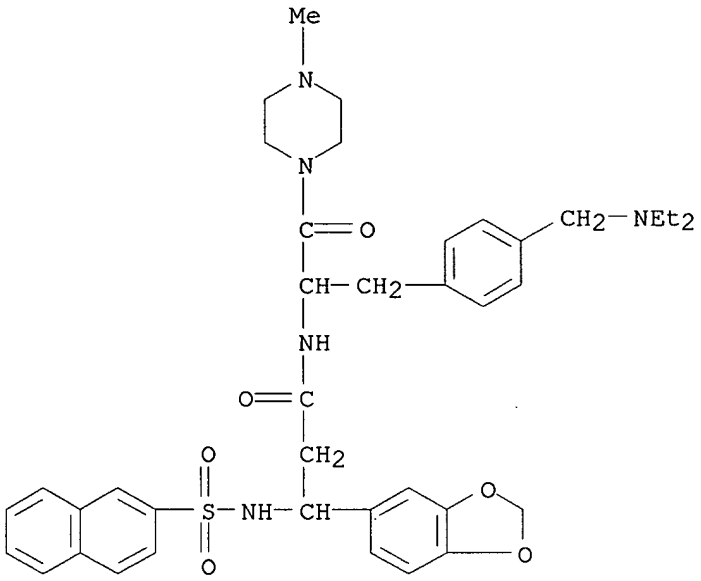
DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2002076964 A1 20021003 WO 2002-FR1059 20020327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
FR 2822827 A1 20021004 FR 2001-4315 20010328
FR 2822827 B1 20030516
CA 2436225 AA 20021003 CA 2002-2436225 20020327
EE 200300417 A 20031215 EE 2003-417 20020327
EP 1373233 A1 20040102 EP 2002-724383 20020327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2002008489 A 20040330 BR 2002-8489 20020327
ZA 2003006037 A 20040805 ZA 2003-6037 20020327
JP 2004525936 T2 20040826 JP 2002-576224 20020327
CN 1541211 A 20041027 CN 2002-807539 20020327
NZ 527429 A 20050930 NZ 2002-527429 20020327
US 2004116353 A1 20040617 US 2003-472674 20030918
NO 2003004267 A 20031128 NO 2003-4267 20030924
BG 108201 A 20040930 BG 2003-108201 20030925
PRAI FR 2001-4315 A 20010328
WO 2002-FR1059 W 20020327
OS MARPAT 137:279466
AB The invention relates to compds. R1SO2NR2CHR3CH2CONHCHR4CH2C6H4R5-p [R1 = phenylvinyl, tetrahydronaphthyl, (un)substituted Ph, naphthyl, or certain heterocyclic radicals; R2 = H, alkyl and R3 = (un)substituted Ph or heterocyclyl or R2 = (un)substituted Ph or heterocyclyl and R3 = H; R4 = (thio)carbamoyl or acyl groups, (un)substituted Ph or heterocyclyl; R5 = CH2NR11R12 or CH2N(O)NR11R12, where R11, R12 = H, (cyclo)alkyl, hydroxyalkyl, etc.] which have an affinity for bradykinin receptors, with a selectivity for B1 receptors, and can be used to prep. medicaments used to treat or prevent persistent or chronic inflammatory diseases and inflammation pathologies. Thus, N-[1-(4-aminomethylbenzyl)-2-oxo-2-pyrrolidinoethyl]-3-(2-naphthalenylsulfonylamino)-3-phenylpropionamide (isolated as HCl salt) was prepd. by coupling of 2-amino-3-(4-cyanophenyl)-1-pyrrolidino-1-propanone trifluoroacetate with -3-(2-naphthalenylsulfonylamino)-3-phenylpropionic acid, followed by redn. of the cyano group by hydrogenation over Raney Ni. Synthesis of starting compds. is described.
IT **464930-13-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(arylsulfonyl)-.beta.-amino acids as pharmaceuticals)
RN 464930-13-0 CAPLUS
CN 1,3-Benzodioxole-5-propanamide, N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-.beta.-[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:695975 CAPLUS
DN 137:232913
TI Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors
IN Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070511	A1	20020912	WO 2002-US6479	20020302
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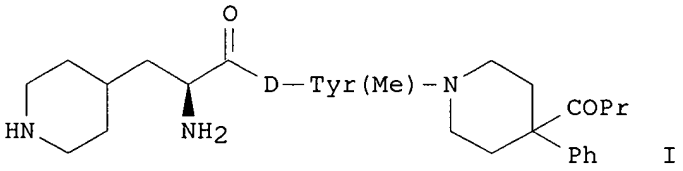
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2437594	AA	20020912	CA 2002-2437594	20020302
	EP 1363898	A1	20031126	EP 2002-723310	20020302
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2005511475	T2	20050428	JP 2002-569831	20020302
	US 2003092732	A1	20030515	US 2002-90582	20020304

	US 6979691	B2	20051227		
	US 2003096827	A1	20030522	US 2002-90288	20020304
	US 6713487	B2	20040330		
	US 2004229882	A1	20041118	US 2003-696761	20031029
	US 2006025403	A1	20060202	US 2005-199464	20050808
PRAI	US 2001-273206P	P	20010302		
	US 2001-273291P	P	20010302		
	WO 2002-US6479	W	20020302		
	US 2002-90288	A3	20020304		
	US 2002-90582	A3	20020304		

OS MARPAT 137:232913

GI



I

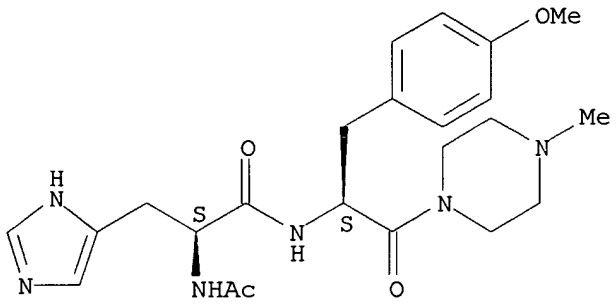
AB Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo)alkylamino; R4-R6 = H, (un)substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepd. as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepd. by a soln.-phase peptide coupling/deprotection scheme.

IT **457904-62-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides for pharmaceutical use as modulators of melanocortin receptors)

RN 457904-62-0 CAPLUS

CN 1H-Imidazole-4-propanamide, .alpha.-(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-, (.alpha.S)-(9CI) (CA INDEX NAME)

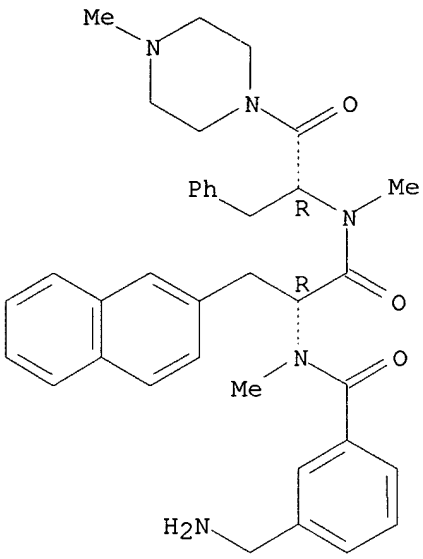
Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:651352 CAPLUS
DN 138:248626
TI The influence of conformational restriction in the C-terminus of growth hormone secretagogues on their potency
AU Peschke, Bernd; Ankersen, Michael; Bauer, Michael; Hansen, Thomas Kruse; Hansen, Birgit Sehested; Nielsen, Karin Kramer; Raun, Kirsten; Richter, Lutz; Westergaard, Lisbet
CS Discovery Chemistry, Novo Nordisk A/S, Malov, 2760, Den.
SO European Journal of Medicinal Chemistry (2002), 37(6), 487-501
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
OS CASREACT 138:248626
AB In order to obtain more potent growth hormone secretagogues, a comparison of ipamorelin and NN703 suggested the addn. of a polar group at the C-terminus of NN703. A study was conducted using constrained amines for this purpose. Here, substituted 4-piperidinylamino- and 4-dimethylaminopiperidino-substituents were found to give the most active compds. A replacement of the 4-dimethylaminopiperidino-substituent with 4-hydroxypiperidino resulted in a series of compds., which showed in vitro activity with EC50 values in the low nanomolar range, and favorable kinetic properties, such as 40% oral bioavailability. The most promising compd. was also tested in a swine in vivo model, resulting in a growth hormone level with a Cmax of over 40 ng mL-1.
IT **254905-34-5P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(influence of conformational restriction in C-terminus on the potency of growth hormone secretagogues)
RN 254905-34-5 CAPLUS
CN 2-Naphthalenepropanamide, .alpha.-[[3-(aminomethyl)benzoyl]methylamino]-N-methyl-N-[(1R)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

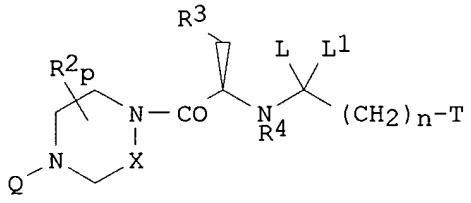
L14 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:575055 CAPLUS
DN 137:140775
TI Preparation of piperazinyl and hexahydro-1,4-diazepinyl amino acid derivatives as melanocortin receptor agonists
IN Backer, Ryan Thomas; Briner, Karin; Collado Cano, Ivan; De Frutos-Garica, Oscar; Doecke, Christopher William; Fisher, Matthew Joseph; Garcia-Paredes, Cristina; Kuklish, Steven Lee; Mancoso, Vincent; Martinelli, Michael John; Mateo Herranz, Ana Isabel; Mullaney, Jeffrey Thomas; Ornstein, Paul Leslie; Wu, Zhipei; Xie, Chaoyu
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 554 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002059095	A1	20020801	WO 2002-US518	20020123
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2432988	AA	20020801	CA 2002-2432988	20020123
	EP 1358163	A1	20031105	EP 2002-701924	20020123
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

	JP 2004524297	T2	20040812	JP 2002-559397	20020123
	US 2004116699	A1	20040617	US 2003-466250	20030711
PRAI	US 2001-263380P	P	20010123		
	WO 2002-US518	W	20020123		

OS CASREACT 137:140775; MARPAT 137:140775

GI



I

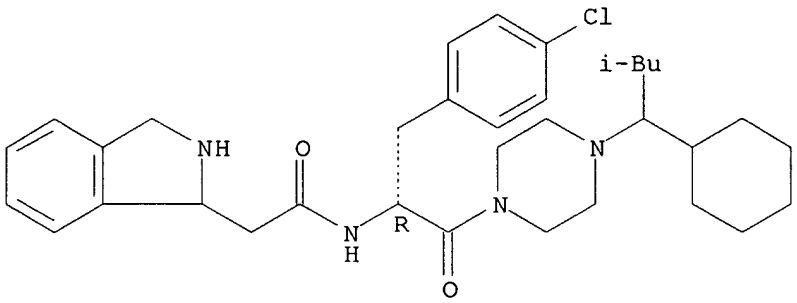
AB The invention relates to melanocortin receptor (MC-R) agonists I [X = CH2 or CH2CH2; LL1 = H2 or oxo; R2 = H, alkyl, alkylcarbamoyl, (D)phenyl, (D)cyclohexyl, or oxo if adjacent to N-Q; p = 0-4; R3 = (un)substituted Ph, aryl, or thienyl; R4 = H, alkyl, alkenyl, alkanoyl, or (D)phenyl; Q = various carbon-attached groups; T = isoquinolinyl or tetrahydro deriv., isoindolinyl, or piperazinyl; n = 0-8] which are useful in the treatment of obesity, diabetes, and male and/or female sexual dysfunction. Compds. I comprise three domains, i.e., a piperazinyl or hexahydro-1,4-diazepinyl fragment, an amino acid, and a radical CLL1(CH2)n-T. Thus, N-[1-(4-chlorobenzyl)-2-[4-[1-(cyclohexylmethyl)-2-morpholinoethyl]piperazin-1-yl]-2-oxoethyl]-2-(2,3-dihydro-1H-isoindol-1-yl)acetamide tris(trifluoroacetate) salt was prepd. via acylation of the piperazine moiety and showed EC50 = 69.3 nM in the MC-4 agonist assay.

IT **444892-36-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of piperazinyl and hexahydrodiazepinyl amino acid derivs. as melanocortin receptor agonists)

RN 444892-36-8 CAPLUS

CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(1-cyclohexyl-3-methylbutyl)-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:552324 CAPLUS
DN 137:109488
TI Preparation of peptidyl calcium channel blockers
IN Booth, Richard John; Brogley, Louis; Cody, Wayne Livingston; Connor, David Thomas; Hamilton, Harriet Wall; He, John Xiaoqiang; Hu, Lain-Yen; Lescosky, Leonard Joseph; Malone, Thomas Charles; Nadasdi, Laszlo; Rafferty, Michael Francis; Roth, Bruce David; Silva, Diego F.; Song, Yuntao; Szoke, Balazs G.; Urge, Laszlo
PA Warner-Lambert Company, USA; Neurex Corporation
SO U.S., 86 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6423689	B1	20020723	US 1998-212785	19981216
PRAI US 1997-68485P	P	19971222		

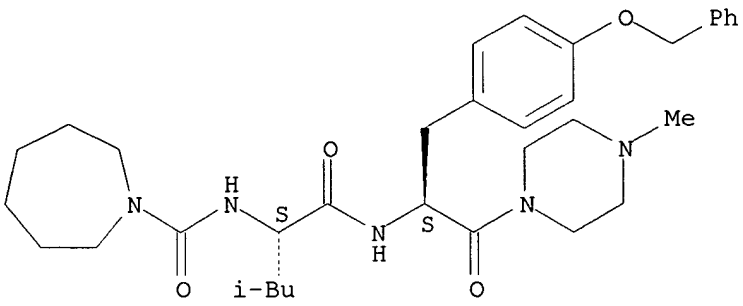
OS MARPAT 137:109488
AB Peptides R5CONHCR1R7CONHCR2(CH2-p-C6H4-Y-R4)COR3 [R1 = alkyl, benzyl, H, indolylmethyl, Q-(CH2)n (Q = alkylthio, substituted Ph, cycloalkyl, heteroaryl; n = 0-5); R2 = H, alkyl; R3 = alkoxy, Ph(CH2)nO, NH2, alkylamino, cycloalkyl, etc.; R4 = Q(CH2)n, where Q = (un)substituted Ph, NH2, dialkylamino, pyridyl, etc.; R5 = N(CH2)m (m = 2-7); R7 = H, alkyl; Y = O, NR4, NH, absent, CH:CH, C.tplbond.C] or their pharmaceutically acceptable salts, esters, amides, and prodrugs were prepd. as calcium channel blockers. Pharmaceutical compns. contg. these compds. can be used to treat stroke, cerebral ischemia, head trauma, or epilepsy. Thus, [S-(R*,R*)]-2-[2-[(azepane-1-carbonyl)amino]-4-methylpentanoylamino]-3-(4-benzyloxy-phenyl)propionic acid tert-Bu ester was prepd. via amidation reaction and showed IC50 = 0.35 .mu.M for inhibition of calcium flux in IMR-32 cells and protected 5/5 mice from tonic convulsions at 30 mg/kg at 15 min posttreatment time. The syntheses of 271 compds. of the invention are described in the examples and > 200 addnl. compds. are given in the claims.
IT **443691-64-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptidyl calcium channel blockers)

RN 443691-64-3 CAPLUS

CN 1H-Azepine-1-carboxamide, hexahydro-N-[(1S)-3-methyl-1-[[[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]amino]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:535162 CAPLUS

DN 133:150920

TI Preparation of peptides or analogs containing substituted phenethylamine moiety as motilin receptor antagonists

IN Matsuoka, Hiroharu; Sato, Tsutomu; Takahashi, Tadakatsu; Kim, Dong Ick; Jung, Kyung Yun; Park, Chan Hee

PA Chugai Seiyaku Kabushiki Kaisha, Japan

SO PCT Int. Appl., 403 pp.
CODEN: PIXXD2

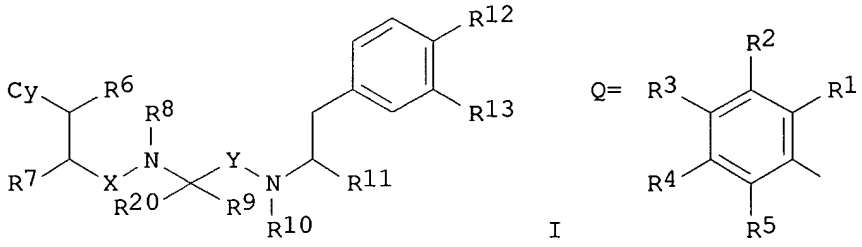
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000044770	A1	20000803	WO 2000-JP444	20000128
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2359030	AA	20000803	CA 2000-2359030	20000128
	EP 1149843	A1	20011031	EP 2000-901956	20000128
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 3715202	B2	20051109	JP 2000-596026	20000128
	NO 2001003684	A	20010928	NO 2001-3684	20010726
PRAI	JP 1999-20523	A	19990128		
	JP 1999-283163	A	19991004		

WO 2000-JP444 W 20000128
OS MARPAT 133:150920
GI



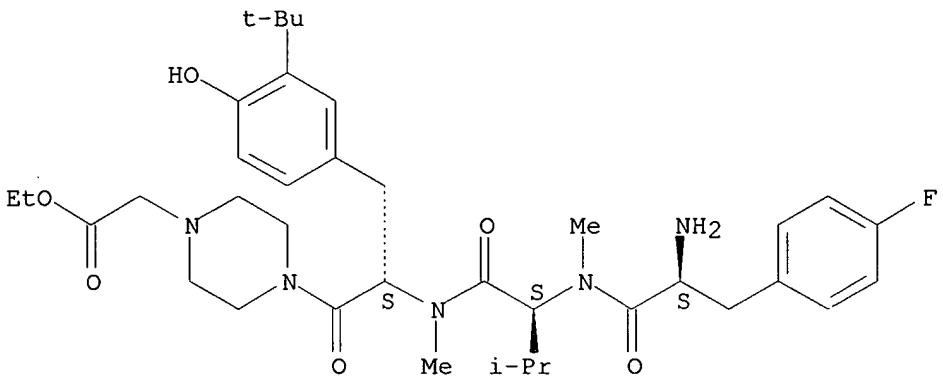
AB Substituted phenethylamine derivs. represented by general formula (I), hydrates of the same, or pharmaceutically acceptable salts thereof [wherein Cy is a group represented by general formula Q, an optionally substituted heterocyclic group, C3-7 cycloalkyl, or phenyl; R1, R1, R1, R1 and R5 are each hydrogen, halogeno, hydroxyl, amino, trifluoromethyl or cyano, at least one of R1-R5 being halogeno, trifluoromethyl or cyano; R6 represents hydrogen, (un)substituted linear or branched C1-3 alkyl, amino, or hydroxy; R8 represents hydrogen, Me, or ethyl; R9 represents (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, C3-7 cycloalkyl, or (un)substituted Ph; R20 represents hydrogen, or (un)substituted linear or branched C1-3 alkyl or R9 and R20 together forms C3-7 cycloalkyl; R10 represents hydrogen, (un)substituted linear or branched C1-3 alkyl; R11 represents hydrogen or (un)substituted linear or branched C1-3 alkyl, (un)substituted carbamoyl, or carboxy; R12 represents hydroxy or linear or branched C1-4 alkoxy; R13 represents hydrogen, (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or alkynyl, etc.; X, Y represents carbonyl or CH2; provisos are given.], which exhibit motilin receptor antagonism and being useful as drugs for preventing digestive tract movement or high level of blood motilin. Thus, 3-methyl-2-methylaminobutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide (prepn. given) was condensed with Boc-Phe(4-F)-OH using CMPI in the presence of Et3N in THF under ice-cooling for 4 h followed by treatment of the product with CF3CO2H in CH2Cl2 gave 2-((2-amino-3-(4-fluorophenyl)propanoyl)-N-methylamino)-3-methylbutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide (II). II and N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHEt showed IC50 of 0.35 and 0.17 nM, resp., for inhibiting binding of 125I-motilin to motilin receptor prepn. from mucus membrane of rabbit duodenum.

IT **287206-94-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides or analogs contg. substituted phenethylamine moiety as motilin receptor antagonists and drugs for preventing digestive tract movement or high level of blood motilin)

RN 287206-94-4 CAPLUS
CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]-2-

oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:53681 CAPLUS
DN 132:108302
TI Preparation of CS-1 peptidomimetics and their compositions
IN Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.; He, Ya-Bo; Huyghe, Bernard G.; Chen, Paul G.
PA Cytel Corporation, USA
SO PCT Int. Appl., 266 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000002903	A1	20000120	WO 1998-US26605	19981215
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9919153	A1	20000201	AU 1999-19153	19981215
PRAI	US 1998-113689	A	19980710		
	WO 1998-US26605	W	19981215		

OS MARPAT 132:108302
AB Peptidomimetics R1CONR2CHR3CONR4CH(CONR5R6)CH2CO2H [R1 = alkyl, aminoalkyl, or a ring structure which may form at R1, between R1 and R2 or between R1 and R4; R2 = H, alkyl, phenylalkyl or R2 and R1 form the R1 ring structure group; R3 = alkyl, alkyl alc., thioalkyl, dialkyl thioether, or a ring structure; R4 = H or R4 and R1 form the R1 ring structure; R5 = H or R5 and R6 form a ring structure; R6 = benzyl, an optionally substituted 5-, 6-, or 7-membered heterocyclic ring contg. 1 or 2 nitrogen atoms, a pyridobenzazepine moiety, or a group CHR7CO-AR8R9 (A = N and R7, R8, R9 = alkyl, a ring structure, etc. or A = O and R7 = alkyl,

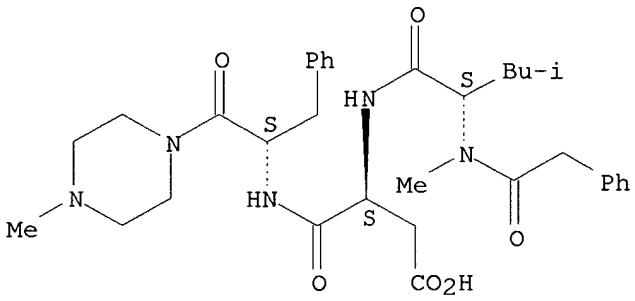
a ring structure, etc., R8 = alkyl, and R9 is absent)] were prepd. as inhibitors of the binding between the VLA-4 receptor and the fibronectin CS-1 domain. Thus, N-phenylacetyl-L-Leu-Asp-Phe-D-Pro-NH2 was prepd. and assayed for binding inhibition potency (313 relative to a std. compd.).

IT **255840-32-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of CS-1 peptidomimetics and their compns.)

RN 255840-32-5 CAPLUS

CN L-.alpha.-Asparagine, N-methyl-N-(phenylacetyl)-L-leucyl-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:34901 CAPLUS
DN 132:93659
TI Preparation of peptide derivatives with growth hormone releasing properties
IN Peschke, Bernd; Richter, Stefan Lutz; Hansen, Thomas Kruse; Ankersen, Michael
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000001726	A1	20000113	WO 1999-DK368	19990629
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2334315	AA	20000113	CA 1999-2334315	19990629

	AU 9946038	A1	20000124	AU 1999-46038	19990629
	AU 771644	B1	20040401		
	BR 9911756	A	20010403	BR 1999-11756	19990629
	EP 1100824	A1	20010523	EP 1999-929114	19990629
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, FI, RO				
	JP 2002519436	T2	20020702	JP 2000-558127	19990629
	TW 593337	B	20040621	TW 1999-88114089	19990818
	ZA 2000007056	A	20011105	ZA 2000-7056	20001130
	NO 2000006699	A	20010228	NO 2000-6699	20001229
PRAI	DK 1998-857	A	19980630		
	DK 1998-1440	A	19981109		
	WO 1999-DK368	W	19990629		

OS MARPAT 132:93659

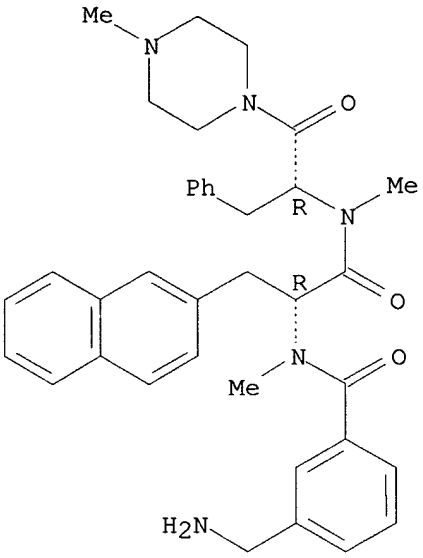
AB Peptides R8NH(CR6R7)f(CH2)e-M-(CHR5)d(CH2)cCONR1CH[(CH2)a-G]CONR2CH[(CH2)b-J]CO-L [R1 = H, alkyl; L = (un)substituted aza heterocyclyl or aza heterocyclylamino or -methylamino; G, J = -O(CH2)kR17 (k = 0-2, R17 = H, halo, aryl, hetaryl, alkyl, alkoxy), (un)substituted Ph, pyridyl, naphthyl, indolyl, imidazolyl, thienyl, or benzothienyl; a, b, c = 0-2; d, f = 0 or 1; e = 0-3; R5-R8 = H or (un)substituted alkyl; M = arylene, hetarylene, O, S, or ethylene which is optionally substituted by alkyl, arylalkyl, or hetarylalkyl] were prepd. for treating medical disorders resulting from a deficiency in growth hormone. Thus, (2E)-5-amino-5-methylhex-2-enoic acid N-[(1R)-1-[N-[(1R)-1-benzyl-2-[4-[(dimethylamino)methyl]piperidin-1-yl]-2-oxoethyl]-N-methylcarbamoyl]-2-(2-naphthyl)ethyl]-N-methylamide was prepd. via amidation of (2E)-5-[(tert-butoxycarbonyl)amino]-5-methylhex-2-enoic acid, followed by cleavage of the protecting group with trifluoroacetic acid.

IT **254905-34-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide derivs. with growth hormone releasing properties)

RN 254905-34-5 CAPLUS

CN 2-Naphthalenepropanamide, .alpha.-[[3-(aminomethyl)benzoyl]methylamino]-N-methyl-N-[(1R)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

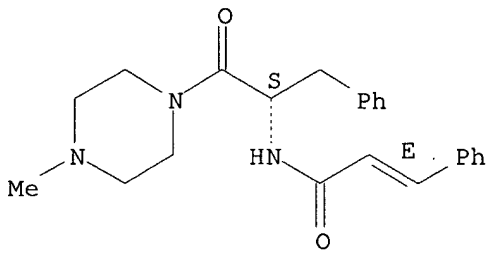
Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 24 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:29609 CAPLUS
DN 132:222824
TI Acryloyl amino acid conjugates of anticipated anti-allergic activity. Synthesis of N-[cinnamoyl] and N-[3-(2-furyl)acryloyl] amino acid 4-methylpiperazinamides
AU Shalaby, A. M.; Abo-Ghalia, M. H.; Awad, H. M.
CS Chemistry of Tanning Materials and Protein Dept., National Research Centre, Cairo, Egypt
SO Modelling, Measurement & Control, C: Energetics, Chemistry & Chemical Engineering, Earth, Resources, Environment, Biomedical Problems (1999), 58(2), 9-38
CODEN: MMCPE5; ISSN: 1259-5977
PB A.M.S.E.
DT Journal
LA English
AB Title acyl amino acid 4-methylpiperazinamides were prepd. by coupling cinnamic and 3-(2-furyl)acrylic acids with a series of amino acids esters, followed by sapon. and amidation. The anti-lipo-oxygenase inhibiting activity of candidate products is under investigation.
IT **261178-91-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cinnamoyl and furylacryloyl amino acid methylpiperazinamides as potential antiallergic agents)
RN 261178-91-0 CAPLUS
CN 2-Propenamide, N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



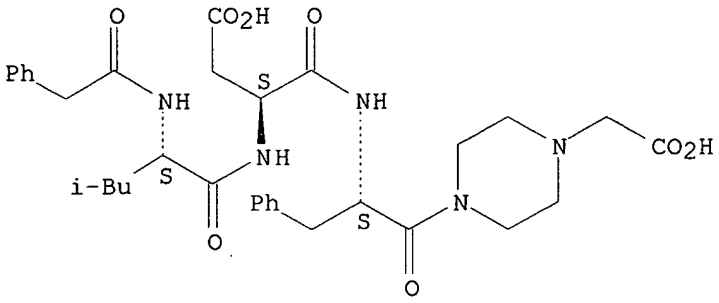
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:505686 CAPLUS
DN 131:139496
TI Fibronectin CS-1 peptidomimetics for inhibiting binding of CS-1 to VLA-4 and for treating immunoinflammatory conditions
IN Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.
PA Cytel Corporation, USA
SO U.S., 81 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5936065	A	19990810	US 1995-462424	19950605
	CA 2177840	AA	19950615	CA 1994-2177840	19941205
	CN 1142832	A	19970212	CN 1994-194969	19941205
	US 5688913	A	19971118	US 1995-435286	19950505
	US 6117840	A	20000912	US 1997-837154	19970414
	US 6103870	A	20000815	US 1997-923026	19970903
PRAI	US 1993-164101	B2	19931206		
	US 1994-349024	B2	19941202		
	US 1995-435286	A1	19950505		

OS MARPAT 131:139496
AB Peptidomimetic compds. are disclosed that inhibit the binding between the VLA-4 and the fibronectin CS-1 compd. Pharmaceutical compns. contg. a contemplated compd. and methods for treating immunoinflammatory conditions using the compd. are also disclosed.
IT **209600-74-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fibronectin CS-1 peptidomimetics for inhibiting binding of CS-1 to VLA-4 and for treating immunoinflammatory conditions)
RN 209600-74-8 CAPLUS
CN L-.alpha.-Asparagine, N-(phenylacetyl)-L-leucyl-N-[(1S)-2-[4-(carboxymethyl)-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

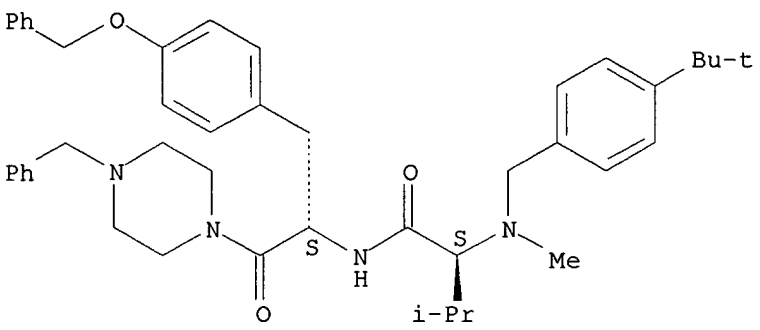
Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:429278 CAPLUS
DN 131:185219
TI Multiple parallel synthesis of N,N-dialkyldipeptidylamines as N-type calcium channel blockers
AU Ryder, Todd R.; Hu, Lain-Yen; Rafferty, Michael F.; Millerman, Elizabeth; Szoke, Balazs G.; Tarczy-Hornoch, Katalin
CS Department of Chemistry, Division Of Warner-Lambert Company, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(13), 1813-1818
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB Selective N-type Voltage Sensitive Calcium Channel (VSCC) blockers have shown utility in several models of stroke and pain. A series of N,N-dialkyldipeptidylamines with potent functional activity at N-type VSCC's has been identified. Multiple parallel synthesis of a focused array of thirty compds. using polymer-supported quenching reagents and preliminary pharmacol. are presented. Eighteen compds. were identified with an IC50 below 1 .mu.M in an in vitro functional assay.
IT **239790-05-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. activity of as N-type calcium channel blockers)
RN 239790-05-7 CAPLUS
CN Butanamide, 2-[[[4-(1,1-dimethylethyl)phenyl]methyl]methylamino]-3-methyl-N-[(1S)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

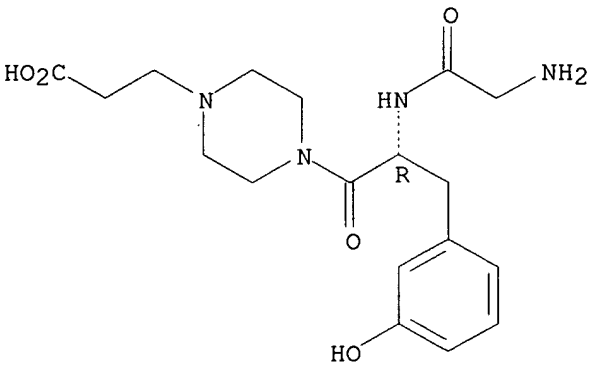
Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:199428 CAPLUS
DN 130:312048
TI Solid support synthesis of 14-membered macrocycles via SNAr methodology on acrylate resin
AU Kiselyov, Alexander S.; Eisenberg, Shawn; Luo, Ying
CS Small Molecule Drug Discovery, Amgen Inc, Thousand Oaks, CA, 91320-1789, USA
SO Tetrahedron Letters (1999), 40(13), 2465-2468
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 130:312048
AB Efficient assembly of 14-membered macrocycles utilizing SNAr of fluorine in 3-fluoro-4-nitrobenzoic acid with the OH of 3-hydroxy-tyrosine on the solid support is reported. The flexibility of this synthesis, as well as the excellent purity (>90%) of the final products are the distinctive characteristics of the resulting library.
IT **223586-93-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of in the solid support synthesis of membered macrocycles via SnAr methodol. on acrylate resin)
RN 223586-93-4 CAPLUS
CN 1-Piperazinepropanoic acid, 4-(glycyl-3-hydroxy-D-phenylalanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

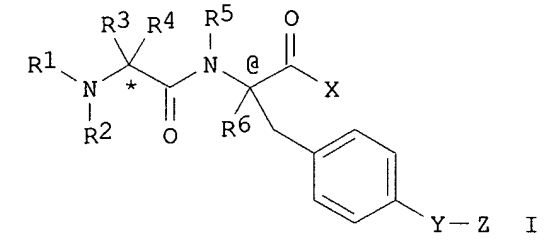


RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

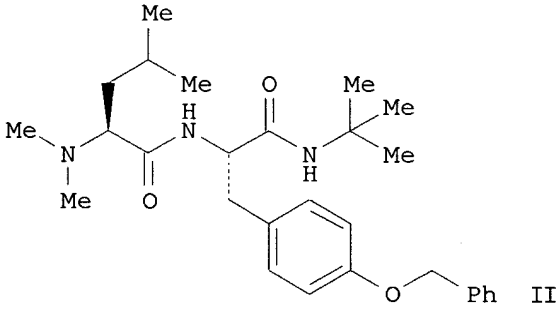
L14 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:793116 CAPLUS
DN 130:52732
TI Preparation of substituted peptide amides as calcium channel blockers
IN Hu, Lain-yen; Malone, Thomas Charles; Nadasdi, Laszlo; Rafferty, Michael Francis; Ryder, Todd Robert; Silva, Diego F.; Song, Yuntao; Szoke, Balazs G.; Urge, Laszlo
PA Warner-Lambert Company, USA; Neurex Corporation; et al.
SO PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9854123	A1	19981203	WO 1998-US10838	19980528
	W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9876989	A1	19981230	AU 1998-76989	19980528
	US 6117841	A	20000912	US 1999-230229	19990119
PRAI	US 1997-48252P	P	19970530		
	US 1997-52191P	P	19970710		
	WO 1998-US10838	W	19980528		

OS MARPAT 130:52732
GI



Y—Z I



II

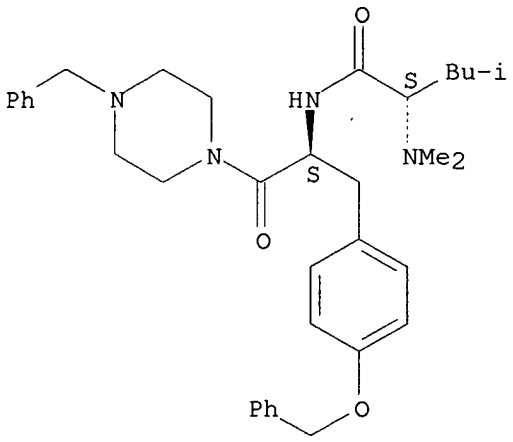
AB The present invention provides compds. I [* denotes a first chiral center when R3 .noteq. R4; @ denotes a second chiral center; R1, R2 = independently H, OH, CH2CO2H, (un)substituted C1-8 alkyl, C3-7 cycloalkyl, C1-6 alkoxy, C3-6 heterocycloalkyl,(CH2)n-aryl, C2-8 alkenyl, CH2CO2C1-6 alkyl, (CH2)n-heteroaryl, (CH2)n-C3-7 heterocycle, (CH2)n-cycloalkyl; R1R2 may form 5-7 membered ring; R3, R5, R6 = independently H, C1-8 alkyl; R4 = C1-8 alkyl, (un)substituted (CH2)nC3-7 cycloalkyl, (CH2)nPh; R3R5 may form 3-7-membered heterocyclic ring; Y = (CH2)n, O(CH2)n, (CH2)nO, NR3(CH2)n, (CH2)nNR3, S(CH2)n, (CH2)nS, C:C, C.tplbond.C; Z = (un)substituted aryl, heteroaryl, C3-7 cycloalkyl, C1-8 alkyl; X = (un)substituted heterocycle, NH(CH2)nNR3R5, NH(CH2)n-heteroaryl, NH(CH2)nNH(CH2)nPh, NH(CH2)nNH(CH2)nOH, NH-heterocycle-CH2Ph, NHCMe2CH2OH, NHC(CH2OH)2-C1-6 alkyl, NHC(C1-6 alkyl)CH2OR3, N(C1-6 alkyl)CH2O-C1-6 alkyl, 1-benzyl-4-piperidinylamino, OR3, NHR3, NR3R5, etc.; each n = 0-5] that block calcium channels. The present invention also provides methods of using I to treat stroke, cerebral ischemia, head trauma, or epilepsy and to pharmaceutical compns. that contain I. Thus, amidation of Boc-Tyr(CH2Ph)-OH with tert-butylamine, followed by acidic deprotection, peptide coupling with N,N-dimethyl-L-leucine (prepn. given) gave desired title compd. II. The syntheses of 140 title compds. I are given, and over 180 addnl. I are described in the claims. II inhibited seizures in mice with ED50 = 2.3 .mu.M.

IT **217170-92-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted dipeptide amides as calcium channel blockers)

RN 217170-92-8 CAPLUS

CN Pentanamide, 2-(dimethylamino)-4-methyl-N-[(1S)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

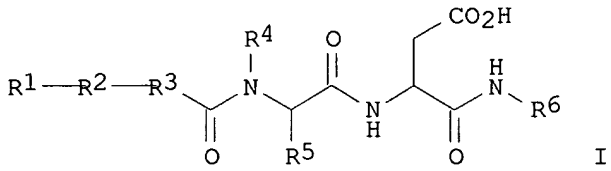


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

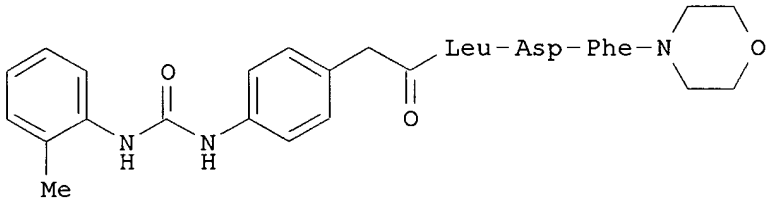
L14 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:677800 CAPLUS
DN 129:276355
TI Preparation of peptides and peptidomimetics as VLA-4 antagonists
IN He, Ya-Bo; Elices, Mariano J.; Arrhenius, Thomas S.
PA Cytel Corporation, USA
SO PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9842656	A1	19981001	WO 1998-US5709	19980320
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	US 1997-821825	A	19970321		

OS MARPAT 129:276355
GI



I



II

AB Title compds. I [R1 = alkyl, adamantyl, (un)substituted non-heterocyclic, heterocyclic, arom., or partially or fully satd. ring; R2 = lower alkyl, alkenyl, or alkynyl group in which each group optionally can contain a carbonyl, ether, thioether, aminocarbonyl group, etc., or E-C(R7)-F where R7 = S, O; E = CX1X2, NX3, or O; F = CX4X5, NX6, or O; X1-X6 = independently H or a lower alkyl, with the proviso that E and F are not simultaneously oxygen atoms and if R1 is an alkyl group, R2 must be of formula E-C(R7)-F; R3 = 5-, 6-, 6,5-, or 6,6- membered arom. ring optionally contg. 1-3 heteroatoms selected from the group O, N, S; R4 = H, lower alkyl; R5 = H, lower alkyl, (un)substituted lower alkyl amido group, or a 5- or 6- membered non-heterocyclic satd. ring connected directly by a bond or through a lower alkyl group; R6 = substituted azepine, or CH(R8)COAR9R10 where A = N, O; R8 = H, lower alkyl, hydroxyalkyl, thioalkyl, a ring structure connected directly by a bond or through a lower alkyl group, or R8 and R9 together form a ring structure, etc.; R9 = lower alkyl, hydroxyalkyl, morpholino group, or together with R10 form a ring structure; R10 = (un)substituted lower alkyl, or together with R9 form a ring structure; when A = O, R10 is absent] and pharmaceutically-acceptable derivs. thereof. were prepd. as VLA-4 antagonists. Thus, II (soln. phase prepn. given) was assayed for binding inhibition potency (IC50 = 0.4 nM) toward Jurkat cells.

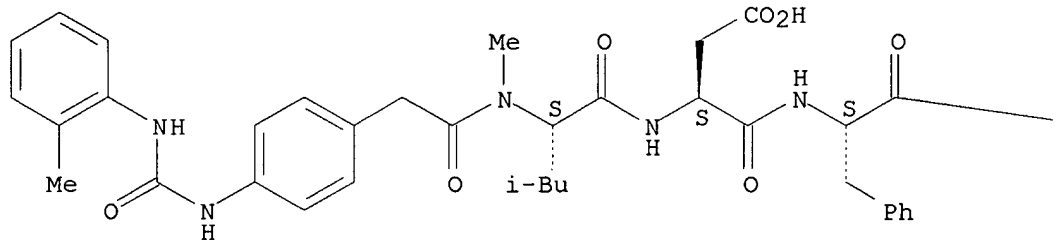
IT **213989-81-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of peptides and peptidomimetics as VLA-4 antagonists)

RN 213989-81-2 CAPLUS

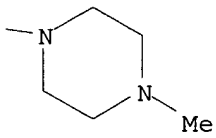
CN L-.alpha.-Asparagine, N-methyl-N-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-L-leucyl-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

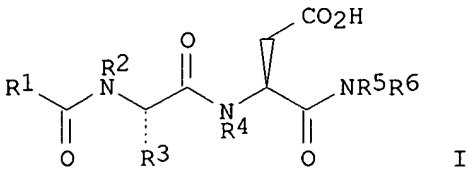


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:668012 CAPLUS
DN 129:290438
TI Preparation of CS-1 peptidomimetics and their compositions
IN Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.
PA Cytel Corp., USA
SO U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 349,024.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5821231	A	19981013	US 1995-461056	19950605
	CA 2177840	AA	19950615	CA 1994-2177840	19941205
	CN 1142832	A	19970212	CN 1994-194969	19941205
	US 5688913	A	19971118	US 1995-435286	19950505
	US 6117840	A	20000912	US 1997-837154	19970414
	US 6103870	A	20000815	US 1997-923026	19970903
PRAI	US 1993-164101	B2	19931206		
	US 1994-349024	A2	19941202		
	US 1995-435286	A1	19950505		

OS MARPAT 129:290438
GI



I

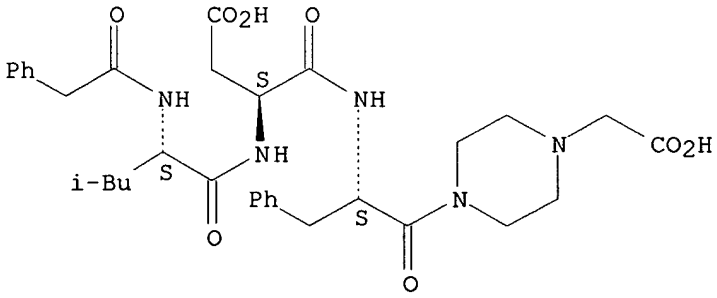
AB Peptidomimetics I (R1 = alkyl, aminoalkyl, or a ring structure which may form at R1, between R1 and R2 or between R1 and R4; R2 = H, Me or R2 and R1 form the R1 ring structure group; R3 = alkyl, alkyl alc., thioalkyl or a ring structure; R4 = H or R4 and R1 form the R1 ring structure; R5 = H or R5 and R6 form a ring structure; R6 = benzyl, 1,1-diphenylmethine, or the R5 ring structure) were prepd. as inhibitors of the binding between the VLA-4 receptor and the fibronectin CS-1 domain. Thus, N-phenylacetyl-Leu-Asp-Phe-D-Pro-NH2 was prepd. and assayed for binding inhibition potency (313 relative to a std. compd.).

IT **209600-74-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of CS-1 peptidomimetics and their compns.)

RN 209600-74-8 CAPLUS

CN L-.alpha.-Asparagine, N-(phenylacetyl)-L-leucyl-N-[(1S)-2-[4-(carboxymethyl)-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

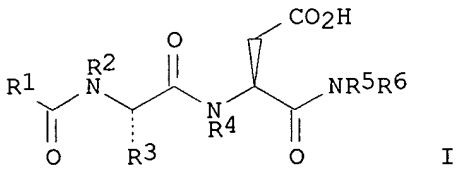
L14 ANSWER 31 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:427769 CAPLUS
DN 129:95722
TI Preparation of CS-1 peptidomimetics and their compositions
IN Arrhenius, Thomas S.; Elices, Mariano J.; Gaeta, Federico C. A.
PA Cytel Corp., USA
SO U.S., 80 pp., Cont.-in-part of U.S. Ser. No. 349,024.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 5770573	A	19980623	US 1995-462219	19950605
	CA 2177840	AA	19950615	CA 1994-2177840	19941205
	CN 1142832	A	19970212	CN 1994-194969	19941205
	US 5688913	A	19971118	US 1995-435286	19950505
	US 6117840	A	20000912	US 1997-837154	19970414
	US 6103870	A	20000815	US 1997-923026	19970903
PRAI	US 1993-164101	B2	19931206		
	US 1994-349024	A2	19941202		
	US 1995-435286	A1	19950505		

OS MARPAT 129:95722

GI



I

AB Peptidomimetics I (R1 = alkyl, aminoalkyl, or a ring structure which may form at R1, between R1 and R2 or between R1 and R4; R2 = H, Me or R2 and R1 form the R1 ring structure group; R3 = alkyl, alkyl alc., thioalkyl or a ring structure; R4 = H or R4 and R1 form the R1 ring structure; R5 = H or R5 and R6 form a ring structure; R6 = benzyl, 1,1-diphenylmethine, or the R5 ring structure) were prepd. as inhibitors of the binding between the VLA-4 receptor and the fibronectin CS-1 domain. Thus, N-phenylacetyl-Leu-Asp-Phe-D-Pro-NH2 was prepd. and assayed for binding inhibition potency (313 relative to a std. compd.).

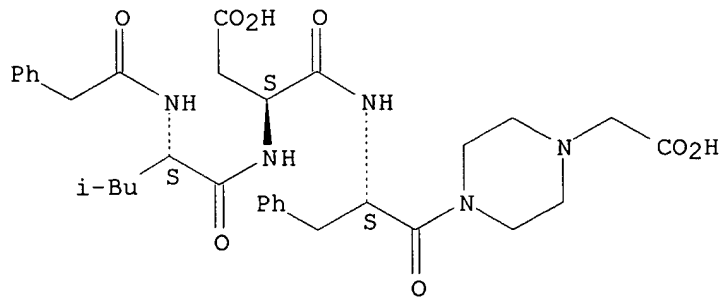
IT **209600-74-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of CS-1 peptidomimetics and their compns.)

RN 209600-74-8 CAPLUS

CN L-.alpha.-Asparagine, N-(phenylacetyl)-L-leucyl-N-[(1S)-2-[4-(carboxymethyl)-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

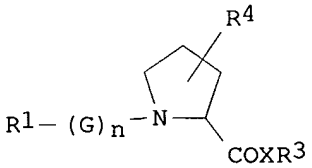


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 32 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:65811 CAPLUS
DN 128:136515
TI Bone resorption inhibitors
IN Aibe, Kazuhiko; Takebayashi, Yukihiro; Ishii, Yasutaka; Noshiro, Osamu; Noda, Ichio; Igarashi, Susumu
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9801133	A1	19980115	WO 1997-JP2357	19970708
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9733596	A1	19980202	AU 1997-33596	19970708
PRAI JP 1996-177955	A	19960708		
WO 1997-JP2357	W	19970708		

OS MARPAT 128:136515
GI



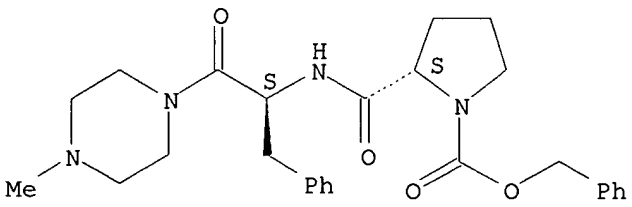
I

AB Drugs, in particular, bone resorption inhibitors contg. as the active ingredient compds. having selective cathepsin K inhibitory effects, among all, proline derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof, wherein each symbol has the meaning as specified below: X: a moiety (except for the C-terminal carbonyl group) of an amino acid residue with its side chain optionally protected; R1: an amino-protective group; G: a glycine residue; n: 0 or 1; R3: a group inhibiting the activity of the SH group of cysteine protease; and R4: hydrogen, hydroxy or Ph.

IT **202280-95-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bone resorption inhibitors)

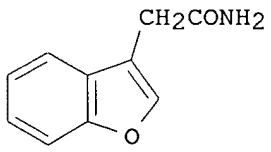
RN 202280-95-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]-, phenylmethyl ester, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 33 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:198055 CAPLUS
DN 126:199397
TI Construction of Indole and Benzofuran Systems on the Solid Phase via Palladium-Mediated Cyclizations
AU Zhang, Han-Cheng; Maryanoff, Bruce E.
CS R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA
SO Journal of Organic Chemistry (1997), 62(6), 1804-1809
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
GI



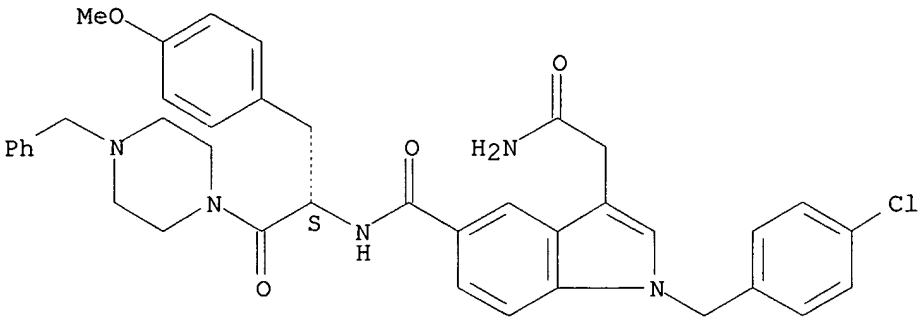
I

AB Solid-phase methodol. for the rapid generation of small-mol. libraries by simultaneous-parallel or combinatorial synthesis is reported. We have adapted a palladium-mediated, intramol. Heck-type reaction, a mild and versatile method for carbon-carbon bond formation, to the solid phase. This has been applied to the synthesis of diverse indole and benzofuran derivs., e.g. I, in good to excellent yields.

IT **187804-31-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase prepn. of indoles and benzofurans via palladium-mediated cyclizations)

RN 187804-31-5 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(4-chlorophenyl)methyl]-5-[[[1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]amino]carbonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

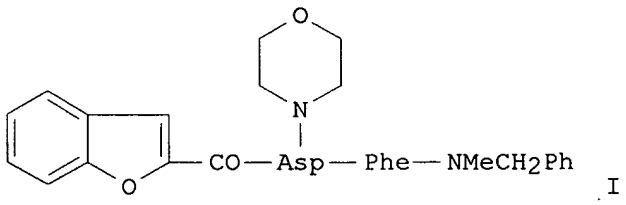


RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:494173 CAPLUS
DN 125:143330
TI Peptide compounds for prevention and/or treatment of nitric oxide (NO)-mediated diseases
IN Itoh, Yoshikuni; Iwamoto, Toshiro; Yatabe, Takumi; Hamashima, Hitoshi; Inoue, Takayuki; Hashimoto, Seiji; Oku, Teruo
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 739 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9616981	A2	19960606	WO 1995-JP2428	19951129
	WO 9616981	A3	19960906		
	W: AU, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9539937	A1	19960619	AU 1995-39937	19951129
	EP 796270	A2	19970924	EP 1995-938602	19951129
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	ZA 9510201	A	19960625	ZA 1995-10201	19951130
	US 5932737	A	19990803	US 1997-849076	19970530
PRAI	GB 1994-24408	A	19941202		
	GB 1995-4891	A	19950310		
	GB 1995-10042	A	19950518		
	WO 1995-JP2428	W	19951129		

OS MARPAT 125:143330
GI



I

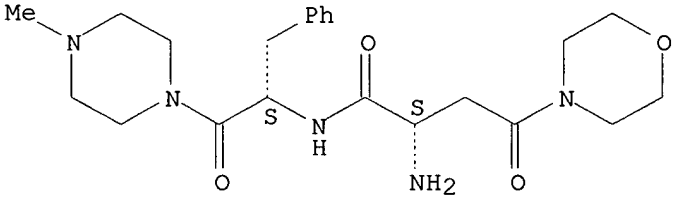
AB Peptides WA1NR8CH(A2T)CONR9CH(A3R3)R4 [W = alkyl, (un)substituted aryl or fluorenyl, etc.; A1 = alkylene, NHCO, CO, CS, SO2; A2 = alkylene; T = H, aryl, heterocyclyl, OH, etc.; R8 = H, alkyl; R8 may link with A2T to form CH2C6H4CH2-o (Q); A3 = bond, alkylene; R3 = H, aryl, OH, etc.; R9 = H, alkyl or may link with A3R3 to form Q; R4 = CO2H, protected carboxy, carboxamido, etc. or CH(A3R3)R4 = N-alkyl-2-oxoquinoline moiety] or their pharmaceutically acceptable salts were prepd. for use as medicaments. Thus, dipeptide I was prepd. by acylation of aspartylphenylalaninamide deriv. with 2-benzofurancarboxylic acid. I and six other peptides showed 100% inhibition of NO prodn. in tests of murine macrophage cells.

IT **179873-84-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of peptides for prevention and/or treatment of nitric oxide-mediated diseases)

RN 179873-84-8 CAPLUS

CN 4-Morpholinebutanamide, .alpha.-amino-N-[2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-.gamma.-oxo-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:886024 CAPLUS

DN 123:286713

TI Preparation of epoxysuccinic acid-derivative inhibitors of thiol proteases for treatment of osteoporosis

IN Tsubotani, Shigetoshi; Takizawa, Masayuki; Shirasaki, Mikio; Fujisawa, Yukio

PA Takeda Chemical Industries, Ltd., Japan

SO Eur. Pat. Appl., 95 pp.
CODEN: EPXXDW

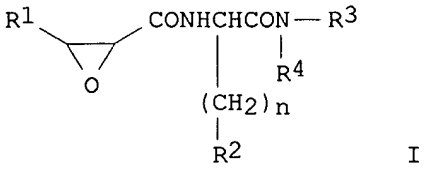
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 655447	A1	19950531	EP 1994-307984	19941028
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5556853	A	19960917	US 1994-330833	19941027
	CA 2134627	AA	19950430	CA 1994-2134627	19941028
	FI 9405092	A	19950430	FI 1994-5092	19941028
	NO 9404121	A	19950502	NO 1994-4121	19941028
	AU 9477552	A1	19950518	AU 1994-77552	19941028
	CN 1112555	A	19951129	CN 1994-118687	19941028
	JP 08104683	A2	19960423	JP 1994-265686	19941028
	HU 72319	A2	19960429	HU 1994-3116	19941028

PRAI JP 1993-272806 A 19931029
JP 1993-272835 A 19931029
JP 1994-186165 A 19940808
OS MARPAT 123:286713
GI



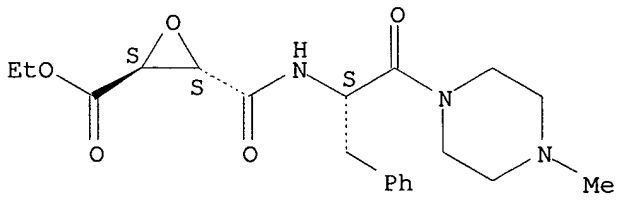
I

AB The title compds. [I; R1 = (un)substituted carboxyl group; R2 = (un)substituted cyclic group; R3 = H, (un)substituted hydrocarbon residue; R4 = (un)substituted hydrocarbon residue with optionally protected amino group, alkenyl; n = 0-6; R3R4N = heterocyclic residue], which are inhibitors of thiol proteases such as cathepsin L or B, useful as prophylactic and/or therapeutic agents for bone diseases such as osteoporosis, are prepd. and I-contg. formulations presented. Thus, N-Z-N'-[N-(2S,3S)-trans-carboxyoxirane-2-carbonyl]-o-fluoro-L-phenylalanyl]-1,4-diaminobutane (sic) was prepd. and demonstrated a IC50 of 1 ng/mL against cathepsin L and 14 ng/mL against cathepsin B.

IT **169499-50-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of epoxysuccinic acid-deriv. inhibitors of thiol proteases for treatment of osteoporosis)

RN 169499-50-7 CAPLUS
CN Oxiranecarboxylic acid, 3-[[[2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]-, ethyl ester, [2S-[2.alpha.,3.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

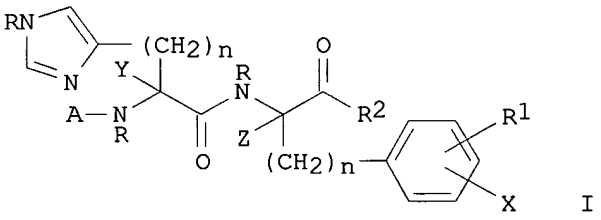


L14 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:812991 CAPLUS
DN 123:228919
TI Preparation of substituted di- and tripeptide inhibitors of protein:farnesyl transferase
IN Bolton, Gary Louis; Creswell, Mark Wallace; Hodges, John Cooke; Wilson, Michael William
PA Warner Lambert Co., USA
SO PCT Int. Appl., 67 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9512612	A1	19950511	WO 1994-US11553	19941012
	W: AM, AU, BG, BY, CA, CZ, EE, FI, GE, HU, JP, KG, KR, NO, NZ, PL, RO, RU, SI, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2170766	AA	19950511	CA 1994-2170766	19941012
	AU 9479760	A1	19950523	AU 1994-79760	19941012
	AU 681454	B2	19970828		
	EP 730605	A1	19960911	EP 1994-930725	19941012
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09504547	T2	19970506	JP 1995-513224	19941012
	JP 3597863	B2	20041208		
	HU 75308	A2	19970528	HU 1996-1193	19941012
	FI 9601819	A	19960429	FI 1996-1819	19960429
	NO 9601814	A	19960506	NO 1996-1814	19960503
	US 5830868	A	19981103	US 1996-671460	19960627
PRAI	US 1993-148735	A	19931105		
	US 1994-303301	A	19940913		
	WO 1994-US11553	W	19941012		

OS MARPAT 123:228919
GI



I

AB Novel protein:farnesyl transferase enzyme inhibitors I [n = 1, 2; A = COR3, CO2R3, CONHR3, CSR3, C(S)OR3, CSNHR3, CF3SO2, aryl-SO2, alkyl-SO2; R3 = alkyl, (CH2)m-cycloalkyl, (CH2)m-aryl, (CH2)m-heteroaryl, (CH2)mO-alkyl; m = 0-3; R, Y, Z = independently H, Me; R1 = H, CO-aryl, (CH2)m-aryl, O(CH2)m-cycloalkyl, O(CH2)m-aryl, O(CH2)m-heteroaryl, (CH2)mO-alkyl, located at the meta or para position; X = 1-4 substituents H, alkyl, CF3, F, Cl, Br, iodo, HO, MeO, NO2, NH2, NMe2, OPO3H2, CH2PO3H2; R2 = NR(CH2)nCO2R3, NR(CH2)nCONHR3, NR(CH2)nR3, NR(CH2)nCH2OR4, NR(CH2)nCH2SR4, NRCH(COR5)(CH2)n-heteroaryl, NRCH(COR5)(CH2)nOR3, NRCH(COR5)(CH2)nSR3, etc.; R4 = H, R3; R5 = OH, NH2, OR3, NHR3], optical isomers, diastereomers, or pharmaceutically acceptable salts thereof are claimed and described, as well as methods for prepn. and pharmaceutical compns., which are useful in controlling tissue proliferative diseases, including cancer and restenosis. Thus, PhCH2O2C-D-His-L-Tyr(CH2Ph)-L-Ser(CH2Ph)-NHEt, prepd. via std. soln. peptide coupling reactions, inhibited protein:farnesyl transferase with IC50 = 0.028 .mu.M.

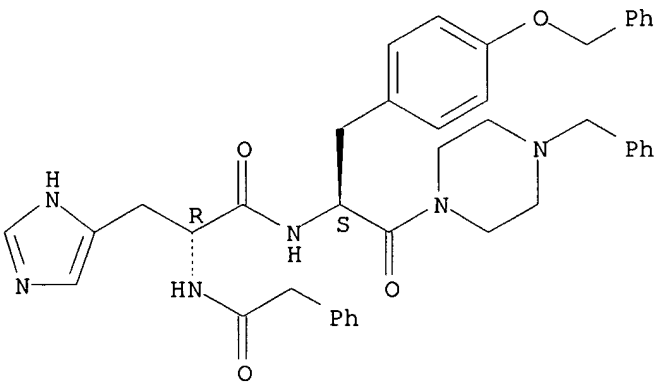
IT **168174-63-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted di- and tripeptide inhibitors of protein:farnesyl transferase)

RN 168174-63-8 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-.alpha.-[(phenylacetyl)amino]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 37 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:304885 CAPLUS

DN 122:106532

TI Preparation of amino acid- and peptideamides as tachykinin antagonists

IN Esser, Franz; Schnorrenberg, Gerd; Dollinger, Horst; Jung, Birgit; Buerger, Erich

PA Boehringer Ingelheim KG, Germany; Boehringer Ingelheim International GmbH

SO PCT Int. Appl., 152 pp.
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9405693	A1	19940317	WO 1993-EP2329	19930828
	W: AU, BG, BY, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 4243496	A1	19940310	DE 1992-4243496	19921222
	DE 4315437	A1	19941110	DE 1993-4315437	19930508
	EP 610487	A1	19940817	EP 1993-919208	19930828
	EP 610487	B1	19991110		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07501085	T2	19950202	JP 1993-506852	19930828
	AU 677792	B2	19970508	AU 1993-49547	19930828
	AU 9349547	A1	19940329		
	CN 1086222	A	19940504	CN 1993-117349	19930903
	FI 9401987	A	19940429	FI 1994-1987	19940429
	NO 9401611	A	19940502	NO 1994-1611	19940502
	GR 3032395	T3	20000531	GR 2000-400089	20000114
PRAI	DE 1992-4229447	A	19920903		
	DE 1992-4243496	A	19921222		
	DE 1993-4315437	A	19930508		

WO 1993-EP2329 W 19930828
OS MARPAT 122:106532
GI

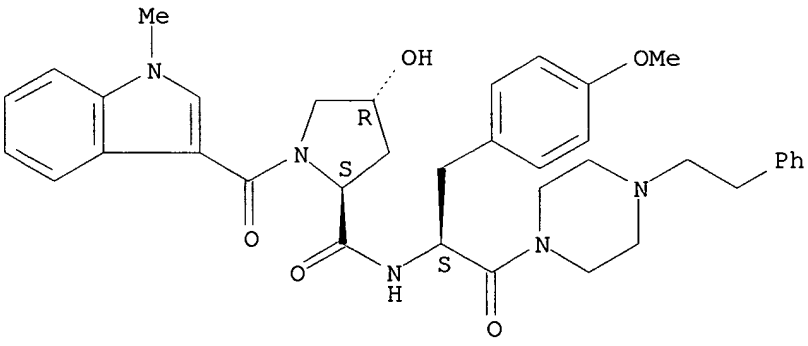
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB R1COA1B [I; R1 = vinyl, (substituted) aryl, heteroaryl, aralkyl, heteroarylalkyl, cycloalkyl, adamantyl, adamantylalkyl, decalinyl, decalinalkyl, (methyl)bicycloheptyl, etc.; A1 = D- or L-Ala, D- or L-Val, D- or L-Leu, D- or L-Ile, D- or L-Thr, D- or L-Cys, D- or L-Phe, D- or L-Trp, D- or L-Pro, D- or L-dehydroPro, D- or L-pGlu, D- or L-Asp, D- or L-Asn, D- or L-Lys, D- or L-Orn, etc.; B = A2NR2R3, R5; A2 = lipophilic .alpha.-amino acid residue; R2, R3 = alkyl, OH, (substituted) aralkyl, heteroaryl; NR2R3 = Q1, Q2; m, n = 0-3; m+n = 2-5; s = 2,3; R5 = Q3, Q4; W = Q5, Q6, diarylmethyl, cyclopentyl, etc.; R6 = (substituted) aralkyl, diarylalkyl, heteroarylalkyl, phenylaminoalkyl, naphthylaminoalkyl, etc.; R7 = H, alkyl; X = H2, O; Y, Z = H, alkyl, alkoxy, (substituted) PhCH2O; t, u = 0, or t = 1, u = 0, or t, u = 1, or t = 2, u = 0], were prepd. Thus, title compd. II, prepd. by soln. phase couplings, bound to substance P receptors with IC50 = 60 nM.

IT **159136-90-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as neurokinin antagonist)

RN 159136-90-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, 4-hydroxy-N-[1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-1-[(1-methyl-1H-indol-3-yl)carbonyl]-, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

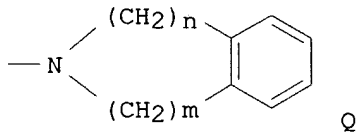


L14 ANSWER 38 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:701326 CAPLUS
DN 121:301326
TI Preparation of new dipeptide derivatives as neurokinin antagonists
IN Schnorrenberg, Gerd; Esser, Franz; Dollinger, Horst; Jung, Birgit; Buerger, Erich
PA Boehringer Ingelheim KG, Germany
SO Ger. Offen., 49 pp.

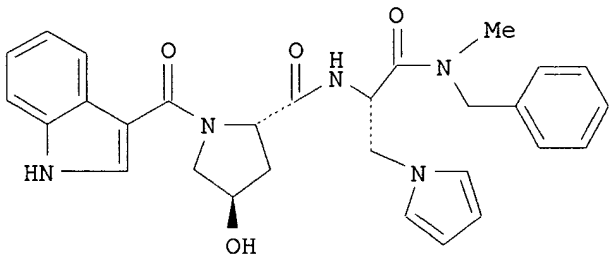
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4243496	A1	19940310	DE 1992-4243496	19921222
	WO 9405693	A1	19940317	WO 1993-EP2329	19930828
	W: AU, BG, BY, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 610487	A1	19940817	EP 1993-919208	19930828
	EP 610487	B1	19991110		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07501085	T2	19950202	JP 1993-506852	19930828
	HU 70475	A2	19951030	HU 1994-1323	19930828
	AU 677792	B2	19970508	AU 1993-49547	19930828
	AU 9349547	A1	19940329		
	AT 186548	E	19991115	AT 1993-919208	19930828
	ES 2137998	T3	20000101	ES 1993-919208	19930828
	EP 979827	A1	20000216	EP 1999-100929	19930828
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	ZA 9306472	A	19940627	ZA 1993-6472	19930902
	US 5596000	A	19970121	US 1993-116090	19930902
	FI 9401987	A	19940429	FI 1994-1987	19940429
	NO 9401611	A	19940502	NO 1994-1611	19940502
	US 5849918	A	19981215	US 1995-460964	19950605
	US 6147212	A	20001114	US 1998-111498	19980708
	GR 3032395	T3	20000531	GR 2000-400089	20000114
PRAI	DE 1992-4229447	A1	19920903		
	DE 1992-4243496	A	19921222		
	DE 1993-4315437	A	19930508		
	EP 1993-919208	A3	19930828		
	WO 1993-EP2329	W	19930828		
	US 1993-116090	A3	19930902		
	US 1995-460964	A3	19950605		

OS CASREACT 121:301326; MARPAT 121:301326
GI



Q



II

AB Title compds. R1-CO-A1-A2-NR2R3 [I; R1 = vinyl, aryl, heteroaryl, aralkyl,

heteroaralkyl, arylvinyl, heteroarylvinyl, etc.; A1 = D- or L-Ala, -Val, -Leu, etc.; A2 = .alpha.-amino acid residue, etc; R2, R3 = alkyl; or NR2R3 = heterocycle residue such as Q; m, n = 0, 1, 2, 3], useful as neurokinin antagonists (no data), are prepd. E.g., L-Z-3-(1-pyrrolyl)alanine Me ester was stirred with 2,5-dimethoxytetrahydrofurn in H2O-EtOAc at room temp. for 23 h to give, after treatment with aq. NaHCO3, Z-Pal-OMe [Pal = 3-(1-pyrrolyl)alanine residue], which was hydrolyzed to give Z-Pal-OH, which was amidated with N-methylbenzylamine to give Z-Pal-NMeBzl, which was deprotected and the resulting H-Pal-NMeBzl was condensed with BOC-(2S,4R)-hydroxyproline to give H-Hyp-Pal-NMeBzl, which was acylated with indol-3-ylcarbonyl chloride to give the title compd. II. Some pharmaceutical compns. contg. I are described.

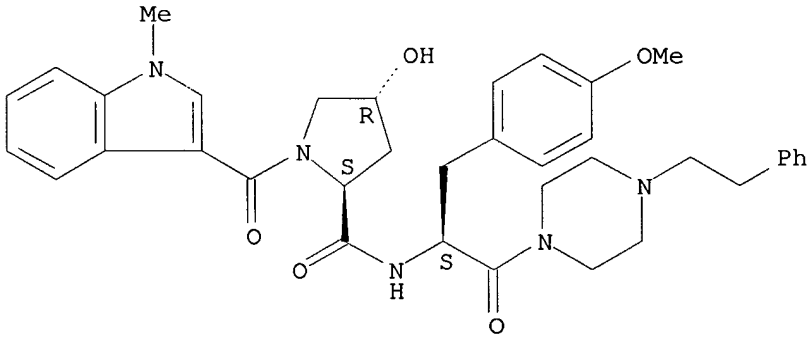
IT **159136-90-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as neurokinin antagonist)

RN 159136-90-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, 4-hydroxy-N-[1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-1-[(1-methyl-1H-indol-3-yl)carbonyl]-, [2S-[2.alpha.(R*),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1994:679060 CAPLUS

DN 121:279060

TI Tachykinin antagonistic TAN-1666 substances manufacture with Aspergillus

IN Shirafuji, Hideo; Harada, Setsuo; Ishimaru, Takenori

PA Takeda Chemical Industries Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06199892	A2	19940719	JP 1993-228647	19930914
PRAI	JP 1992-245248	A1	19920914		

OS MARPAT 121:279060

AB The TAN-1666 substances PhCH:CHCONHCH(Me)CONR1CH(CH2Ph)CONHCH(CH2Ph)COR2 [I: R1= H; R2= OH, (un)substituted hydrocarbonoxy, or (un)substituted amino; or R1 and R2 may form a bond] useful as tachykinin antagonists are

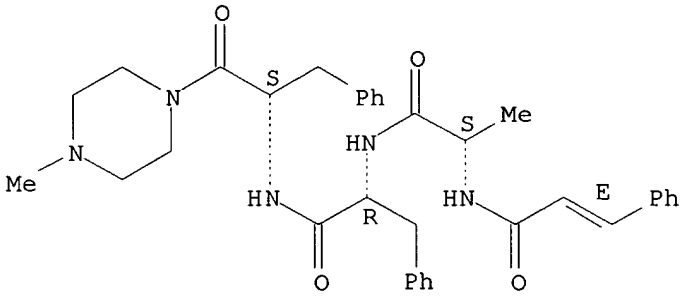
manufd. with Aspergillus. I are useful for prevention and treatment of tachykinin-assocd. diseases. Shake-culture of A. terreus in a medium of glycerol, maltose, soybean meal, etc., and recovery of TAN-1666A and TAN-1666B from 95-L culture broth by extn. and chromatogs. were shown. Also shown was the chem. prepn. of I derivs. from TAN-1666B. The physicochem. characteristics of TAN-1666A and TAN-1666B were given.

IT **158560-27-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tachykinin antagonistic TAN-1666 substance derivs.)

RN 158560-27-1 CAPLUS

CN D-Phenylalaninamide, N-(1-oxo-3-phenyl-2-propenyl)-L-alanyl-N-[2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, [1(E),2(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L14 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1993:517838 CAPLUS
DN 119:117838
TI Preparation of terminally modified tri-, tetra-, and pentapeptide anaphylatoxin receptor ligands
IN Luly, Jay R.; Kawai, Megumi; Wiedman, Paul E.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 136 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9221361	A1	19921210	WO 1992-US4331	19920522
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	US 5190922	A	19930302	US 1991-710209	19910604
PRAI	US 1991-710209	A	19910604		

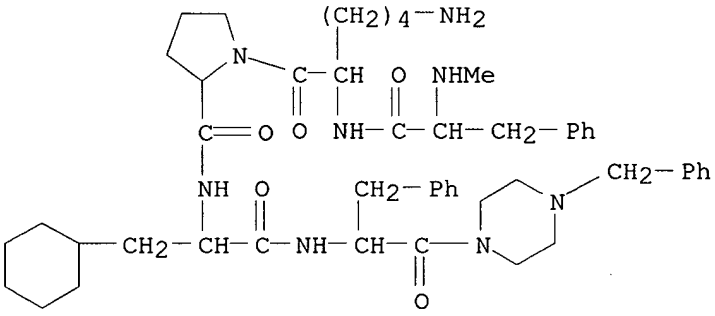
OS MARPAT 119:117838
AB Oligopeptides A-B-D-E-G-J-L [A = (un)modified, (un)protected, or desamino acid residue; B, D, E, G, J = independently (un)modified amino acid residue, or B-D, D-E, E-G, G-J = (un)modified dipeptide isostere; L = CN, OH], analogs thereof, and pharmaceutically acceptable salts and compns. thereof, were prepd. as ligands for the anaphylatoxin C5a receptor and are useful in the treatment of inflammatory disease states. Thus, (S)-PhCHEtCO-L-Lys-L-Pro-D-Cha-L-Cha-D-Arg-OH (I; Cha =

3-cyclohexylalanine) was prepd. by solid-phase methods using N.alpha.-tert-butoxycarbonyl (Boc) protection on a Merrifield resin. I showed Ki = 0.014 .mu.M in an in vitro anaphylatoxin C5a receptor binding assay.

IT **149421-38-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as anaphylatoxin receptor ligand)

RN 149421-38-5 CAPLUS

CN D-Alaninamide, N-methyl-L-phenylalanyl-L-lysyl-L-prolyl-3-cyclohexyl-N-[2-oxo-1-(phenylmethyl)-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, (S)- (9CI) (CA INDEX NAME)



L14 ANSWER 41 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1991:632849 CAPLUS

DN 115:232849

TI Dipeptide side chain-side chain hydrophobic interactions as conformational core for chymotrypsin inhibition

AU Sakamoto, Hiroshi; Shimohigashi, Yasuyuki; Ogawa, Tomohisa; Kawano, Keiichi; Ohno, Motonori

CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan

SO Bulletin of the Chemical Society of Japan (1991), 64(8), 2519-23
CODEN: BCSJA8; ISSN: 0009-2673

DT Journal

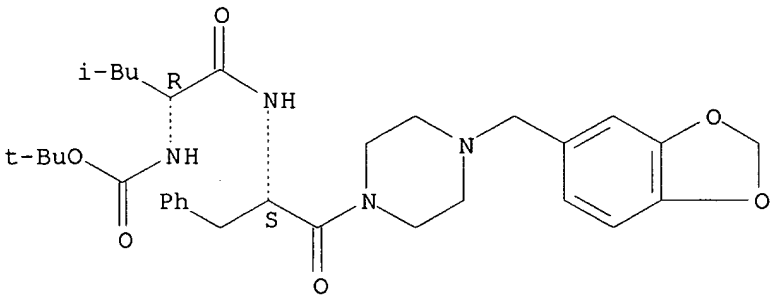
LA English

AB A series of dipeptides H-D-Leu-L-Phe-R, [R = 4-phenylpiperidino (I), 4-phenylpiperazino (II), 4-(4-acetylphenyl)piperazino, 4-(3,4-methylenedioxybenzyl)piperazino, piperidino] were prepd. to exam. their ability to inhibit chymotrypsin. I and II inhibited chymotrypsin fairly strongly (Ki = 7.5 .times. 10-4M and 1.4 .times. 10--3M, resp.) while their phenyl-substituted analogs were inactive. In high resoln. 1H NMR measurements, the proton signals of D-leucine .gamma.-CH and .beta.-CH2 shifted considerably upfield when compared with those of H-D-Leu-L-Ala-OH, suggesting that these upfield shifts are due to the magnetic anisotropy effect by the benzene ring of the phenylation residue. This was confirmed by measuring NOE between the D-leucine iso-Bu and L-phenylalanine Ph groups. The side chains are in close proximity, resulting in formation of a hydrophobic complex. In the inhibitory conformation, the C-terminal Ph group of I and II fits the S1 site, while the side chain-side chain hydrophobic complexing core fits the S2 site.

IT **137005-65-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

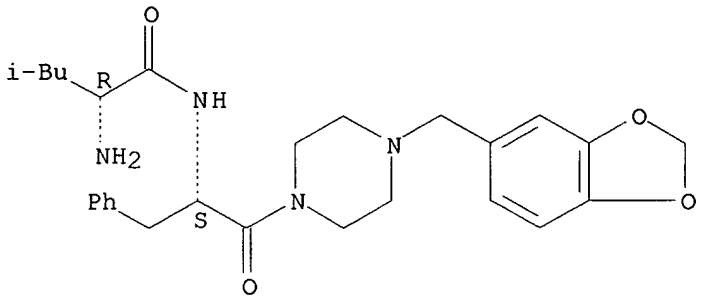
(Reactant or reagent)
(prepn. and deblocking of, with hydrogen chloride)
RN 137005-65-3 CAPLUS
CN Carbamic acid, [1-[[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]-3-methylbutyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 42 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1990:473560 CAPLUS
DN 113:73560
TI Chymotrypsin inhibition by dipeptide esters, phenylpiperidide and phenylpiperazides
AU Sakamoto, Hiroshi; Shimohigashi, Yasuyuki; Ogawa, Tomohisa; Kawano, Keiichi; Ohno, Motonori
CS Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan
SO Peptide Chemistry (1990), Volume Date 1989, 27th, 375-8
CODEN: PECHDP; ISSN: 0388-3698
DT Journal
LA English
AB Intramol. hydrophobic interactions have been suggested to result in a peptide conformation which is inhibitory to chymotrypsin. To test this, the effects of interaction between the D-amino acid (D-Xaa) and the Bzl ester for a series of dipeptides was studied and compared to the results obtained using the same peptides but with a C-terminal -O-Me replacing the -OBzl group. In the case of the -OBzl esters, the extent of inhibition was affected by the bulkiness of the D-Xaa group. The control -OMe peptides were not inhibitory, indicating the importance of an intramol., hydrophobic interaction for enzyme inhibition. Other studies, using the phenylpiperadine and phenylpiperazide derivs. of the above inhibitors, showed a potency difference between active compds., the phenylpiperidine being a 2-fold more active than the phenylpiperazide and both being considerably less active than the -OBzl deriv.
IT **128557-50-6**
RL: BIOL (Biological study)
(chymotrypsin inhibition by, structure and hydrophobicity in relation to)
RN 128557-50-6 CAPLUS
CN Pentanamide, 2-amino-N-[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]-4-methyl-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

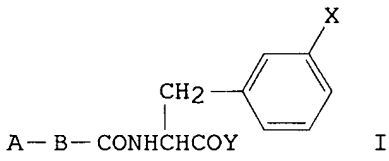
Absolute stereochemistry.



L14 ANSWER 43 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1989:595407 CAPLUS
DN 111:195407
TI Preparation and testing of phenylalanine derivatives as protease inhibitors
IN Okamoto, Akiyoshi; Okada, Yoshio; Okumiya, Akiko; Naito, Taketoshi; Kimura, Yoshio; Yamada, Morihiko; Ono, Tokuo; Katsura, Yasuhiro; Nojima, Hiroshi; Shishikura, Takashi
PA Showa Denko K. K., Japan
SO Jpn. Kokai Tokkyo Koho, 47 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 63239256	A2	19881005	JP 1987-71743	19870327
PRAI JP 1987-71743		19870327		

OS MARPAT 111:195407
GI



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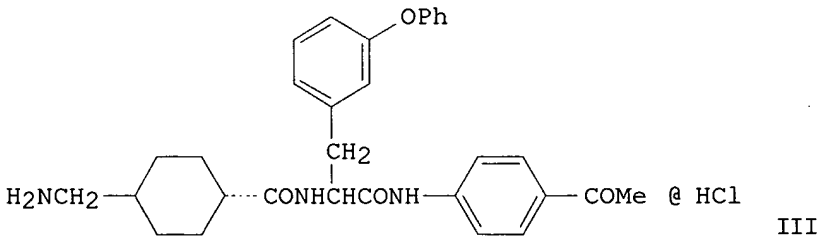
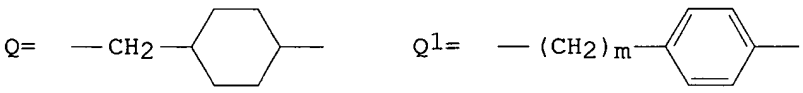


III

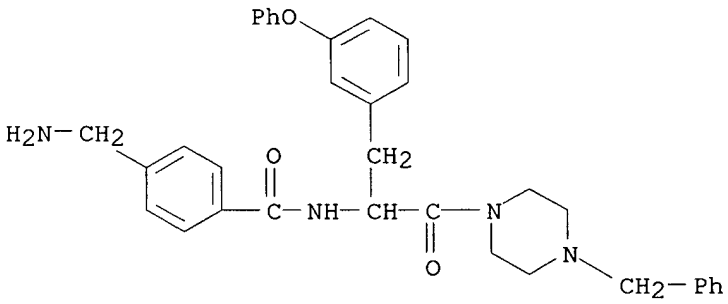


AB Phenylalanine derivs. [I; A = H2N, H2NC(:NH), H2N(C:NH)NH; B = Q, Q1, (CH2)n; m = 0-2; n = 3-5; X = HO, NO2, NH2, (halo or nitro) PhO, C1-4 (Ph or benzoyl) alkylthio, PhCO, (halo or nitro) pyridyloxy, C1-4 (halo) alkyl; Y = NR1R2, OR3; R1, R2 = H, imidazolyl, tetrazolyl, (un)substituted Ph, pyridyl, thiazolyl, or C1-6 (cyclo)alkyl, or NR1R2 = morpholino, (un)substituted piperazyl, piperidino, or pyrrolidyl; R3 = H, pyridyl, (un)substituted C1-6 alkyl] were prepd. as protease inhibitors. Treatment of N-(tert-butoxycarbonyl)-3-phenoxy-DL-phenylalanine (prepn. given) with ClCO2Et in THF contg. Et3N followed by 4-acetylaniline gave, after deprotection with 4 N HCl/dioxane, 3-phenoxy-DL-phenylalanine 4-acetylanilide-HCl (II). Analogous condensation of trans-4-[(tert-butoxycarbonyl)aminomethyl]cyclohexylcarboxylic acid (prepn. given) with II using ClCO2Et in DMF in the presence of Et3N gave, after deprotection with 4 N HCl/dioxane, phenylalanine anilide III. III in vitro inhibited the decompn. of S-2238 by trypsin with an I50 (inhibitory concn. of the substrate to reduce 50% the absorbance of p-nitroaniline generated in the system without the inhibitor) of 2.3 .mu.M and that of S-2302 by human blood-plasma kallikrein with an I50 of 0.38 .mu.M.

IT **119349-66-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as protease inhibitor)

RN 119349-66-5 CAPLUS

CN Benzamide, 4-(aminomethyl)-N-[2-oxo-1-[(3-phenoxyphenyl)methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L14 ANSWER 44 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1989:108201 CAPLUS

DN 110:108201

TI Phenylalanine derivatives as proteinase inhibitors

IN Okamoto, Shosuke; Okada, Yoshio; Okunomiya, Akiko; Naito, Taketoshi; Kimura, Yoshio; Yamada, Morihiko; Ohno, Norio; Katsuura, Yasuhiro; Nojima, Hiroshi; Shishikura, Takashi

PA Showa Denko K. K., Japan

SO Eur. Pat. Appl., 64 pp.
CODEN: EPXXDW

DT Patent

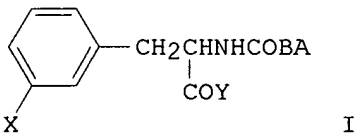
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 284632	A1	19881005	EP 1987-104690	19870330
	R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
	AU 584502	B2	19890525	AU 1987-70760	19870330
	AU 8770760	A1	19880929		
PRAI	EP 1987-104690		19870330		

OS MARPAT 110:108201

GI



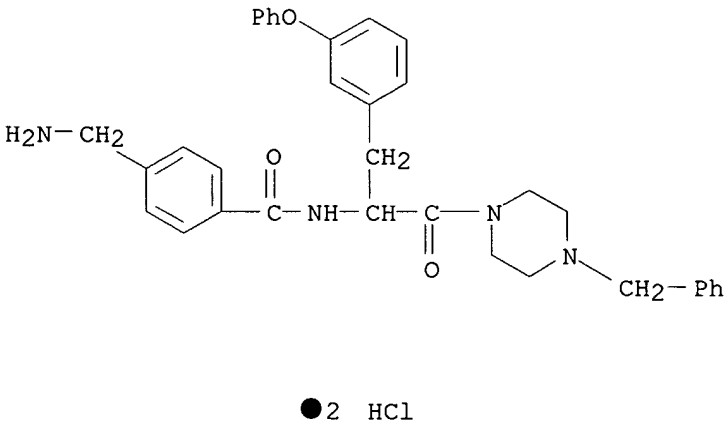
I

AB Phenylalanine derivs. I [A = NH2, H2NC(:NH), H2NC(:NH)NH; B = cyclohexylmethyl, phenylalkyl, alkylene; X = OH, NO2, NH2, (un)substituted Ph or pyridyloxy, Bz, alkyl, haloalkyl; Y = (un)substituted NH2, OH, alkoxy, etc.] are prepd. as medicinal proteinase inhibitors. trans-4-(tert-Butoxycarbonyl)aminomethylcyclohexylcarboxylic acid (prepn. given) in THF-DMF mixt. was treated with Et3N and with Et chlorocarbonate in THF, followed by the addn. of 3-phenoxy-DL-phenylalanine 4-acetylanilide-HCl (prepn. given), to yield N-[trans-4-(tert-butyloxycarbonyl)aminomethylcyclohexylcarbonyl]-3-phenoxy-DL-phenylalanine 4-acetylanilide. This was added, under ice-cooling, to 4 N HCl in 1,4-dioxane, to give N-(trans-4-aminomethylcyclohexylcarbonyl)-3-phenoxy-DL-phenylalanine 4-acetylanilide-HCl (II). II strongly inhibited plasma kallikrein, in vitro.

IT **119349-66-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as pharmaceutical proteinase inhibitor)

RN 119349-66-5 CAPLUS

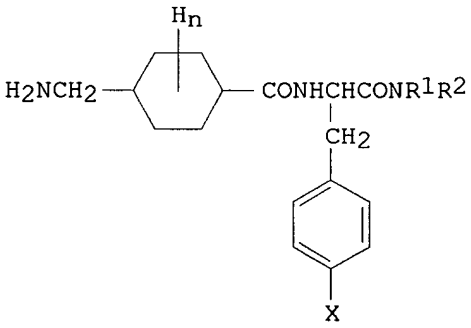
CN Benzamide, 4-(aminomethyl)-N-[2-oxo-1-[(3-phenoxyphenyl)methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



L14 ANSWER 45 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1987:478251 CAPLUS
DN 107:78251
TI Preparation of phenylalanine derivatives as proteinase inhibitors
IN Okamoto, Shosuke; Okada, Yoshio; Okunomiya, Akiko; Naito, Taketoshi; Kimura, Yoshio; Yamada, Morihiko; Ohno, Nori; Katsuura, Yasuhiro; Seki, Yumi
PA Showa Denko K. K., Japan
SO Eur. Pat. Appl., 169 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 217286	A1	19870408	EP 1986-113166	19860924
EP 217286	B1	19900523		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
AU 8663051	A1	19870402	AU 1986-63051	19860923
AU 598750	B2	19900705		
CA 1297633	A1	19920317	CA 1986-518905	19860923
JP 63022061	A2	19880129	JP 1986-224995	19860925
JP 07053705	B4	19950607		
US 4895842	A	19900123	US 1986-912480	19860929
AU 587691	B2	19890824	AU 1987-70773	19870330
AU 8770773	A1	19880929		
PRAI JP 1985-212240	A	19850927		
JP 1986-45348	A	19860304		

OS MARPAT 107:78251
GI



I

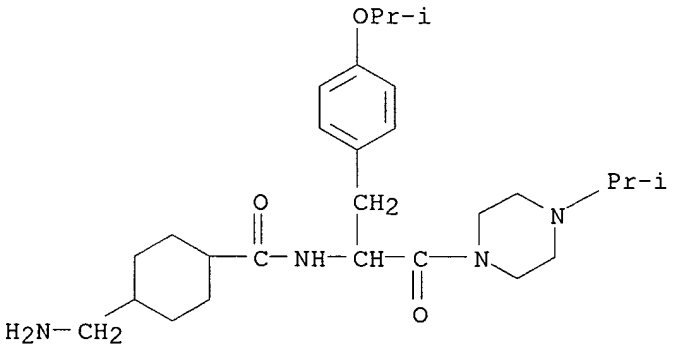
AB The title peptides [I; n = 4-10; R1, R2 = H, (un)substituted C1-C8 alkyl, (un)substituted C6-C8 cycloalkyl, (un)substituted Ph, (un)substituted pyridyl, pyrimidyl, N-benzylazacyclohexyl or NR1R2 = (thio)morpholino, (un)substituted piperidinyl, (un)substituted pyrrolidinyl; X = H, NO2, NH2, OR3; R3 = H, alkyl, alkenyl, (un)substituted CH2Ph, PhCOCH2, pyridylmethyl, (nitro)pyridyl, (nitro)pyrimidyl, (alkyl)PhSO2, (halo)PhCH2O2C] and pharmaceutically acceptable salts, useful as proteinase inhibitors and thereby useful as hemostatic, antiinflammatory and antiallergic agents, were prepd. Et3N, EtO2CCl and L-phenylalanine

4-acetylanilide-HCl were successively added to a soln. of trans-4-[N-(tert-butyloxycarbonyl)aminomethyl]cyclohexanecarboxylic acid and the mixt. was allowed to react at room temp. for 3 h to give, after acid hydrolysis, N-[trans-4-(aminomethyl)cyclohexylcarbonyl]-L-phenylalanine 4-acetylanilide. I in vitro inhibited plasmin, thrombin, trypsin, plasma and urokinase.

IT **109359-80-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as proteinase inhibitor and hemostatic, antiallergic, and antiinflammatory agent)

RN 109359-80-0 CAPLUS

CN Cyclohexanecarboxamide, 4-(aminomethyl)-N-[1-[[4-(1-methylethoxy)phenyl]methyl]-2-[4-(1-methylethyl)-1-piperazinyl]-2-oxoethyl]-, [1(S)-trans]- (9CI) (CA INDEX NAME)



L14 ANSWER 46 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1981:462723 CAPLUS

DN 95:62723

TI Peptideamides

IN Bickel, Martin; Alpermann, Hans Georg; Geiger, Rolf; Teetz, Volker

PA Hoechst A.-G., Fed. Rep. Ger.

SO Ger. Offen., 17 pp.
CODEN: GWXXBX

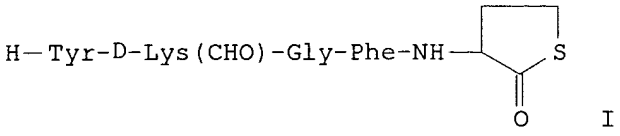
DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2933947	A1	19810312	DE 1979-2933947	19790822
	ES 494257	A1	19810316	ES 1980-494257	19800814
	EP 24664	A1	19810311	EP 1980-104880	19800816
	EP 24664	B1	19830216		
	R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
	AT 2511	E	19830315	AT 1980-104880	19800816
	AU 8061620	A1	19810409	AU 1980-61620	19800821
	AU 543519	B2	19850426		
	ZA 8005151	A	19810826	ZA 1980-5151	19800821
	CA 1158237	A1	19831206	CA 1980-358704	19800821
	JP 56045451	A2	19810425	JP 1980-114878	19800822
	JP 60033440	B4	19850802		
	US 4459225	A	19840710	US 1982-359242	19820318
PRAI	DE 1979-2933947	A	19790822		

EP 1980-104880 A 19800816
US 1980-179746 A1 19800820
OS MARPAT 95:62723
GI



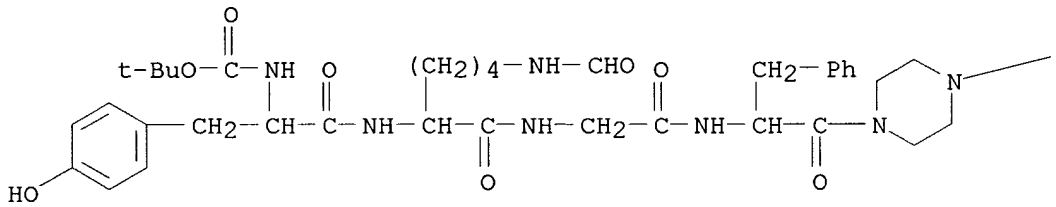
I

AB H-Tyr-D-Lys(CHO)-Gly-X-NHR (X = null, R = alkyl; X = Phe, dehydrophenylalanine residue, R = C1-6 alkyl; X = Phe, R = C1-8 cycloalkyl or cycloalkenyl in which 1-2 CH2 groups can be replaced by NH, O, S, or CO; (alkenyl)-C1-5 cycloalkyl; endo or exo-norbornyl; thiazolyl) were prepd. Thus, Me3CO2C-Tyr(CMe3)-D-Lys(CHO)-Gly-Phe-OH was prep. by stepwise couplings in soln. and then it was and treated with DL-homocysteine thiolactone and the deblocked to give tetrapeptide amide I. H-Tyr-D-Lys(CHO)-Gly-Phe-NH2 (II) had a ED50 for inhibiting the motility of guine pig ileum of 3 .times. 10-0 g/mL; II also affected intestinal motility in dogs at 0.01 mg/kg while retaining analgesic activity.

IT **78410-53-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deblocking of)

RN 78410-53-4 CAPLUS
CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-N6-formyl-D-lysyl-N-[2-oxo-1-(phenylmethyl)-2-(4-propyl-1-piperazinyl)ethyl]-, (S)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

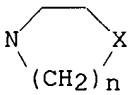
L14 ANSWER 47 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1980:6947 CAPLUS
DN 92:6947
TI Tetrapeptides

IN Morgan, Barry Arnold
PA Reckitt and Colman Products Ltd., UK
SO Ger. Offen., 57 pp.
CODEN: GWXXBX
DT Patent
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2854105	A1	19790621	DE 1978-2854105	19781214
	US 4178371	A	19791211	US 1978-964074	19781127
	DK 7805406	A	19790706	DK 1978-5406	19781130
	GB 2013689	A	19790815	GB 1978-46692	19781130
	GB 2013689	B2	19820324		
	CA 1145330	A1	19830426	CA 1978-317174	19781130
	AU 7842199	A1	19790621	AU 1978-42199	19781205
	AU 523732	B2	19820812		
	FI 7803751	A	19790616	FI 1978-3751	19781207
	SE 7812720	A	19790616	SE 1978-12720	19781211
	IL 56181	A1	19820531	IL 1978-56181	19781211
	ZA 7806966	A	19801126	ZA 1978-6966	19781212
	PL 114981	B1	19810331	PL 1978-211703	19781213
	NL 7812166	A	19790619	NL 1978-12166	19781214
	FR 2411829	A1	19790713	FR 1978-35251	19781214
	FR 2411829	B1	19831118		
	ES 475997	A1	19791101	ES 1978-475997	19781214
	SU 793386	D	19801230	SU 1978-2699407	19781214
	CS 210679	P	19820129	CS 1978-8343	19781214
	CH 638177	A	19830915	CH 1978-12735	19781214
	BE 872823	A1	19790615	BE 1978-46696	19781215
	JP 54090142	A2	19790717	JP 1978-155709	19781215
	DD 140562	C	19800312	DD 1978-209811	19781215
	AT 7808998	A	19801215	AT 1978-8998	19781215
	AT 363198	B	19810710		
PRAI	GB 1977-52237	A	19771215		
	GB 1978-13845	A	19780408		

GI

Q= 

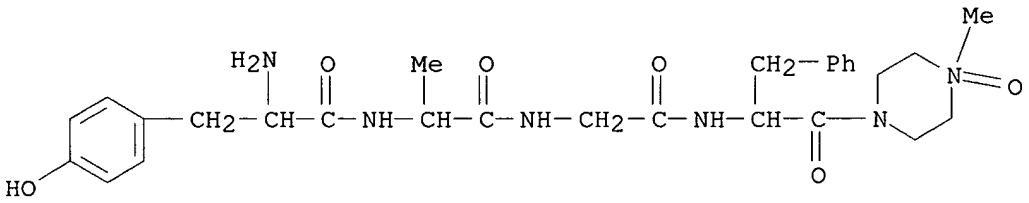
AB Enkephalin tetrapeptide analogs R-Tyr-D-X-Gly-L-X1-NR1R2 [R = H, Me, alkenyl, cycloalkylmethyl, Ph; X, X1 = substituted glycyl; R, R1 = H, C1-5 alkyl, acyl, ureido; NR1R2 = quaternary, Q (N = 1-3; x = SO, SO2, CO, CHOH, or qyaternary N], having an affinity for opiate receptors, were prepd. as analgesics, narcotic antagonists, and antidiarrhea agents. Thus, BOC-Gly-Phe-OH (BOC = Me3CO2C) was amidated with H2NCH2CH2NHAc by dicyclohexylcarbodiimide (DCC)/N-hydroxysuccinimide (HOSu) in DMF to give BOC-Gly-Phe-NHCH2CH2NHAc, which was BOC-deblocked and then coupled with BOC-Tyr(CMe3)-D-Ala-OH by DCC/HOSu in DMF to give BOC-Tyr(CMe3)-D-Ala-Gly-Phe-NHCH2CH2NHAc. The latter was deblocked with HCl/HOAc to give H-Tyr-D-Ala-Gly-Phe-NHCH2CH2NHAc (I). I had 2.6 times the opiate receptor

antagonist activity than that of Met enkephalin.

IT **72080-57-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 72080-57-0 CAPLUS

CN Glycinamide, L-tyrosyl-D-alanyl-N-[2-(4-methyl-4-oxido-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, (S)- (9CI) (CA INDEX NAME)



=>
=> d l14 3 17 26 35 bib abs hitstr

L14 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:630336 CAPLUS
DN 143:115803
TI Preparation of peptide derivatives with growth hormone releasing properties
IN Peschke, Bernd; Richter, Lutz; Kruse, Thomas Hansen; Ankersen, Michael
PA Novo Nordisk A/S, Den.
SO U.S., 44 pp., Cont.-in-part of U.S. Ser. No. 337,809, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6919315	B1	20050719	US 1999-356313	19990716
	ZA 2000007056	A	20011105	ZA 2000-7056	20001130
	US 2005233981	A1	20051020	US 2005-147017	20050607
PRAI	DK 1998-857	A	19980630		
	US 1998-91786P	P	19980706		
	DK 1998-1440	A	19981109		
	US 1998-108369P	P	19981113		
	US 1999-337809	B2	19990621		
	US 1999-356313	A1	19990716		

OS MARPAT 143:115803

AB Peptides R8NH(CR6R7)f(CH2)e-M-(CHR5)d(CH2)cCONR1CH[(CH2)a-G]CONR2CH[(CH2)b-J]CO-L [R1 = H, alkyl; L = (un)substituted aza heterocyclyl or aza heterocyclylamino or -methylamino; G, J = -O(CH2)kR17 (k = 0-2, R17 = H, halo, aryl, hetaryl, alkyl, alkoxy), (un)substituted Ph, pyridyl, naphthyl, indolyl, imidazolyl, thienyl, or benzothienyl; a, b, c = 0-2; d, f = 0 or 1; e = 0-3; R5-R8 = H or (un)substituted alkyl; M = arylene, hetarylene, O, S, or ethylene which is optionally substituted by alkyl, arylalkyl, or hetarylalkyl] were prepd. for treating medical disorders resulting from a deficiency in growth hormone. Thus, (2E)-5-amino-5-methylhex-2-enoic acid N-[(1R)-1-[N-[(1R)-1-benzyl-2-[4-[(dimethylamino)methyl]piperidin-1-yl]-2-oxoethyl]-N-methylcarbamoyl]-2-(2-

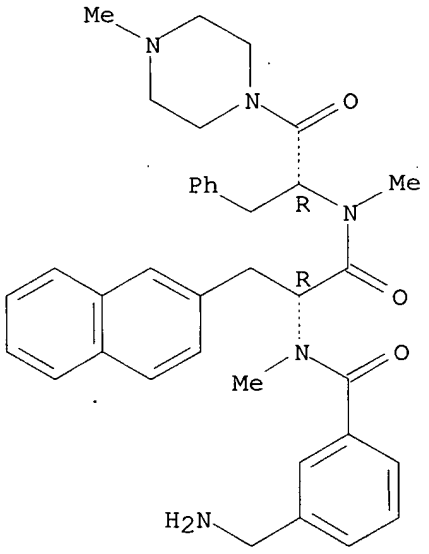
naphthyl)ethyl]-N-methylamide was prepd. via amidation of (2E)-5-[(tert-butoxycarbonyl)amino]-5-methylhex-2-enoic acid, followed by cleavage of the protecting group with trifluoroacetic acid.

IT **254905-34-5P 254905-35-6P 254905-39-0P,** (2E)-4-(1-Aminocyclobutyl)but-2-enoic acid N-[(1R)-1-[N-[(1R)-1-benzyl-2-(4-methylpiperazin-1-yl)-2-oxoethyl]-N-methylcarbamoyl]-2-(2-naphthyl)ethyl]-N-methylamide **254905-40-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide derivs. with growth hormone releasing properties)

RN 254905-34-5 CAPLUS

CN 2-Naphthalenepropanamide, .alpha.-[[3-(aminomethyl)benzoyl]methylamino]-N-methyl-N-[(1R)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

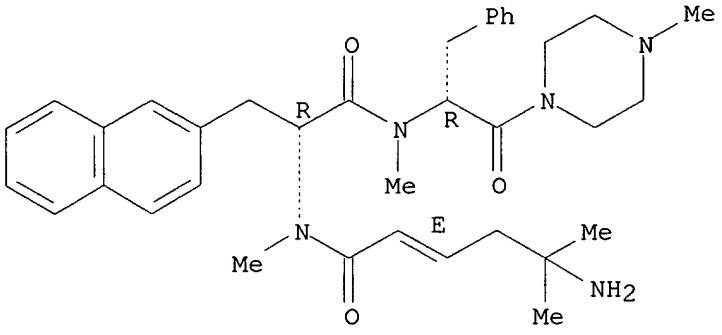
Absolute stereochemistry.



RN 254905-35-6 CAPLUS

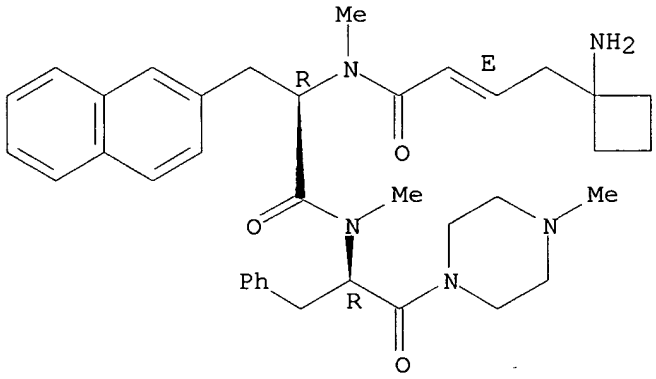
CN 2-Naphthalenepropanamide, .alpha.-[[(2E)-5-amino-5-methyl-1-oxo-2-hexenyl]methylamino]-N-methyl-N-[(1R)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



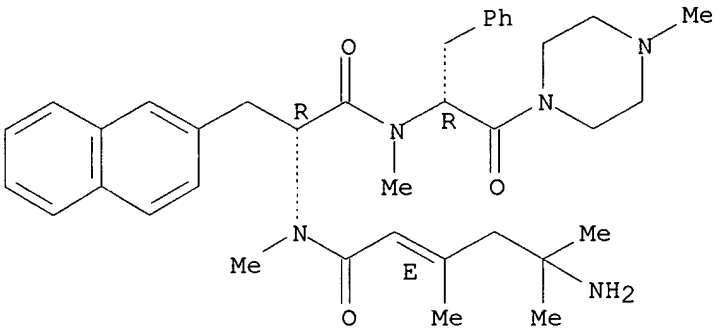
RN 254905-39-0 CAPLUS
CN 2-Naphthalenepropanamide, .alpha.-[[(2E)-4-(1-aminocyclobutyl)-1-oxo-2-butenyl]methylamino]-N-methyl-N-[(1R)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 254905-40-3 CAPLUS
CN 2-Naphthalenepropanamide, .alpha.-[[(2E)-5-amino-3,5-dimethyl-1-oxo-2-hexenyl]methylamino]-N-methyl-N-[(1R)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

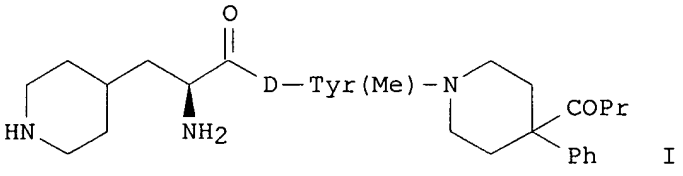


RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:695975 CAPLUS
DN 137:232913
TI Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors
IN Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070511	A1	20020912	WO 2002-US6479	20020302
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2437594	AA	20020912	CA 2002-2437594	20020302
	EP 1363898	A1	20031126	EP 2002-723310	20020302
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2005511475	T2	20050428	JP 2002-569831	20020302
	US 2003092732	A1	20030515	US 2002-90582	20020304
	US 6979691	B2	20051227		
	US 2003096827	A1	20030522	US 2002-90288	20020304
	US 6713487	B2	20040330		
	US 2004229882	A1	20041118	US 2003-696761	20031029
	US 2006025403	A1	20060202	US 2005-199464	20050808
PRAI	US 2001-273206P	P	20010302		
	US 2001-273291P	P	20010302		
	WO 2002-US6479	W	20020302		

US 2002-90288 A3 20020304
US 2002-90582 A3 20020304
OS MARPAT 137:232913
GI



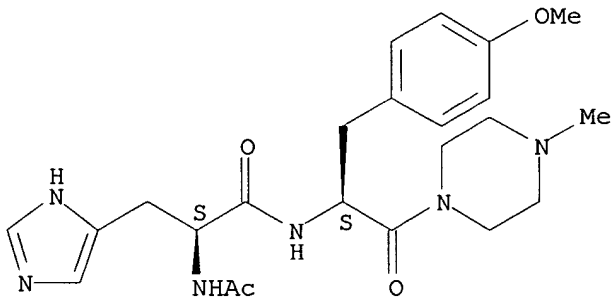
I

AB Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo)alkylamino; R4-R6 = H, (un)substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepd. as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepd. by a soln.-phase peptide coupling/deprotection scheme.

IT **457904-62-0P 457904-63-1P 457904-64-2P**
457904-65-3P 457904-71-1P 457904-76-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides for pharmaceutical use as modulators of melanocortin receptors)

RN 457904-62-0 CAPLUS
CN 1H-Imidazole-4-propanamide, .alpha.-(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-, (.alpha.S)-(9CI) (CA INDEX NAME)

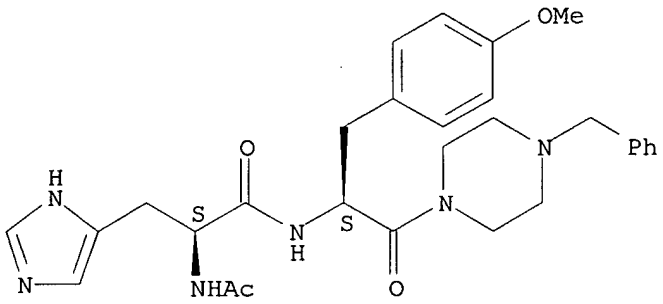
Absolute stereochemistry.



RN 457904-63-1 CAPLUS
CN 1H-Imidazole-4-propanamide, .alpha.-(acetylamino)-N-[(1S)-1-[(4-

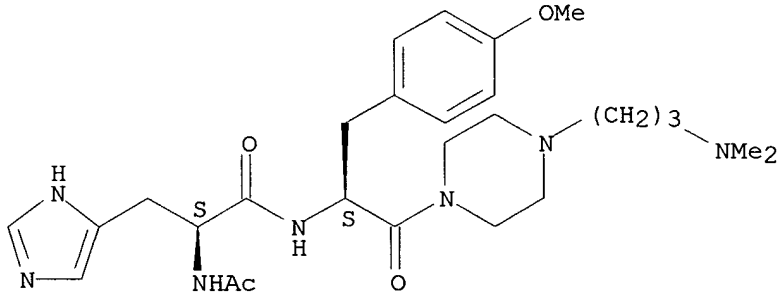
methoxyphenyl)methyl]-2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



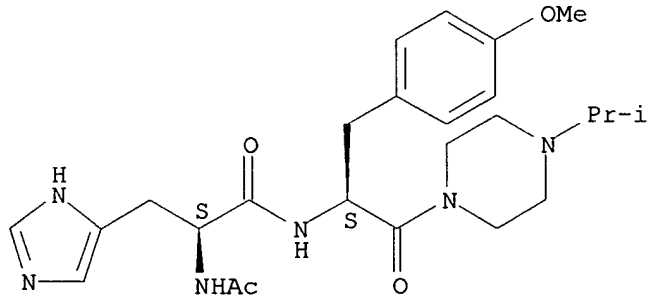
RN 457904-64-2 CAPLUS
CN 1H-Imidazole-4-propanamide, .alpha.-(acetylamino)-N-[(1S)-2-[4-[3-(dimethylamino)propyl]-1-piperazinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 457904-65-3 CAPLUS
CN 1H-Imidazole-4-propanamide, .alpha.-(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-[4-(1-methylethyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

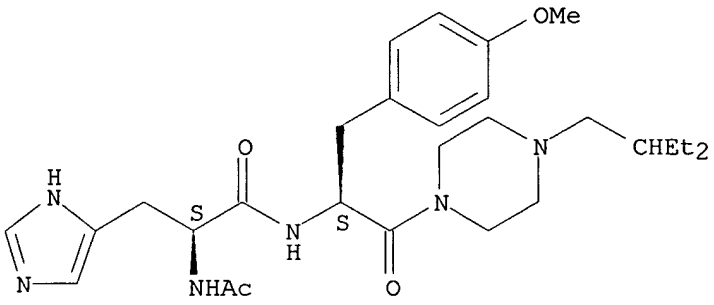
Absolute stereochemistry.



RN 457904-71-1 CAPLUS
CN 1H-Imidazole-4-propanamide, .alpha.-(acetylamino)-N-[(1S)-2-[4-(2-ethylbutyl)-1-piperazinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-,

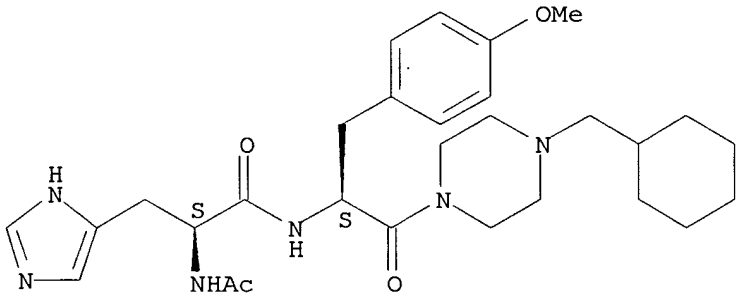
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 457904-76-6 CAPLUS
CN 1H-Imidazole-4-propanamide, .alpha.-(acetylamino)-N-[(1S)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:429278 CAPLUS
DN 131:185219
TI Multiple parallel synthesis of N,N-dialkyldipeptidylamines as N-type calcium channel blockers
AU Ryder, Todd R.; Hu, Lain-Yen; Rafferty, Michael F.; Millerman, Elizabeth; Szoke, Balazs G.; Tarczy-Hornoch, Katalin
CS Department of Chemistry, Division Of Warner-Lambert Company, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(13), 1813-1818
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB Selective N-type Voltage Sensitive Calcium Channel (VSCC) blockers have shown utility in several models of stroke and pain. A series of N,N-dialkyldipeptidylamines with potent functional activity at N-type VSCC's has been identified. Multiple parallel synthesis of a focused array of thirty compds. using polymer-supported quenching reagents and preliminary pharmacol. are presented. Eighteen compds. were identified

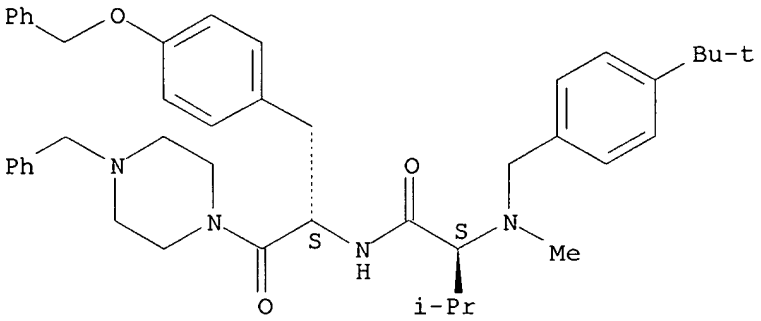
with an IC50 below 1 .mu.M in an in vitro functional assay.

IT **239790-05-7P 239790-08-0P 239790-12-6P**
239790-15-9P 239790-17-1P 239790-21-7P
239790-24-0P 239790-27-3P 239790-30-8P
239790-34-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. activity of as N-type calcium channel blockers)

RN 239790-05-7 CAPLUS

CN Butanamide, 2-[[[4-(1,1-dimethylethyl)phenyl]methyl]methylamino]-3-methyl-N-[(1S)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

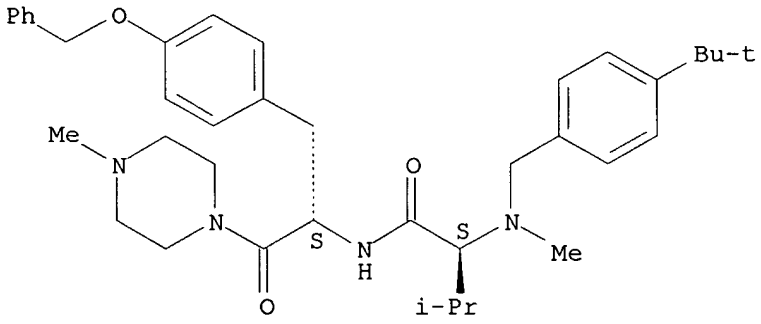
Absolute stereochemistry.



RN 239790-08-0 CAPLUS

CN Butanamide, 2-[[[4-(1,1-dimethylethyl)phenyl]methyl]methylamino]-3-methyl-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

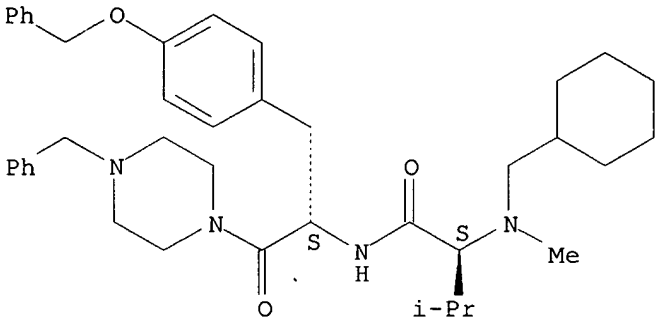
Absolute stereochemistry.



RN 239790-12-6 CAPLUS

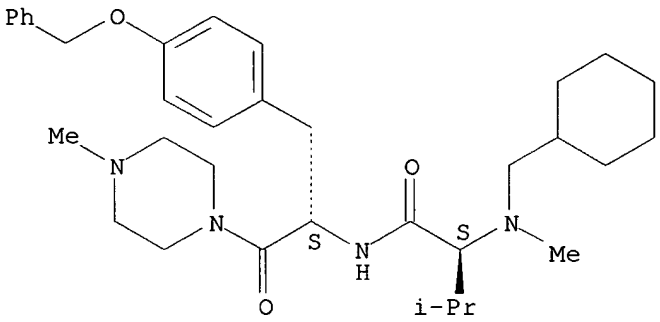
CN Butanamide, 2-[(cyclohexylmethyl)methylamino]-3-methyl-N-[(1S)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



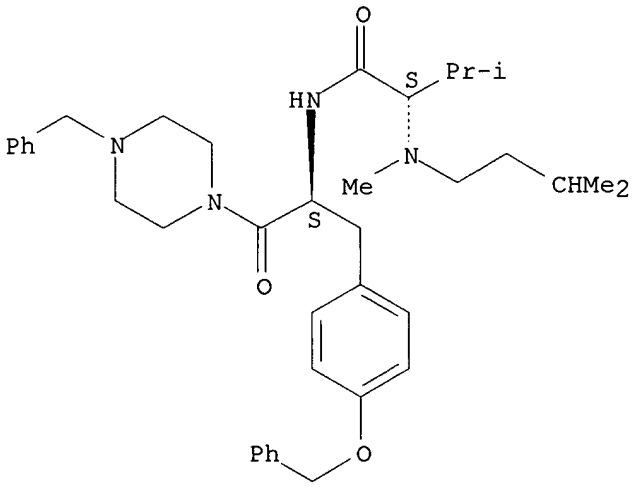
RN 239790-15-9 CAPLUS
CN Butanamide, 2-[(cyclohexylmethyl)methylamino]-3-methyl-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



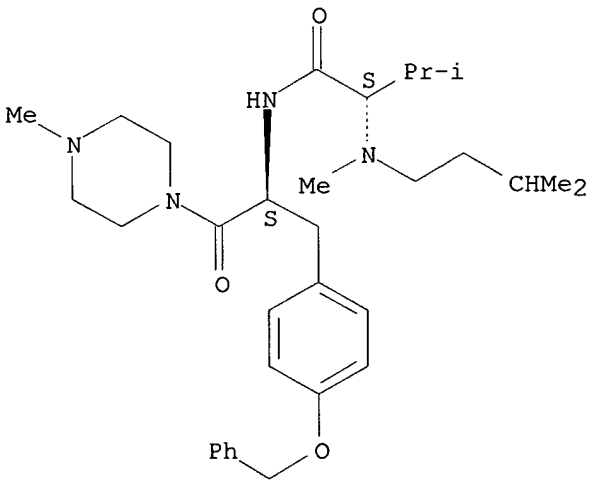
RN 239790-17-1 CAPLUS
CN Butanamide, 3-methyl-2-[methyl(3-methylbutyl)amino]-N-[(1S)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



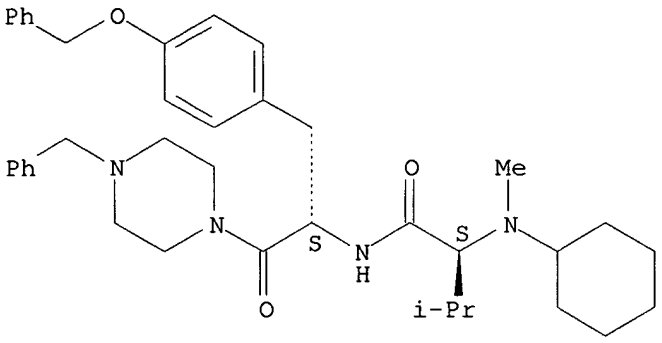
RN 239790-21-7 CAPLUS
CN Butanamide, 3-methyl-2-[methyl(3-methylbutyl)amino]-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



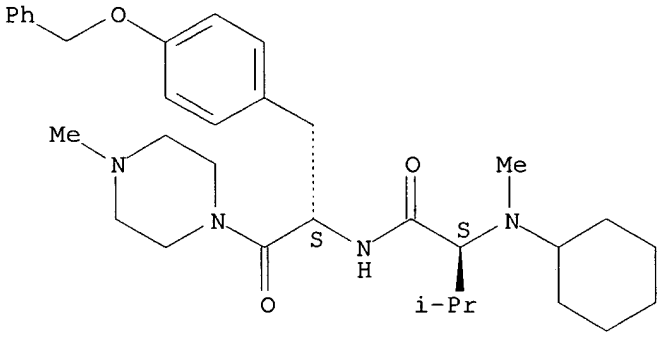
RN 239790-24-0 CAPLUS
CN Butanamide, 2-(cyclohexylmethylamino)-3-methyl-N-[(1S)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



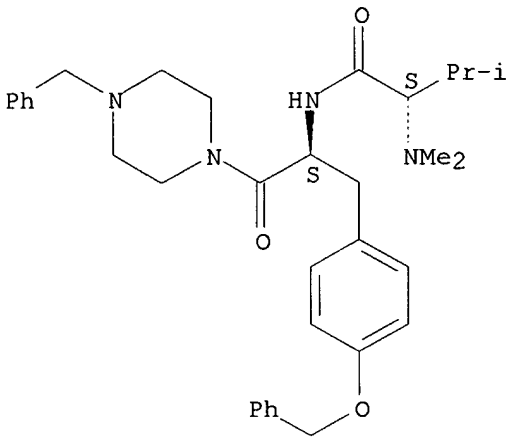
RN 239790-27-3 CAPLUS
CN Butanamide, 2-(cyclohexylmethylamino)-3-methyl-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



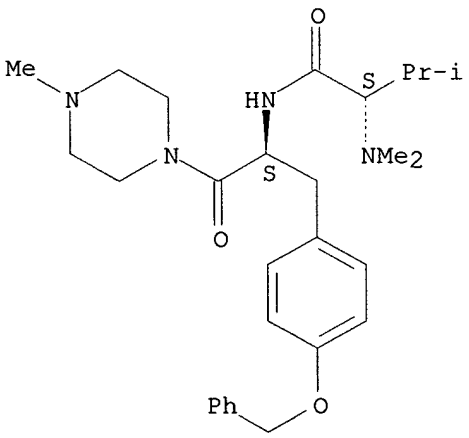
RN 239790-30-8 CAPLUS
CN Butanamide, 2-(dimethylamino)-3-methyl-N-[(1S)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 239790-34-2 CAPLUS
CN Butanamide, 2-(dimethylamino)-3-methyl-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



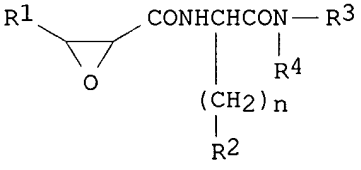
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:886024 CAPLUS
DN 123:286713
TI Preparation of epoxysuccinic acid-derivative inhibitors of thiol proteases for treatment of osteoporosis
IN Tsubotani, Shigetoshi; Takizawa, Masayuki; Shirasaki, Mikio; Fujisawa, Yukio
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 95 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 655447	A1	19950531	EP 1994-307984	19941028
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5556853	A	19960917	US 1994-330833	19941027
	CA 2134627	AA	19950430	CA 1994-2134627	19941028
	FI 9405092	A	19950430	FI 1994-5092	19941028
	NO 9404121	A	19950502	NO 1994-4121	19941028
	AU 9477552	A1	19950518	AU 1994-77552	19941028
	CN 1112555	A	19951129	CN 1994-118687	19941028
	JP 08104683	A2	19960423	JP 1994-265686	19941028
	HU 72319	A2	19960429	HU 1994-3116	19941028
PRAI	JP 1993-272806	A	19931029		
	JP 1993-272835	A	19931029		
	JP 1994-186165	A	19940808		

OS MARPAT 123:286713

GI



I

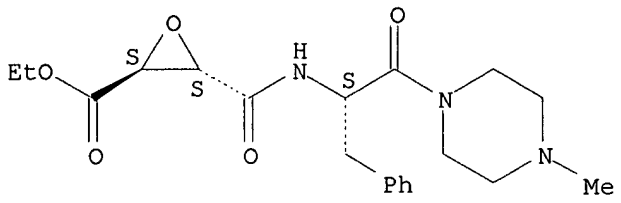
AB The title compds. [I; R1 = (un)substituted carboxyl group; R2 = (un)substituted cyclic group; R3 = H, (un)substituted hydrocarbon residue; R4 = (un)substituted hydrocarbon residue with optionally protected amino group, alkenyl; n = 0-6; R3R4N = heterocyclic residue], which are inhibitors of thiol proteases such as cathepsin L or B, useful as prophylactic and/or therapeutic agents for bone diseases such as osteoporosis, are prepd. and I-contg. formulations presented. Thus, N-Z-N'-[N-(2S,3S)-trans-carboxyoxirane-2-carbonyl]-o-fluoro-L-phenylalanyl]-1,4-diaminobutane (sic) was prepd. and demonstrated a IC50 of 1 ng/mL against cathepsin L and 14 ng/mL against cathepsin B.

IT **169499-50-7P 169499-51-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of epoxysuccinic acid-deriv. inhibitors of thiol proteases for treatment of osteoporosis)

RN 169499-50-7 CAPLUS

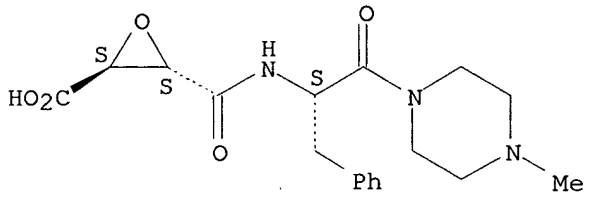
CN Oxiranecarboxylic acid, 3-[[[2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]-, ethyl ester, [2S-[2.alpha.,3.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169499-51-8 CAPLUS
CN Oxiranecarboxylic acid, 3-[[[2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]-, [2S-[2.alpha.,3.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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CA SUBSCRIBER PRICE	-38.25	-68.25

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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L15             0 L13

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